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Ziemer et al.

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(54) **PYRIDINECARBOXAMIDES,
USEFUL-PLANT-PROTECTING
COMPOSITION COMPRISING THEM AND
PROCESSES FOR THEIR PREPARATION
AND THEIR USE**

2004/0224844	A1	11/2004	Bickers et al.
2005/0037922	A1	2/2005	Bickers et al.
2005/0256000	A1	11/2005	Schaper et al.
2007/0037858	A1	2/2007	Dunkel et al.
2007/0196406	A1	8/2007	Dunkel et al.
2007/0265164	A1	11/2007	Bartsch et al.

(75) Inventors: **Frank Ziemer**, Kriftel (DE); **Lothar Willms**, Hofheim (DE); **Christopher Rosinger**, Hofheim (DE); **Thomas Auler**, Leichlingen (DE); **Erwin Hacker**, Hochheim (DE); **Udo Bickers**, Wietmarschen (DE)

FOREIGN PATENT DOCUMENTS

EP	0298134	1/1989
EP	502740	9/1992
EP	0544151	11/1992
EP	0522392	1/1993
GB	2305174	4/1997
WO	WO 01/14339	3/2001
WO	WO 01/55115	8/2001
WO	WO 2004/084631	10/2004
WO	WO 2005/015994	2/2005
WO	WO 2005/042492	5/2005
WO	WO 2005/042493	5/2005
WO	WO 2005/112630	12/2005
WO	WO 2006/007981	1/2006
WO	WO 2007/041052	4/2007

(73) Assignee: **Bayer Cropscience AG**, Monheim (DE)

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C07D 413/06 (2006.01)
C07D 417/06 (2006.01)

(52) U.S. Cl.

CPC **C07D 211/76** (2013.01); **A01N 25/32** (2013.01); **C07D 213/82** (2013.01); **C07D 401/06** (2013.01); **C07D 413/06** (2013.01); **C07D 417/06** (2013.01)

(58) Field of Classification Search

None
See application file for complete search history.

(56) References Cited

U.S. PATENT DOCUMENTS

4,965,266	A	10/1990	Uno et al.
5,235,060	A	8/1993	Bullock et al.
5,344,813	A *	9/1994	Theobald et al. 504/244
5,393,734	A	2/1995	Andrea et al.
6,794,397	B2	9/2004	Cai et al.
7,732,375	B2	6/2010	Dunkel et al.
8,138,118	B2	3/2012	Bickers et al.
2002/0177578	A1	11/2002	Ricks et al.
2004/0116479	A1	6/2004	Haviv et al.

R. Lang & P. Wenk, "Synthesis of Selectivity Trifluoromethylated Pyridine Derivatives as Potential Antihypersensitives". *Helvetica Chimica Acta*, vol. 71, p. 596-601, (1988).

M. Gorbunova, I. Genus & V. Kukhar, "Synthesis and Properties of β -Ethoxyvinyl Polyfluoroalkyl Ketones", *Synthesis 2000*, vol. 5, p. 738-742, (2000).

P. Hapiot & Médebielle, "Electrochemically induces free-radical tandem cyclisation of chlorodifluoromethylated ketones Application to the synthesis of gem-difluorinated heterocycles". *Journal of Fluorine Chemistry*, vol. 107, p. 285-300, (2001).

H.V. Dias & H. Kim, "Novel Tris(pyrazolyl)borates Bearing Perfluoroalkyl Pigtailes. Syntheses and Characterization of the Sodium and Copper(I) Complexes of $[\text{HB}(3\text{-(R)Pz})_3]$ (R=C₂F₅, C₃F₇, Pz=Pyrazolyl)". *Organometallics*, vol. 15, p. 5374-5379, (1996).

* cited by examiner

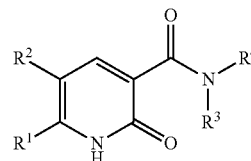
Primary Examiner — Sue Liu

Assistant Examiner — Thor Nielsen

(74) Attorney, Agent, or Firm — Frommer Lawrence & Haug

(57) ABSTRACT

Compounds of the formula (I), or salts thereof,



in which R¹ to R⁴ are as defined in formula (I) of claim 1 are suitable as useful-plant-protecting agents for reducing or preventing harmful effects of agrochemicals on the useful plants and their method of preparation are described.

17 Claims, No Drawings

**PYRIDINECARBOXAMIDES,
USEFUL-PLANT-PROTECTING
COMPOSITION COMPRISING THEM AND
PROCESSES FOR THEIR PREPARATION
AND THEIR USE**

INCORPORATION BY REFERENCE

The present application is a continuation of U.S. patent application Ser. No. 12/111,419 filed Apr. 29, 2008, which claims benefit under 35 U.S.C. 119(a) of European patent application 07400013.4, filed on Apr. 30, 2007.

Any foregoing applications, including U.S. patent application Ser. No. 12/111,419 and European patent application EP 07400013.4, and all documents cited therein or during their prosecution ("application cited documents") and all documents cited or referenced in the application cited documents, and all documents cited or referenced herein ("herein cited documents"), and all documents cited or referenced in herein cited documents, together with any manufacturer's instructions, descriptions, product specifications, and product sheets for any products mentioned herein or in any document incorporated by reference herein, are hereby incorporated herein by reference, and may be employed in the practice of the invention.

DESCRIPTION

The present invention relates to useful-plant-protecting compounds and compositions comprising specific compounds as safeners for reducing phytotoxic actions of agrochemicals, in particular of herbicides. The invention relates in particular to pyridone derivatives as safeners and to processes for their preparation.

When controlling unwanted organisms in crops of plants which are useful for agriculture or forestry by using pesticides, the useful plants are frequently also damaged to a greater or lesser extent, by the pesticides employed. This unwanted phytotoxic effect is encountered in particular with the use of a considerable number of herbicides in crops of useful plants such as, for example, corn, rice or cereals- and there primarily in the post-emergence application. In some instances, the useful plants can be protected against the phytotoxic properties of the pesticides by employing safeners or antidotes, without diminishing or substantially impairing the pesticidal activity against the harmful organisms. In some cases, even an improved pesticidal action against harmful organisms such as weeds was observed.

The compounds hitherto known as safeners belong to a large number of different chemical structure classes, their suitability as safeners generally also depending on the chemical structures of the pesticides and on the crops of useful plants.

Known for a long time have been the safener actions of compounds from the group of the phenoxy- or heteroaryloxy-alkanecarboxylic acids, provided these compounds are applied in combination with herbicides. Examples of such compounds are MCPA and similar compounds which are at the same time herbicidally active against harmful plants, or cloquintocet-mexyl.

Known are furthermore safeners from the group of the derivatives of N-phenyl-substituted heteroaromatic carboxylic esters having a plurality of heteroatoms in the heterocycle. Examples of such safeners are the safeners mefenpyr-diethyl and isoxadifen-ethyl, which are used in commercial products.

WO 2004/084631 (us 2004-0224844) discloses the use of hydroxyl-substituted aromatic carboxylic acid derivatives.

WO 2005/015994 (US 2005-037922) describes specific derivatives of salicylic acid as safeners. These compounds are suitable in particular for use as safeners in crops of corn and soybeans.

Furthermore, WO 2005/112630 (US 2005-256000) discloses 1,2-dihydroquinoxalin-2-one derivatives as safeners.

Active compounds from the chemical class of the pyridones with pesticidal properties are known from the literature. Various biological actions are described; thus, for example, WO 2001/014339 (US 2002-177578) describes the fungicidal action of certain substituted pyridonecarboxamides, WO 2005/042492 (US 2007-196406) and WO 2005/042493 (US 2007-037858) describe inter alia the fungicidal action of heterocyclylcarboxanilides. EP-A-544151 (U.S. Pat. No. 5,344,813) describes the action of hydroxyl-substituted pyridonecarboxamides as herbicides.

Also known are representatives having pharmacological properties. Thus, WO 2001/055115 (U.S. Pat. No. 6,794,397) describes nicotinilides as inductors of apoptosis, and US 2004/0116479 describes dialkylnicotinamides as inhibitors of angiogenesis.

Furthermore, EP-A-522392 (U.S. Pat. No. 5,235,060) describes 6-trifluoromethyl-substituted pyridonecarboxamides as precursors for the synthesis of herbicidally active sulfonylureas. Helv. Chim. Acta 71 (1988) 596-601 and GB 2305174 mention 1,2-dihydro-2-oxo-6-trifluoromethylpyridine-3-carboxamide, 6-chloro(difluoro)methyl-1,2-dihydro-2-oxopyridine-3-carboxamide and 6-difluoromethyl-1,2-dihydro-2-oxopyridine-3-carboxamide as intermediates in the synthesis of pyranopyridines. WO 2007/041052 mentions 1,2-dihydro-2-oxo-6-trifluoromethylpyridine-3-carboxamide as an intermediate in the synthesis of pharmacologically active spiroperidines.

The use of such compounds as safeners in combination with certain pesticides has hitherto not been disclosed.

WO 2006/007981 (US 2007-265164) describes a method for identifying compounds which induce the defense of plants against pathogens, where the increase of the expression of plant-endogenous genes is considered to be an indication for the induction.

Here, 1,2-dihydro-2-oxo-6-trifluoromethylpyridine-3-carboxamide is mentioned as part of a group of six compounds which may be referred to as safeners. A safener action confirmed by biological tests on plants has hitherto not been disclosed for this compound, and is also not sufficiently disclosed by WO 2006/007981.

In particular the expression values obtained according to WO 2006/007981 for the compound, which in some cases are at a considerably lower level than those for commercially available safeners also mentioned, lead it to be expected in the best case that, as safeners, they are considerably less suitable, if at all.

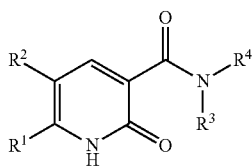
When safeners were used to protect useful plants against damage by pesticides, it was found that the known safeners may in many cases have disadvantages. These include:

the safener reduces the efficacy of the pesticides, in particular that of herbicides, against the harmful plants, the useful-plant-protecting properties are insufficient, in combination with a certain herbicide, the spectrum of the useful plants in which the safener/herbicide is to be employed is not sufficiently wide, a certain safener can only be combined with a small number of herbicides, by using safeners, the application rate to be applied and the amount of formulation is increased, which may cause problems during the application.

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For the reasons mentioned, there is a need to provide alternative compounds having safener action.

The invention provides the use of compounds of the formula (I) or salts thereof



in which

R¹ is a (C₁-C₆)-haloalkyl radical, preferably a radical of the formula CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃, CFHCF₃, CF(CF₃)₂, CH(CF₃)₂, CF₂CF₂CF₃, or C(CH₃)₂F and

R² is hydrogen or halogen and

R³ is hydrogen, (C₁-C₁₆)-alkyl, (C₂-C₁₆)-alkenyl or (C₂-C₁₆)-alkynyl,

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]amino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted, phenyl, which is unsubstituted or substituted, and heterocyclyl, which is unsubstituted or substituted,

or (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring,

where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]amino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted, phenyl, which is unsubstituted or substituted, and heterocyclyl, which is unsubstituted or substituted,

and

R⁴ is (C₁-C₁₆)-alkyl, (C₂-C₁₆)-alkenyl or (C₂-C₁₆)-alkynyl,

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted, phenyl, which is unsubstituted or substituted, and heterocyclyl, which is unsubstituted or substituted

or (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring,

where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the

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group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted, phenyl, which is unsubstituted or substituted, and heterocyclyl, which is unsubstituted or substituted,

or

R³ is (C₁-C₄)-alkoxy, (C₂-C₄)-alkenyloxy, (C₂-C₆)-alkynyl or (C₂-C₄)-haloalkoxy and

R⁴ is hydrogen or (C₁-C₄)-alkyl or

R³ and R⁴ together with the directly attached nitrogen atom are a four- to eight-membered heterocyclic ring which, in addition to the nitrogen atom, may also comprise further hetero ring atoms, preferably up to two further hetero ring atoms selected from the group consisting of N, O and S, and which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, cyano, nitro, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy and (C₁-C₄)-alkylthio, or

R³ and R⁴ together with the directly attached nitrogen atom are the group —N=CR⁵—NR⁶R⁷, in which

R⁵ is hydrogen or (C₁-C₆)-alkyl, with hydrogen being preferred, and

R⁶, R⁷ independently of one another are hydrogen or (C₁-C₄)-alkyl, preferably (C₁-C₂)-alkyl, or R⁶ and R⁷ together with the directly attached nitrogen atom form a five- to seven-membered, preferably saturated heterocyclic ring, such as, for example, piperidinyl, pyrrolidinyl or morpholinyl,

or

R¹ is a (C₁-C₆)-haloalkyl radical, preferably a radical of the formula CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃, CFHCF₃, CF(CF₃)₂, CH(CF₃)₂, CF₂CF₂CF₃ or C(CH₃)₂F, more preferably a radical of the formula CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CF₂CF₂CF₃ or C(CH₃)₂F, in particular CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CF₂CF₂CF₃ or C(CH₃)₂F, even more preferably CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl or CF₂CF₂CF₃,

R² is halogen,

R³ is hydrogen and

R⁴ is hydrogen

or

R¹ is a radical of the formula CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃, CFHCF₃, CF(CF₃)₂, CH(CF₃)₂, CF₂CF₂CF₃ or C(CH₃)₂F, more preferably CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CF₂CF₂CF₃ or C(CH₃)₂F, even more preferably CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl or CF₂CF₂CF₃,

R² is hydrogen,

R³ is hydrogen and

R⁴ is hydrogen,

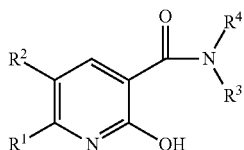
as a useful-plant-protecting agent for reducing or preventing harmful actions of agrochemicals, preferably pesticides, in particular herbicides, on the useful plants.

Hereinbelow, the compounds of the formula (I) and their salts are in some cases also referred to as “compounds (I)” according to the invention or used according to the invention.

The compounds of the formula (I) also include tautomers which can be formed by hydrogen shifts and whose structure is formally not embraced by the formula (I). These tautomers are nevertheless included in the definition of the compounds of the formula (I) according to the invention. The definition of

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the compounds of the formula (I) includes in particular the tautomeric structures of the formula (Ia) (2-hydroxypyridine-3-carboxamides) or salts thereof



in which

R¹, R², R³ and R⁴ are as defined in formula (I).

Some compounds of the formula (I) according to the invention or salts thereof are novel and also form part of the subject matter of the invention.

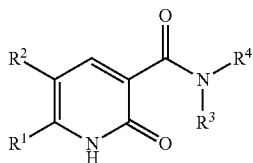
It is noted that in this disclosure and particularly in the claims and/or paragraphs, terms such as “comprises”, “comprising”, “comprising” and the like can have the meaning attributed to it in U.S. patent law, e.g., they can mean “includes”, “included”, “including”, and the like; and that terms such as “consisting essentially of” and “consists essentially of” have the meaning ascribed to them in U.S. patent law, e.g., they allow for elements not explicitly recited, but exclude elements that are found in the prior art or that affect a basic or novel characteristic of the invention.

It is further noted that the invention does not intend to encompass within the scope of the invention any previously disclosed product, process of making the product or method of using the product, which meets the written description and enablement requirements of the USPTO (35 U.S.C. 112, first paragraph) or the EPO (Article 83 of the EPC), such that applicant(s) reserve the right and hereby disclose a disclaimer of any previously described product, method of making the product or process of using the product.

The invention also provides useful-plant-protecting compositions comprising compounds of the formula (I) or salts thereof and formulation auxiliaries. The invention also provides useful-plant-protecting compositions comprising compounds of the formula (I) or salts thereof in combination with further agrochemicals, preferably pesticides, in particular herbicides, and, if appropriate, formulation auxiliaries.

Some compounds of the formula (I) are already described as intermediates for preparing active compounds, see the abovementioned GB-A-2305174 (comp. (I) in which R¹=CF₃, CF₂Cl or CF₂H and R³=R⁴=H). EP-A-522392, which has already been mentioned, described in a general manner inter alia compounds (I) as intermediates for preparing sulfonylureas. The safener actions of the compounds have not been described.

The invention also provides compounds of the formula (I) or salts thereof



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in which

R¹ is a (C₁-C₆)-haloalkyl radical, preferably a radical of the formula CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃, CFHCF₃, CF(CF₃)₂, CH(CF₃)₂, CF₂CF₂CF₃ or C(CH₃)₂F, in particular a radical of the formula CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CF₂CF₂CF₃ or C(CH₃)₂F, more preferably CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl or CF₂CF₂CF₃ and

R² is hydrogen or halogen and

R³ is hydrogen, (C₁-C₁₆)-alkyl, (C₂-C₁₆)-alkenyl or (C₂-C₁₆)-alkynyl,

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl, or (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring,

where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted, phenyl, which is unsubstituted or substituted, and heterocyclyl, which is unsubstituted or substituted,

and

R⁴ is (C₁-C₁₆)-alkyl, (C₂-C₂₆)-alkenyl or (C₂-C₁₆)-alkynyl, where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl, or (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring,

where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted, phenyl, which is unsubstituted or substituted, and heterocyclyl, which is unsubstituted or substituted,

or

(I) R³ is (C₁-C₄)-alkoxy, (C₂-C₄)-alkenyl, (C₂-C₆)-alkynyl, alkoxy or (C₂-C₄)-haloalkoxy and

R⁴ is hydrogen or (C₁-C₄)-alkyl or

R³ and R⁴ together with the directly attached nitrogen atom are a four- to eight-membered heterocyclic ring which, in addition to the nitrogen atom, may also comprise further hetero ring atoms, preferably up to two further hetero ring atoms selected from the group consisting of N, O and S, and which is unsubstituted or substituted by one or more

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radicals selected from the group consisting of halogen, cyano, nitro, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy and (C₁-C₄)-alkylthio, or

R³ and R⁴ together with the directly attached nitrogen atom are the group —N=CR⁵—NR⁶R⁷ in which

R⁵ is hydrogen or (C₁-C₆)-alkyl, with hydrogen being preferred, and

R⁶, R⁷ independently of one another are hydrogen or (C₁-C₄)-alkyl, preferably (C₁-C₂)-alkyl, or R⁶ and R⁷ together with the directly attached nitrogen atom form a five- to seven-membered, preferably saturated heterocyclic ring, such as, for example, piperidinyl, pyrrolidinyl or morpholinyl,

or

R¹ is a (C₁-C₆)-haloalkyl radical, preferably a radical of the formula CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃, CFHCF₃, CF(CF₃)₂, CH(CF₃)₂, CF₂CF₂CF₃ or C(CH₃)₂F, more preferably CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CF₂CF₂CF₃ or C(CH₃)₂F, in particular CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CF₂CF₂CF₃ or C(CH₃)₂F, even more preferably CF₂Cl, CF₂CF₃, CF₂CF₂Cl or CF₂CF₂CF₃,

R² is halogen,

R³ is hydrogen and

R⁴ is hydrogen

or

R¹ is a radical of the formula CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃, CFHCF₃, CF(CF₃)₂, CH(CF₃)₂, CF₂CF₂CF₃ or C(CH₃)₂F, preferably CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃, CF₂CF₂CF₃ or C(CH₃)₂F, in particular CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃ or CF₂CF₂CF₃, even more preferably CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl or CF₂CF₂CF₃,

R² is hydrogen,

R³ is hydrogen and

R⁴ is hydrogen.

Preferably excluded are compounds of the formula (I) and salts thereof in which

R¹ is (C₁-C₃)-alkyl which is substituted by one to three fluorine atoms,

R² is hydrogen,

R³ is (C₁-C₂)-alkyl and

R⁴ is (C₁-C₂)-alkyl.

The lastmentioned preferably excluded compounds are described in a general manner in the abovementioned EP-A-0522392 as intermediates for preparing sulfonylureas.

Depending on the nature and the attachment of the substituents, the compounds of the formula (I) may be present as stereoisomers. All possible stereoisomers defined by their specific spatial form, such as enantiomers, diastereomers, Z- and E-isomers, are embraced by the formula (I).

If, for example, one or more alkenyl groups are present, it is possible for diastereomers (Z- and E-isomers) to occur. If, for example, one or more asymmetric carbon atoms are present, it is possible for enantiomers and diastereomers to occur. Stereoisomers can be obtained by customary separation methods, for example by chromatographic separation procedures, from the mixtures obtained in the preparation. It is also possible to selectively prepare stereoisomers by employing stereoselective reactions using optically active starting materials and/or auxiliaries. Thus, the invention also relates to all stereoisomers embraced by the formula (I) but not shown in their specific stereoform and mixtures thereof.

The possibilities of combining the various substituents of the formula (I) are to be understood in such a way that the general principles of the synthesis of chemical compounds

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are to be observed, i.e. the formula (I) does not embrace compounds which the skilled worker knows to be chemically impossible.

The terms used above and further below are familiar to the person skilled in the art and have in particular the meanings illustrated below:

The term "(C₁-C₄)-alkyl" is a short notation for open-chain alkyl having 1 to 4 carbon atoms corresponding to the stated range of carbon atoms, i.e. it includes the radicals methyl, ethyl, 1-propyl, 2-propyl, 1-butyl, 2-butyl, 2-methylpropyl and tert-butyl. Correspondingly, general alkyl radicals having a wider stated range of carbon atoms, for example "(C₁-C₆)-alkyl", also include straight-chain or branched alkyl radicals having a larger number of carbon atoms, i.e. in the example also the alkyl radicals having 5 and 6 carbon atoms.

Unless specifically indicated, the lower carbon skeletons, for example those having 1 to 6 carbon atoms or, in the case of unsaturated groups, having 2 to 6 carbon atoms, are preferred for the hydrocarbon radicals such as alkyl, alkenyl and alkynyl radicals, including in composite radicals. Alkyl radicals, including in the composite meanings, such as alkoxy, haloalkyl, etc., are, for example, methyl, ethyl, n- or isopropyl, n-, iso-, t- or 2-butyl, pentyls, hexyls, such as n-hexyl, isohexyl and 1,3-dimethylbutyl, heptyls, such as n-heptyl, 1-methylhexyl and 1,4-dimethylpentyl; alkenyl and alkynyl radicals have the meanings of the possible unsaturated radicals which correspond to the alkyl radicals; alkenyl is, for example, vinyl, allyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 2-butenyl, pentenyl, 2-methylpentenyl or hexenyl, preferably allyl, 1-methylprop-2-en-1-yl, 2-methylprop-2-en-1-yl, but-2-en-1-yl, but-3-en-1-yl, 1-methylbut-3-en-1-yl or 1-methylbut-2-en-1-yl. (C₂-C₆)-alkynyl is, for example, ethynyl, propargyl, 1-methyl-2-propynyl, 2-methyl-2-propynyl, 2-butylnyl, 2-pentylnyl or 2-hexynyl, preferably propargyl, but-2-yn-1-yl, but-3-yn-1-yl or 1-methylbut-3-yn-1-yl.

Alkylidene, including, for example, in the form (C₁-C₁₀)-alkylidene, is the radical of a straight-chain or branched alkane which is attached via a double bond, where the position of the point of attachment has not yet been fixed. The only possible positions in the case of a branched alkane are, of course, positions in which two hydrogen atoms may be replaced by the double bond; radicals are, for example, =CH₂, =CH—CH₃, =C(CH₃)—CH₃, =C(CH₃)—C₂H₅ or =C(C₂H₅)—C₂H₅.

Cycloalkyl is a carbocyclic saturated ring system having preferably 3-8 carbon atoms, for example cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl. Substituted cycloalkyl embraces cyclic systems having substituents, substituents having a double bond at the cycloalkyl radical, for example an alkylidene group, such as methylenide, also being included. Substituted cycloalkyl also embraces polycyclic aliphatic systems, such as, for example, bicyclo[1.1.0]butan-1-yl, bicyclo[1.1.0]butan-2-yl, bicyclo[2.1.0]pentan-1-yl, bicyclo[2.1.0]pentan-2-yl, bicyclo[2.1.0]pentan-5-yl, adamantan-1-yl and adamantan-2-yl.

Cycloalkenyl is a carbocyclic, non-aromatic, partially unsaturated ring system having preferably 4-8 carbon atoms, for example 1-cyclobutenyl, 2-cyclobutenyl, 1-cyclopentenyl, 2-cyclopentenyl, 3-cyclopentenyl, or 1-cyclohexenyl, 2-cyclohexenyl, 3-cyclohexenyl, 1,3-cyclohexadienyl or 1,4-cyclohexadienyl. The explanations given for substituted cycloalkyl apply correspondingly to substituted cycloalkenyl.

The term "halogen" denotes, for example, fluorine, chlorine, bromine or iodine. Haloalkyl, haloalkenyl and haloalkynyl are alkyl, alkenyl and alkynyl, respectively, which are partially or fully substituted by identical or different halogen

atoms, preferably from the group consisting of fluorine, chlorine and bromine, in particular from the group consisting of fluorine and chlorine, for example monohaloalkyl, such as $\text{CH}_2\text{CH}_2\text{Cl}$, $\text{CH}_2\text{CH}_2\text{F}$, CH_2ClCH_3 , CH_2FCH_3 , CH_2Cl , CH_2F ; perhaloalkyl such as CCl_3 or CF_3 or CF_3CF_2 ; polyhaloalkyl, such as CHF_2 , CH_2F , CH_2FCHCl , CHCl_2 , $\text{CF}_2\text{CF}_2\text{H}$, CH_2CF_3 , CH_2ClCH_3 , CH_2FCH_3 ; haloalkoxy is, for example, OCF_3 , OCHF_2 , OCH_2F , $\text{CF}_3\text{CF}_2\text{O}$, OCH_2CF_3 and $\text{OCH}_2\text{CH}_2\text{Cl}$; this applies correspondingly to haloalkenyl and other halogen-substituted radicals.

If a skeleton is substituted "by one or more radicals" from a list of radicals (=group) or a generically defined group of radicals, this includes in each case the simultaneous substitution by a plurality of identical and/or structurally different radicals.

Substituted radicals, such as a substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, phenyl, benzyl, heterocyclyl and heteroaryl radical, are, for example, substituted radicals derived from an unsubstituted skeleton, the substituents being, for example, one or more, preferably 1, 2 or 3, radicals from the group consisting of halogen, alkoxy, alkylthio, hydroxyl, amino, nitro, carboxyl, cyano, azido, alkoxycarbonyl, alkylcarbonyl, formyl, carbamoyl, mono- and dialkylaminocarbonyl, substituted amino, such as acylamino, mono- and dialkylamino, trialkylsilyl and optionally substituted cycloalkyl, optionally substituted phenyl, optionally substituted heterocyclyl, where each of the lastmentioned cyclic groups may also be attached via heteroatoms or divalent functional groups as in the alkyl radicals mentioned, and alkylsulfanyl, alkylsulfonyl and, in the case of cyclic radicals (= "cyclic skeleton"), also alkyl, haloalkyl, alkylthioalkyl, alkoxyalkyl, optionally substituted mono- and dialkylaminoalkyl and hydroxyalkyl; the term "substituted radicals", such as substituted alkyl, etc., includes as substituents, in addition to the saturated hydrocarbon-containing radicals mentioned, the corresponding unsaturated aliphatic and aromatic radicals, such as optionally substituted alkenyl, alkynyl, alkenyloxy, alkynyloxy, phenyl, phenoxy etc. In the case of substituted cyclic radicals having aliphatic moieties in the ring, this also embraces cyclic systems having substituents which are attached to the ring via a double bond, for example substituted by an alkylidene group, such as methylenedioxy or ethylenedioxy, or an oxo group, imino group or substituted imino group.

The substituents mentioned by way of example ("first substituent level") can, if they contain hydrocarbon-containing moieties, be, if appropriate, substituted further in the moieties ("second substituent level"), for example by one of the substituents as defined for the first substituent level. Corresponding further substituent levels are possible. The term "substituted radical" preferably embraces only one or two substituent levels.

Preferred substituents for the substituent levels are, for example, amino, hydroxyl, halogen, nitro, cyano, mercapto, carboxyl, carboxamide, SF_5 , aminosulfonyl, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, monoalkylamino, dialkylamino, N-alkanoylamino, alkoxy, alkenyloxy, alkynyloxy, cycloalkoxy, cycloalkenyloxy, alkoxycarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, aryloxycarbonyl, alkanoyl, alkenylcarbonyl, alkynylcarbonyl, arylcarbonyl, alkylthio, cycloalkylthio, alkenylthio, cycloalkenylthio, alkynylthio, alkylsulfanyl, alkylsulfonyl, monoalkylaminosulfonyl, dialkylaminosulfonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl, N-alkanoylaminocarbonyl, N-alkanoyl-N-alkylaminocarbonyl, aryl, aryloxy, benzyl, benzyloxy, benzylthio, arylthio, arylamino, benzylamino, heterocyclyl and trialkylsilyl.

In the case of radicals having carbon atoms, preference is given to those having 1 to 6 carbon atoms, preferably 1 to 4 carbon atoms, in particular 1 or 2 carbon atoms. Preference is generally given to substituents selected from the group consisting of halogen, for example fluorine and chlorine, (C₁-C₄)-alkyl, preferably methyl or ethyl, (C₁-C₄)-haloalkyl, preferably trifluoromethyl, (C₁-C₄)-alkoxy, preferably methoxy or ethoxy, (C₁-C₄)-haloalkoxy, nitro and cyano. Here, particular preference is given to the substituents methyl, methoxy, fluorine and chlorine.

Substituted amino, such as mono- or disubstituted amino, denotes a radical from the group of the substituted amino radicals which are N-substituted, for example, by one or two identical or different radicals selected from the group consisting of alkyl, alkoxy, acyl and aryl; preferably mono- and dialkylamino, mono- and diarylamino, acylamino, N-alkyl-N-acylamino, N-alkyl-N-acylamino and saturated N-heterocycles; here, preference is given to alkyl radicals having 1 to 4 carbon atoms; aryl is preferably phenyl or substituted phenyl; for acyl, the definition given further down applies, preference is given to (C₁-C₄)-alkanoyl. This applies correspondingly to substituted hydroxylamino or hydrazino.

Substituted amino also includes quarternary ammonium compounds (salts) with four organic substituents at the nitrogen atom.

Optionally substituted phenyl is preferably phenyl which is unsubstituted or mono- or polysubstituted, preferably up to trisubstituted, by identical or different radicals from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkyl, (C₁-C₄)-haloalkoxy and nitro, for example o-, m- and p-tolyl, dimethylphenyls, 2-, 3- and 4-chlorophenyl, 2-, 3- and 4-fluorophenyl, 2-, 3- and 4-trifluoromethyl- and -trichloromethylphenyl, 2,4-, 3,5-, 2,5- and 2,3-dichlorophenyl, o-, m- and p-methoxyphenyl.

Optionally substituted cycloalkyl is preferably cycloalkyl which is unsubstituted or mono- or polysubstituted, preferably up to trisubstituted, by identical or different radicals from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkyl and (C₁-C₄)-haloalkoxy, in particular by one or two (C₁-C₄)-alkyl radicals.

Optionally substituted heterocyclyl is preferably heterocyclyl which is unsubstituted or mono- or polysubstituted, preferably up to trisubstituted, by identical or different radicals from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkyl, (C₁-C₄)-haloalkoxy, nitro and oxo, in particular mono- or polysubstituted by radicals from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkyl and oxo, very particularly substituted by one or two (C₁-C₄)-alkyl radicals.

Acyl denotes a radical of an organic acid which, formally, is formed by removing a hydroxyl group from the acid function, it also being possible for the organic radical in the acid to be attached to the acid function via a heteroatom. Examples of acyl are the radical —CO—R of a carboxylic acid HO—CO—R and radicals of acids derived therefrom, such as thiocarboxylic acid, unsubstituted or N-substituted iminocarboxylic acids or the radical of carboxylic acid monoesters, N-substituted carbamic acid, sulfonic acids, sulfonic acids, N-substituted sulfonamido acids, phosphonic acids, phosphinic acids.

Acyl denotes, for example, formyl, alkylcarbonyl such as [(C₁-C₄)-alkyl]carbonyl, phenylcarbonyl, alkylloxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, alkylsulfanyl, alkylsulfanyl, N-alkyl-1-iminoalkyl, N-alkyl- and N,N-dialkylcarbonyl and other radicals of organic acids. Here, the radicals may in each case be substituted further in the alkyl or phenyl moiety, for example in the alkyl moiety by one or more

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radicals selected from the group consisting of halogen, alkoxy, phenyl and phenoxy; examples of substituents in the phenyl moiety are the substituents which have already been mentioned further above in a general manner for substituted phenyl.

Acyl denotes preferably an acyl radical in the narrower sense, i.e. a radical of an organic acid where the acid group is attached directly to the carbon atom of an organic radical, for example alkanoyl, such as formyl and acetyl, aroyl, such as phenylcarbonyl, and other radicals of saturated or unsaturated organic acids.

"Aroyl" denotes an aryl radical as defined above which is attached via a carbonyl group, for example the benzoyl group.

If a general radical is defined as "hydrogen", this means a hydrogen atom.

The "yl-position" of a radical denotes its point of attachment.

In accordance with the general definitions:

"(C₁-C₆)-alkyl" is a methyl, ethyl, propyl, isopropyl, 1-butyl, 2-butyl, 2-methylpropyl or tert-butyl radical;

"(C₁-C₁₀)-alkyl" thus includes the alkyl radicals mentioned above, and also isomeric pentyl radicals, such as n-pentyl, 1,1-dimethylpropyl or 2-methylbutyl, isomeric hexyl, heptyl, octyl, nonyl or decyl radicals.

Accordingly, "(C₂-C₄)-alkenyl" denotes, for example, the vinyl, allyl, 2-methyl-2-propen-1-yl-, 2- or 3-buten-1-yl group,

accordingly, "(C₃-C₁₀)-alkenyl" denotes, for example, the allyl, 2-methyl-2-propen-1-yl, 2- or 3-buten-1-yl, pentenyl, 2-methylpentenyl, hexenyl, heptenyl, octenyl, nonenyl or decenyl group.

"(C₂-C₄)-Alkynyl" denotes, for example, the ethynyl, propargyl or 2-butyne-1-yl group,

"(C₃-C₁₀)-alkynyl" denotes, for example, the propargyl, 2-butyne-1-yl, 2-pentyne-1-yl, 2-methylpentyne-3-yl, hexynyl, heptynyl, octynyl, nonynyl or the decynyl group.

If the carbon chain of an alkyl radical is interrupted by more than one oxygen atom, this means that two oxygen atoms must not be directly adjacent.

"(C₃-C₆)-Cycloalkyl" denotes the cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical,

"(C₃-C₁₀)-cycloalkyl" denotes monocycle alkyl radicals, such as the cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl or cyclodecyl radical, denotes bicyclic alkyl radicals, such as the norbornyl or bicyclo[2.2.2]octyl radical, or denotes fused systems, such as the decahydronaphthyl radical.

"(C₄-C₁₀)-Cycloalkenyl" denotes monocycle cycloalkylene radicals, such as the cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl or cyclodecenyl radical, denotes bicyclic alkyl radicals, such as the norbornenyl or bicyclo[2.2.2]octenyl radical, or denotes fused systems, such as the tetra-, hexa- or octahydronaphthyl radical.

"(C₁-C₄)-Alkoxy" and "(C₁-C₁₀)-alkoxy" are alkoxy groups whose hydrocarbon radicals have the meanings given under the terms "(C₁-C₄)-alkyl" and "(C₁-C₁₀)-alkyl".

In particular for reasons of better crop-plant- or useful-plant-protecting action (safener action), better selectivity and/or better preparability, the use according to the invention of compounds of the formula (I) mentioned or salts thereof is of particular interest in which individual radicals have one of the preferred meanings already mentioned or mentioned below, and in particular those which contain a combination of one or more of the preferred meanings already mentioned or mentioned below.

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Preferably, if embraced by the above mentioned general definition of formula (I),

R¹ is a (C₁-C₄)-haloalkyl radical, more preferably CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, C(CH₃)₂F or CF₂CF₂CF₃, more preferably CF₃, CF₂Cl, CF₂H, CF₂CF₂CF₃ or CF₂CF₃, more preferably CF₃, CF₂Cl, CF₂CF₂CF₃ or CF₂CF₃, in particular CF₃, CF₂Cl or CF₂CF₃.

Preferably,

R² is hydrogen or halogen. Here, halogen is preferably fluorine, chlorine, bromine or iodine, in particular chlorine, bromine or iodine, very particularly chlorine or bromine. Preferably,

R³ is hydrogen, (C₁-C₁₀)-alkyl, (C₂-C₁₀)-alkenyl or (C₂-C₁₀)-alkynyl,

where each of the 3 last mentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl,

(C₃-C₆)-cycloalkyl, which is unsubstituted or substituted by one or more radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-haloalkyl, preferably unsubstituted or substituted by (C₁-C₄)-alkyl,

phenyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy and (C₁-C₄)-alkylthio, and heterocyclyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and oxo, preferably unsubstituted or substituted by one or more radicals from the group consisting of (C₁-C₄)-alkyl (C₁-C₄)-haloalkyl and (C₁-C₄)-alkoxy,

or (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring,

where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-haloalkyl, preferably unsubstituted or substituted by (C₁-C₄)-alkyl,

phenyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy and (C₁-C₄)-alkylthio, and

heterocyclyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and oxo, preferably unsubstituted or substituted by one or more radicals from the group consisting of (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl and (C₁-C₄)-alkoxy,

more preferably hydrogen, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more

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radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and (C₁-C₄)-alkoxycarbonyl,
 more preferably hydrogen or (C₁-C₄)-alkyl, in particular hydrogen,
 and
 R⁴ is as already defined above for R⁴ or preferably (C₁-C₁₀)-alkyl, (C₂-C₁₀)-alkenyl or (C₂-C₁₀)-alkynyl, where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and [(C₁-C₄)-alkoxy]-carbonyl,
 preferably (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and (C₁-C₄)-alkoxycarbonyl.
 Particular preference is given to the use according to the invention of compounds of the formula (I) or salts thereof in which
 R¹ is CF₃, CF₂Cl, CF₂H, CF₂CF₂CF₃ or CF₂CF₃, preferably CF₃, CF₂Cl, CF₂CF₂CF₃ or CF₂CF₃, in particular CF₃, CF₂Cl or CF₂CF₃ and
 R² is hydrogen or halogen, preferably hydrogen, and
 R³ is hydrogen, (C₁-C₁₀)-alkyl, (C₁-C₁₀)-alkenyl or (C₂-C₁₀)-alkynyl, where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and (C₁-C₄)-alkoxycarbonyl,
 preferably hydrogen, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and (C₁-C₄)-alkoxycarbonyl,
 in particular hydrogen or (C₁-C₄)-alkyl, and
 R⁴ is (C₁-C₁₀)-alkyl, (C₃-C₁₀)-alkenyl or (C₃-C₁₀)-alkynyl, where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-haloalkyl, preferably unsubstituted or substituted by (C₁-C₄)-alkyl,
 phenyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy and (C₁-C₄)-alkylthio, and heterocyclyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and oxo, or (C₃-C₆)-cycloalkyl or (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring,
 where each of the 2 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkyl,

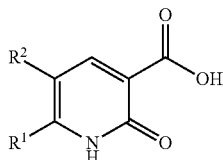
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preferably (C₁-C₁₀)-alkyl, (C₂-C₁₀)-alkenyl or (C₂-C₁₀)-alkynyl,
 where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and (C₁-C₄)-alkoxycarbonyl,
 more preferably (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and (C₁-C₄)-alkoxycarbonyl,
 or
 R³ and R⁴ together with the directly attached nitrogen atom are a four- to eight-membered heterocyclic ring, preferably a 5- or 6-membered heterocyclic ring, which, in addition to the nitrogen atom, may also contain further hetero ring atoms, preferably up to two further hetero ring atoms from the group consisting of N, O and S, and which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, cyano, nitro, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy and (C₁-C₄)-alkylthio,
 or
 R³ and R⁴ together with the directly attached nitrogen atom are the group —N=CR⁵—NR⁶R⁷, in which
 R⁵ is hydrogen or (C₁-C₄)-alkyl, with hydrogen being preferred, and
 R⁶, R⁷ independently of one another are hydrogen or (C₁-C₄)-alkyl, preferably (C₁-C₂)-alkyl, or R⁵ and R⁷ together with the directly attached nitrogen atom form a five- or six-membered, preferably saturated heterocyclic ring, such as, for example, piperidinyl, pyrrolidinyl or morpholinyl.
 Particular preference is also given to the use according to the invention of compounds of the formula (I) or salts thereof in which
 R¹ is a (C₁-C₆)-haloalkyl radical, preferably from the group consisting of CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CF₂CF₂CF₃ and C(CH₃)₂F, more preferably CF₃, CF₂Cl, CF₂H, CF₂CF₂CF₃ or CF₂CF₃, even more preferably CF₃, CF₂Cl, CF₂CF₂CF₃ or CF₂CF₃, in particular CF₃, CF₂CF₃ or CF₂Cl, and
 R² is halogen and
 R³ is hydrogen and
 R⁴ is hydrogen.
 Likewise particularly preferred is the use according to the invention of compounds of the formula (I) or salts thereof in which
 R¹ is CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H or CF₂CF₂Cl, more preferably CF₂Cl or CF₂H or CF₂CF₃, more preferably CF₂Cl or CF₂CF₃, in particular CF₂Cl,
 R² is hydrogen and
 R³ is hydrogen or (C₁-C₄)-alkyl and
 R⁴ is hydrogen.
 Particular preference is also given to the use according to the invention of compounds of the formula (I) or salts thereof in which the general radicals correspond to the radicals mentioned for R¹, R², R³ and R⁴, respectively, in the examples given in the tables, or embrace them.
 Particular preference is also given to the use according to the invention of novel compounds of the formula (I) or salts thereof in which R¹, R², R³ and R⁴ furthermore preferably have the meanings mentioned for the preferred uses.

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The compounds of the general formula (I) can be prepared, for example, by

(a) reacting a carboxylic acid of the general formula (II)

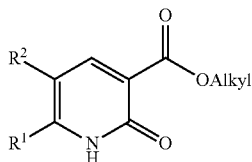


in which R¹ and R² are as defined for the compound of the formula (I) to be prepared, with an amine of the formula (III) or a salt thereof,



in which R³ and R⁴ are as defined for the compound of the formula (I) to be prepared, if appropriate in the presence of a carboxylic acid-activating reagent, for example N,N-carbonyldiimidazole (CDI), or a dehydrating agent, for example dicyclohexylcarbodiimide (DCC), to give the compound of the formula (I) or

(b) reacting a carboxylic ester of the general formula (IV)

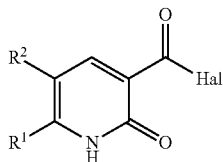


in which R¹ and R² are as defined for the compound of the formula (I) to be prepared and "Alkyl" is an alkyl radical, for example methyl or ethyl, with an amine of the formula (III) or a salt thereof,



in which R³ and R⁴ are as defined for the compound of the formula (I) to be prepared, to give the compound of the formula (I) or

(c) reacting a carbonyl halide or a carboxylic anhydride of the general formula (V),



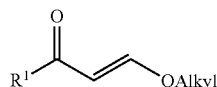
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in which R¹ and R² are as defined for the compound of the formula (I) to be prepared and Hal is a halogen atom, for example chlorine, or an acyloxy radical, with an amine of the formula (III) or a salt thereof,



in which R³ and R⁴ are as defined for the compound of the formula (I) to be prepared, to give the compound of the formula (I),

(d), if R³ and R⁴ in the compound of the formula (I) to be prepared are each hydrogen, reacting a compound of the formula (VI),



in which R¹ is as defined for the compound of the formula (I) to be prepared, and "Alkyl" is an alkyl radical, for example methyl or ethyl,

with malonamide to give the compound of the formula (I).

The amide formations according to variant (a) can be carried out, for example, in an inert organic solvent in a temperature range between 0° C. and 150° C., preferably between 0° C. and 50° C. Suitable organic solvents are, for example, polar protic or aprotic solvents, such as ethers, for example diethyl ether, tetrahydrofuran and dioxane, or nitriles, such as acetonitrile, or amides, such as dimethylformamide.

The amide formations according to variant (b) can be carried out, for example, in an inert organic solvent in a temperature range between 0° C. and 150° C., preferably between 50° C. and 100° C. Suitable organic solvents are, for example, polar protic or aprotic solvents, such as ethers, for example tetrahydrofuran and dioxane, or nitriles, such as acetonitrile, or amides, such as dimethylformamide. However, preference is given to the amide formation according to variant (b) at elevated temperatures by reacting the undiluted reactants.

The amide formations according to variant (c) can be carried out, for example, in the presence of an acid binder in an inert organic solvent in a temperature range between 0° C. and 150° C., preferably between 50° C. and 100° C. Suitable organic solvents are, for example, polar protic or aprotic solvents, such as ethers, for example diethyl ether, tetrahydrofuran and dioxane, or nitriles, such as acetonitrile, or amides, such as dimethylformamide. Acid binders are, for example, alkali metal or alkaline earth metal carbonates, such as, for example, sodium carbonate, potassium carbonate or calcium carbonate, alkali metal or alkaline earth metal hydroxides, such as sodium hydroxide, potassium hydroxide or calcium hydroxide, or alkali metal hydrides or amides, such as sodium hydride or potassium hydride or sodium amide or potassium amide, or else organic bases, such as triethylamine, pyridine, dimethylaminopyridine, DBU (1,8-diazabicyclo[5.4.0]undec-7-ene), DBN (1,5-diazabicyclo[4.3.0]non-5-ene) and 1,4-diaza-bicyclo[2.2.2]octane.

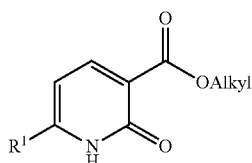
The amide formations according to variant (d) can be carried out analogously to the processes described in EP 522392 and Helv. Chim. Acta 71 (1988) 596-601 and GB 2305174. In

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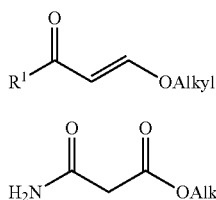
general, the malonamide can be converted in an organic anhydrous polar prone or aprotic solvent, for example in an alcohol, with a strong base, such as an alkali metal, alkali metal hydride or alkali metal alkoxide, into a reactive salt, and then be reacted with the compound of the formula (VI). The reaction with the compound (VI) can generally be carried out in a temperature range between 0° C. and the boiling point of the solvent (depending on the solvent up to about 150° C.).

The compounds of the general formulae (II), (III), (IV) and (V) are either commercially available or can be prepared by or analogously to methods known to the person skilled in the art (for example *Helv. Chim. Acta* 71 (1988) 596; EP 502740 (U.S. Pat. No. 5,393,734); EP 522392).

Thus, for example, the compounds of the formula (IVa)



can be obtained by reacting alkoxyvinyl ethers of the formula (VI) with alkyl malonamides of the formula (VII).



The starting materials of the formula (VI) are either commercially available or can be prepared by known methods (for example *Synthesis* 2000, 738-742; *J. Fluor. Chem.*, 107, 2001, 285-300; *Organometallics* 15, 1996, 5374-5379).

The compounds of the formula (IV) in which R² is a halogen atom can be prepared by customary halogenations from the compounds of the formula (IVa).

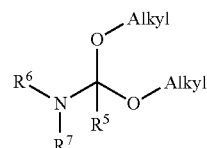
Suitable for use as halogenating agents for pyridine are, for example, chlorine (*J. Org. Chem.* 23, 1958, 1614), bromine (*Synth. Commun.* 19, 1989, 553-560; U.S. Pat. No. 2,532,055), iodine (*Tetrahedron Lett.* 45, 2004, 6633-6636), sodium hypochlorite (*J. Org. Chem.* 49, 1984, 4784-4786; *J. Med. Chem.* 36, 1993, 2676-2688, U.S. Pat. No. 4,960,896), sodium hypobromite (*J. Med. Chem.* 32, 1989, 2178-2199), thionyl chloride (*Organic Letters*, 6, 2004, 3-5), N-chlorosuccinimide (*J. Med. Chem.* 46, 2003, 702-715), N-bromosuccinimide (*Chem. Pharm. Bull.* 48, 2000, 1847-1853), N-iodosuccinimide (*J. Med. Chem.* 36, 1993, 2676-2788).

Furthermore, the compounds of the formula (IV) can be prepared from the compounds of the formula (IVa) by successive nitration (for example *J. Med. Chem.* 36, 1993, 2676-2688; *J. Heterocycl. Chem.* 33, 1996, 287-294), reduction (for example *J. Med. Chem.* 33, 1990, 1859-1865), diazotization and subsequent reaction of the diazonium salts in a Sandmeyer or Schiemann reaction.

The compounds of the formula (I) in which R³ and R⁴ together with the directly attached nitrogen atom are the group —N=CR⁵—NR⁶R⁷ can be prepared by reacting a

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compound of the formula (I) in which R³ and R⁴ are hydrogen with compounds of the formula (VIII) in which R⁵, R⁶ and R⁷ are as defined above



(VIII)

according to known methods (see, for example *Synthesis* 1980, 119-121; *J. Med. Chem.*, 33, 1990, 2052-2059).

The invention also provides a method for protecting crop plants or useful plants against phytotoxic actions of agrochemicals, such as pesticides or, in particular, herbicides which cause damage to crop plants or useful plants, which method comprises using compounds of the formula (I) or salts thereof as safeners, preferably by applying an effective amount of the compounds of the formula (I) or salts thereof to the plants, to parts of plants or their seeds (or seed).

The compounds (I) (=safeners), together with active compounds (pesticides), are suitable for use in the selective control of harmful organisms in a number of plant crops, for example in crops of economic importance, such as cereals (wheat, barley, triticale, rye, rice, corn, millet), sugar beet, sugar cane, oilseed rape, cotton, sunflower, peas, beans and soybeans. Of particular interest is the use in monocotyledonous crops, such as cereals (wheat, barley, rye, triticale, sorghum), including corn and rice, and monocotyledonous vegetable crops, but also in dicotyledonous crops, such as, for example, soybean, oilseed rape, cotton, grape vines, vegetable plants, fruit plants and ornamental plants. The herbicide/safener combinations with the safeners (I) are also suitable for controlling harmful plants in beds and plots of useful plants and ornamental plants, such as, for example, lawn plots with useful or ornamental lawn, especially *lolium*, meadow grass or *Bermuda grass*.

Also of interest from among the useful plants and crop plants in which the herbicide/safener combinations with safeners (I) may be used are mutant crops which are completely or partially tolerant to certain pesticides or completely or partially tolerant transgenic crops, for example corn crops which are resistant to glufosinate or glyphosate, or soybean crops which are resistant to herbicidal imidazolinones. However, the particular advantage of the safeners used in this novel way is their efficient action in crops which normally are insufficiently tolerant to the pesticides being applied.

For the joint use with pesticides, the compounds of the formula (I) according to the invention can be applied simultaneously with the active compounds or in any order, and they are then capable of reducing or completely eliminating harmful side effects of these active compounds in crop plants, without negatively affecting or substantially reducing the activity of these active compounds against unwanted harmful organisms. Here, even damage caused by using a plurality of pesticides, for example a plurality of herbicides or herbicides in combination with insecticides or fungicides, can be reduced substantially or eliminated completely. In this manner, it is possible to extend the field of use of conventional pesticides considerably.

If the compositions according to the invention comprise pesticides, these compositions are, after appropriate dilution, applied either directly to the area under cultivation, to the already germinated harmful and/or useful plants or to the

already emerged harmful and/or useful plants. If the compositions according to the invention do not comprise any pesticide, these compositions can be employed by the tank mix method—i.e. the user mixes and dilutes the separately available products (=the pesticide and the agent protecting the useful plants) immediately prior to application to the area to be treated—or prior to the application of a pesticide, or after the application of a pesticide, or for the pretreatment of seed, i.e., for example, for dressing the seed of the useful plants. Preferably, safener and pesticide are applied within a short time of one another, in particular when the safener is applied to the plants after the herbicide.

The advantageous actions of the compounds (I) according to the invention are observed when they are used together with the pesticides by the pre-emergence method or the post-emergence method, for example in the case of simultaneous application as a tank mix or a coformulation or in the case of a separate application, in parallel or in succession (split application). It is also possible to repeat the application a number of times. In some cases, it may be expedient to combine a pre-emergence application with a post-emergence application. In most cases, one option is a post-emergence application to the useful plant or crop plant together with a simultaneous or later application of the pesticide. Also possible is the use of the compounds (I) according to the invention for seed dressing, for (dip) treatment of seedlings (for example rice) or for the treatment of other propagation material (for example potato tubers).

When using the compounds (I) according to the invention in combination with herbicides, in addition to the safener action, enhanced action, in the herbicidal action, against harmful plants is frequently also observed. Furthermore, in many cases, there is an improved growth of the useful plants and crop plants, and it is possible to increase the harvest yields.

The compositions according to the invention may comprise one or more pesticides. Suitable pesticides are, for example, herbicides, insecticides, fungicides, acaricides and nematocides, which, when used on their own, would cause phytotoxic damage to the crop plants or would probably cause damage. Of particular interest are corresponding pesticidally active compounds from the groups of the herbicides, insecticides, acaricides, nematocides and fungicides, in particular herbicides.

The weight ratio of safener to pesticide can be varied within wide limits and is generally in the range from 1:100 to 100:1, preferably from 1:20 to 20:1, in particular from 1:10 to 10:1. The optimum weight ratio of safener to pesticide depends both on the respective safener used and the respective pesticide, and on the type of useful plant or crop plant to be protected. The required application rate of safener can, depending on the pesticide used and the type of useful plant to be protected, be varied within wide limits and is generally in the range from 0.001 to 10 kg, preferably from 0.01 to 1 kg, in particular from 0.05 to 0.5 kg, of safener per hectare. The weight ratios and amounts required for a successful treatment can be determined by simple preliminary experiments.

For seed dressing, for example, from 0.005 to 20 g of safener per kilogram of seed, preferably from 0.01 to 10 g of safener per kilogram of seed, in particular from 0.05 to 5 g of safener per kilogram of seed, are used.

If solutions of safener are used for seed treatment and the seeds or seedlings are wetted with the solutions, the suitable concentration is generally in the range from 1 to 10 000 ppm, preferably from 100 to 1000 ppm, based on the weight. The weight ratios and amounts required for a successful treatment can be determined by simple preliminary experiments.

The safeners can be formulated in the customary manner, separately or together with the pesticides. Accordingly, the present invention also provides the useful-plant-protecting or crop-plant-protecting compositions.

Preferred is the joint application of safener and pesticide, in particular that of safener and herbicide as a readymix or the use by the tankmix method.

Preference is also given to using the safener (I) in the treatment of seed, followed by the application of pesticides, preferably herbicides, after sowing by the pre- or post-emergence method.

The compounds of the formula (I) or their salts, as such or in the form of their preparations (formulations), can be used in combination with other pesticidally active compounds, such as, for example, insecticides, acaricides, nematocides, herbicides, fungicides, safeners, fertilizers and/or growth regulators, for example as finished formulation or as tank mixes. Here, the combination formulations can be prepared based on the formulations mentioned above, taking into account the physical properties and stabilities of the active compounds to be combined. Suitable as combination partners for the active compounds according to the invention in formulations of mixtures or in tank-mixes are, for example, known, preferably herbicidally active compounds whose action is based on the inhibition of, for example, acetolactate synthase, acetyl-coenzyme-A carboxylase, PS I, PS II, HPPDO, phytoene desaturase, protoporphyrinogen oxidase, glutamine synthetase, cellulose biosynthesis, 5-enolpyruvylshikimate 3-phosphate synthetase. Such compounds and also other compounds which can be used, in some cases having an unknown or a different mechanism of action, are described, for example, in Weed Research 26, 441-445 (1986), or in "The Pesticide Manual", 12th edition 2000, or 13th edition 2003 or 14th edition 2006/2007, or in the corresponding "e-Pesticide Manual", version 4 (2006), all published by the British Crop Protection Council, (hereinbelow also referred to in short as "PM"), and in the literature cited therein. Lists of "common names" are also available in "The Compendium of Pesticide Common Names" on the Internet. Examples of herbicides known from the literature which may be combined with the compounds of the formula (I) are, for example, the following active compounds (note: the compounds are referred to either by the "common name" according to the International Organization for Standardization (ISO) or by the chemical name, if appropriate together with a customary code number):

acetochlor; acibenzolar-5-methyl; acifluorfen(-sodium); aclonifen; AD-67; AKH 7088, i.e. [[[1-[5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrophenyl]-2-methoxyethylidene]amino]oxy]acetic acid and methyl [[[1-[5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrophenyl]-2-methoxyethylidene]-amino]oxy]acetate; alachlor; alloxidim (-sodium); ametryn; amicarbazone, amidochlor, amidosulfuron; aminopyralid; amitrol; AMS, i.e. ammonium sulfamate; ancimadol; anilofos; asulam; atrazine; aviglycine; azafenidin, azimsulfuron (DPX-A8947); aziprotryn; barban; BAS 516 H, i.e. 5-fluoro-2-phenyl-4H-3,1-benzoxazin-4-one; beflubutamid (UBH-509); benazolin(-ethyl); bencarbazone; benfluralin; benfuresate; benoxacor; bensulfuron(-methyl); bensulide; bentazone; benzfendazole; benzobicyclon, benzofenap; benzooflur; benzoylprop(-ethyl); benzthiazuron; bialaphos; bifenox; bispyribac(-sodium) (KIH-2023); borax; bromacil; bromobutide; bromofenoxim; bromoxynil; bromuron; buminafos; busoxinone; butachlor; butafenacil; butamifos; butenachlor (KH-218); buthidazole; butralin; butoxydim, butylate; cafenstrole (CH-900); carbetamide; carfentrazone(-ethyl); CDAA, i.e. 2-chloro-N,N-di-2-prope-

nylacetamide; CDEC, i.e. 2-chlorallyl diethyldithiocarbamate; chlormethoxyfen; chloramben; chlorazifop-butyl, chlorbromuron; chlorbufam; chlorfenac; chlorfenprop; chlorflurecol(-methyl); chlorfluoreol(-methyl); chloridazon; chlorimuron(-ethyl); chlormequat(chloride); chlornitrofen; chlorophthalim (MK-616); chlorotoluron; chloroxuron; chlorpropham; chlorsulfuron; chlorthal-dimethyl; chlorthiamid; chlortoluron, cinidon(-methyl and -ethyl), cinmethylin; cinosulfuron; clefoxydim, clethodim; clodinafop and its ester derivatives (for example clodinafop-propargyl); clofencet; clomazone; clomeprop; cloprop; cloproxydim; clopyralid; clopyrasulfuron(-methyl), cloquintocet(-methyl); cloransulam(-methyl), cumyluron (JC 940); cyanamide; cyanazine; cycloate; cyclosulfamuron (AC 104); cycloxydim; cycluron; cyhalofop and its ester derivatives (for example the butyl ester, DEH-112); cyperquat; cyprazine; cyprazole; cyprosulfamide; daimuron; 2,4-D, 2,4-DB; dalapon; daminozide; dazomet; n-decanol; desmedipham; desmetryn; di-allate; dicamba; dichlobenil; dichlormid; dichlorprop(-P) salts; diclofop and its esters, such as diclofop-methyl; diclofop-P(-methyl); diclosulam, diethatyl(-ethyl); difenoxuron; difenzoquat(metilsulfate); diflufenican; diflufenzopyr(-sodium); dimefuron; dimepiperate, dimethachlor; dimethametryn; dimethazone; dimethenamid (SAN-582H); dimethenamide-P; dimethylarsinic acid; dimethipin; dimetrasulfuron, dinitramine; dinoseb; dinoterb; diphenamid; dipropetryn; diquat salts; dithiopyr; diuron; DNOC; eglinazone-ethyl; EL 77, i.e. 5-cyano-1-(1,1-dimethylethyl)-N-methyl-1H-pyrazole-4-carboxamide; endothal; epoprodan, EPTC; esprocarb; ethalfluralin; ethametsulfuron-methyl; ethephon; ethidimuron; ethiozin; ethofumesate; ethoxyfen and its esters (for example the ethyl ester, HN-252); ethoxysulfuron, etobenzanid (HW 52); F5231, i.e. N-[2-chloro-4-fluoro-5-[4-(3-fluoropropyl)-4,5-dihydro-5-oxo-1H-tetrazol-1-yl]phenyl]ethanesulfonamide; fenchlorazole(-ethyl); fencloirim; fenoprop; fenoxan, fenoxaprop and fenoxaprop-P and also their esters, for example fenoxaprop-P-ethyl and fenoxaprop-ethyl; fenoxymid; fentrazamide, fenuron; ferrous sulfate; flamprop(-methyl or -isopropyl or -isopropyl-L); flamprom(-methyl or -isopropyl); flazasulfuron; florasulam, fluzafop and fluzafop-P and their esters, for example fluzafop-butyl and fluzafop-P-butyl; fluzolate, flucarbazone(-sodium), flucetosulfuron; fluchloralin; flufenacet; flufenpyr(-ethyl); flumetralin; flumetsulam; flumeturon; flumiclorac(-pentyl), flumioxazin (S-482); flumipropyn; flumeturon, fluorochloridone, fluorodifen; fluoroglycofen(-ethyl); flupoxam (KNW-739); flupropacil (UBIC-4243); flupropanoate; flupyrasulfuron(-methyl)(-sodium); flurazole; flurenol(-butyl); fluridone; flurochloridone; fluoroxypyr(-methyl); flurprimidol, flurtamone; fluthiacet(-methyl) (KIH-9201); fluthiamide, fluxofenim; fomesafen; foramsulfuron, forchlorfenuron; fosamine; furilazole; furyloxyfen; gibberilic acid; glufosinate(-ammonium); glyphosate(-isopropylammonium); halosafen; halosulfuron(-methyl); haloxyfop and its esters; haloxyfop-P(=R-haloxyfop) and its esters; HC-252; hexazinone; imazamethabenz(-methyl); imazamethapyr, imazamox, imazapic, imazapyr; imazaquin and salts, such as the ammonium salt; imazethamethapyr; imazethapyr; imazosulfuron; inabenfide; indanofan; indole-3-acetic acid; 4-indol-3-ylbutyric acid; iodosulfuron-methyl(-sodium); ioxynil; isocarbamid; isopropalin; isoproturon; isouron; isoxaben; isoxachlortole, isoxadifen(-ethyl); isoxaflutole, isoxapyrifop; karbutilate; lactofen; lenacil; linuron; maleic hydrazide (MH), MCPA; MCPB; mecoprop(-P); mefenacet; mefenpyr(diethyl); mefluidid; mepiquat(-chloride); mesosulfuron(-methyl); mesotrione, metam; metamifop; metamitron; metazachlor; methabenzthiazuron;

metham; methazole; methoxyphenone; methylarsonic acid; methylcyclopropene; methylidymron; methyl isothiocyanate; methabenzthiazuron; metobenzuron; metobromuron; (alpha)-metolachlor; metosulam (XRD 511); metoxuron; metribuzin; metsulfuron-methyl; molinate; monalide; monocarbamide dihydrogensulfate; monolinuron; monuron; MT 128, i.e. 6-chloro-N-(3-chloro-2-propenyl)-5-methyl-N-phenyl-3-pyridazinamine; MT 5950, i.e. N-[3-chloro-4-(1-methylethyl)phenyl]-2-methylpentanamide; naproanilide; napropamide; naptalam; NC 310, i.e. 4-(2,4-dichlorobenzoyl)-1-methyl-5-benzoyloxypyrazole; neburon; nicosulfuron; nipyraclufen; nitralin; nitrofen; nitrophenolate mixture; nitrofluorfen; nonanoic acid; norflurazon; orbencarb; orthasulfamuron; oxabetrinil; oryzalin; oxadiargyl (RP-020630); oxadiazon; oxasulfuron, oxaziclomefone, oxyfluorfen; paclobutrazol; paraquat(dichloride); pebulate; pelargonic acid, pendimethalin; penoxsulam; pentachlorophenol; pentanochlor; pentoxazone, perfluidone; pethoxamid; phenisopham; phenmedipham; picloram; picolinafen, pinoxaden, piperophos; piributicarb; pirifenop-butyl; pretilachlor; primisulfuron(-methyl); probenazole; procabazone(-sodium), propazine; prodiamine; profluralin; profoxydim; prohexadione(-calcium); prohydrojasmon; proglazine(-ethyl); prometon; prometryn; propachlor; propanil; propaquizafop; propazine; propham; propisochlor; propoxycarbazone(-sodium) (MKH-6561); n-propyl dihydrojasmonate; propyzamide; prosulfalin; prosulfocarb; prosulfuron (CGA-152005); prynachlor; pyraclostrobin; pyraflufen(ethyl) (ET-751); pyrasulfotole; pyrazolynate; pyrazon; pyrazosulfuron(-ethyl); pyrazoxyfen; pyribenzoxim, pyributicarb, pyridafol, pyridate; pyritalid; pyriminobac(-methyl) (KIH-6127); pyrimisulfan (KIH-5996); pyriithiobac(-sodium) (KIH-2031); pyroxasulfone (KIH-485); pyroxyfop and its esters (for example the propargyl ester); pyroxsulam; quinclorac; quinmerac; quinclamine, quinoxifop and its ester derivatives, quizalofop and quizalofop-P and their ester derivatives, for example quizalofop-ethyl; quizalofop-P-tefuryl and -ethyl; renniduron; rimsulfuron (DPX-E 9636); S 275, i.e. 2-[4-chloro-2-fluoro-5-(2-propynyloxy)phenyl]-4,5,6,7-tetrahydro-2H-indazol; saflufenacil (N'-[2-chloro-4-fluoro-5-(3-methyl-2,6-dioxo-4-(trifluoromethyl)-3,6-dihydro-1(2H)-pyrimidinyl)benzoyl]-N-isopropyl-N-methylsulfamide), secbumeton; sethoxydim; siduron; simazine; simetryn; sintofen; SN 106279, i.e. 2-[[7-[2-chloro-4-(trifluoromethyl)phenoxy]-2-naphthalenyl]oxy]propanoic acid and methyl 2-[[7-[2-chloro-4-(trifluoromethyl)phenoxy]-2-naphthalenyl]oxy]propanoate; sulcotrione, sulfentrazone (FMC-97285, F-6285); sulfazuron; sulfometuron(-methyl); sulfosate (ICI-A0224); sulfosulfuron, TCA; tebutam (GCP-5544); tebuthiuron; tecnacene; tembotrione; tefuryltrione; tepaloxymid, terbacil; terbucarb; terbuchlor; terbumeton; terbuthylazine; terbutryn; TFH 450, i.e. N,N-diethyl-3-[(2-ethyl-6-methylphenyl)sulfonyl]-1H-1,2,4-triazole-1-carboxamide; thenylchlor (NSK-850); thiaflumide, thiazafurorin; thiazopyr (Mon-13200); thidiazimin (SN-24085); thidiazuron; thiencarbazine; thifensulfuron(-methyl); thiobencarb; Ti 35; tiocarbazon; topramezone; tralkoxydim; tri-allate; triasulfuron; triaziflam, triazofenamide; tribenuron(-methyl); triclopyr; tridiphane; trietazine; trifloxysulfuron; trifluralin; triflusulfuron and esters (for example the methyl ester, DPX-66037); trimeturon; trinexapac; tritosulfuron, tsitodef; uniconazole; vernolate; WL 110547, i.e. 5-phenoxy-1-[3-(trifluoromethyl)phenyl]-1H-tetrazole; D-489; LS 82-556; KPP-300; NC-324; NC-330; DPX-N8189; SC-0774; DOWCO-535; DK-8910; V-53482; PP-600 and MBH-001.

Insecticides which may cause damage to plants when used on their own or together with herbicides are, for example, the following:

Organophosphates, for example terbufos (Counter®), fonofos (Dyfonate®), phorate (Thimet®), chlorpyrifos (Reldan®), carbamates, such as carbofuran (Furadan®), pyrethroid insecticides, such as tefluthrin (Force®), deltamethrin (Decis®) and tralomethrin (Scout®), and other insecticidal agents having a different mechanism of action.

Herbicides, whose phytotoxic side effects on crop plants can be reduced using compounds of the formula (I) are, for example, herbicides from the group of the carbamates, thiocarbamates, haloacetanilides, substituted phenoxy-, naphthoxy- and phenoxyphenoxy-carboxylic acid derivatives and heteroaryloxyphenoxyalkancarboxylic acid derivatives, such as quinolyloxy-, quinoxalyloxy-, pyridyloxy-, benzoxazolyloxy- and benzothiazolyloxyphenoxyalkancarboxylic acid esters, cyclohexanedione oximes, benzoylcyclohexanediones, benzoylisoxazoles, benzoylpyrazoles, imidazolinones, pyrimidinylloxypyridinecarboxylic acid derivatives, pyrimidylloxypyridinecarboxylic acid derivatives, sulfonylureas, sulfonylaminocarbonyl triazolinones, triazolopyrimidinesulfonamide derivatives, phosphinic acid derivatives and salts thereof, glycine derivatives, triazolinones, triazinones and also S—(N-aryl-N-alkylcarbamoylmethyl)dithiophosphoric esters, pyridinecarboxylic acids, pyridines, pyridinecarboxamides, 1,3,5-triazines and others.

Preference is given here to phenoxyphenoxy- and heteroaryloxyphenoxy-carboxylic acid esters and salts, cyclohexanedione oximes, benzoylcyclohexanediones, benzoylisoxazoles, benzoylpyrazoles, sulfonylureas, sulfonylaminocarbonyl triazolinones, imidazolinones and mixtures of the active compounds mentioned with one another and/or with active compounds used for broadening the activity spectrum of the herbicides, for example bentazone, cyanazine, atrazine, bromoxynil, dicamba and other leaf-acting herbicides.

Herbicides which are suitable for combination with the safeners according to the invention are, for example:

A) herbicides of the type of the phenoxyphenoxy- and heteroaryloxyphenoxy-carboxylic acid derivatives, such as

A1) phenoxyphenoxy- and benzyloxyphenoxy-carboxylic acid derivatives, for example methyl 2-(4-(2,4-dichlorophenoxy)phenoxy)propionate (diclofop-methyl),

methyl 2-(4-(4-bromo-2-chlorophenoxy)phenoxy)propionate (DE-A 26 01 548 (U.S. Pat. No. 4,370,489)),

methyl 2-(4-(4-bromo-2-fluorophenoxy)phenoxy)propionate (U.S. Pat. No. 4,808,750),

methyl 2-(4-(2-chloro-4-trifluoromethylphenoxy)phenoxy)propionate (DE-A 24 33 067 (U.S. Pat. No. 4,332,960)),

methyl 2-(4-(2-fluoro-4-trifluoromethylphenoxy)phenoxy)propionate (U.S. Pat. No. 4,808,750),

methyl 2-(4-(2,4-dichlorobenzyl)phenoxy)propionate (DE-A 24 17 487 (U.S. Pat. No. 4,088,474)),

ethyl 4-(4-(4-trifluoromethylphenoxy)phenoxy)pent-2-enoate,

methyl 2-(4-(4-trifluoromethylphenoxy)phenoxy)propionate (DE-A 24 33 067),

butyl (R)-2-[4-(4-cyano-2-fluorophenoxy)phenoxy]propionate (cyhalofop-butyl)

A2) "monocyclic" heteroaryloxyphenoxyalkancarboxylic acid derivatives, for example ethyl 2-(4-(3,5-dichloropyridyl-2-oxy)phenoxy)propionate (EP-A 0 002 925),

propargyl 2-(4-(3,5-dichloropyridyl-2-oxy)phenoxy)propionate (EP-A 0 003 114 (U.S. Pat. No. 4,300,944)),

methyl (RS)- or (R)-2-(4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenoxy)propionate (haloxyfop-methyl or haloxyfop-P-methyl),

ethyl 2-(4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenoxy)propionate (EP-A 0 003 890 (U.S. Pat. No. 4,840,664)),

propargyl 2-(4-(5-chloro-3-fluoro-2-pyridyloxy)phenoxy)propionate (clodinafop-propargyl),

butyl (RS)- or (R)-2-(4-(5-trifluoromethyl-2-pyridyloxy)phenoxy)propionate (fluazifop-butyl or fluazifop-P-butyl), (R)-2-[4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenoxy]propionic acid

A3) "bicyclic" heteroaryloxyphenoxyalkancarboxylic acid derivatives, for example methyl and ethyl (RS)- or (R)-2-(4-(6-chloro-2-quinoxalyloxy)phenoxy)propionate (quizalofop-methyl and -ethyl or quizalofop-P-methyl and -P-ethyl),

methyl 2-(4-(6-fluoro-2-quinoxalyloxy)phenoxy)propionate (see J. Pest. Sci. Vol. 10, 61 (1985)),

2-isopropylidenaminoxyethyl (R)-2-(4-(6-chloro-2-quinoxalyloxy)phenoxy)propionate (propaquizafop),

ethyl (RS)- or (R)-2-(4-(6-chlorobenzoxazol-2-yloxy)phenoxy)propionate (fenoxaprop-ethyl or fenoxaprop-P-ethyl),

ethyl 2-(4-(6-chlorobenzthiazol-2-yloxy)phenoxy)propionate (DE-A-26 40 730 (U.S. Pat. No. 4,130,413)),

tetrahydro-2-furylmethyl (RS)- or (R)-2-(4-(6-chloroquinoxalyloxy)phenoxy) propionate (EP-A-0 323 727 (U.S. Pat. No. 5,120,348));

(R)-2-[4-(6-chloro-1,3-benzoxazol-2-yloxy)phenoxy]-2'-fluoro-N-methylpropionanilide (metamifop);

B) herbicides from the group of the sulfonylureas, such as pyrimidinyl- or triazinylaminocarbonyl[benzene-, -pyridine-, -pyrazole-, -thiophene- and -(alkyl-sulfonyl)alkylamino]sulfamides. Preferred substituents on the pyrimidine ring or the triazine ring are alkoxy, alkyl, haloalkoxy, haloalkyl, halogen or dimethylamino, it being possible to combine all substituents independently of one another. Preferred substituents in the benzene, pyridine, pyrazole, thiophene or (alkylsulfonyl)alkylamino moiety are alkyl, alkoxy, halogen, nitro, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkoxyaminocarbonyl, haloalkoxy, haloalkyl, alkylcarbonyl, alkoxyalkyl, (alkanesulfonyl)alkylamino. Such suitable sulfonylureas are, for example,

B1) phenyl- and benzylsulfonylureas and related compounds, for example 1-(2-chlorophenylsulfonyl)-3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)urea (chlorsulfuron),

1-(2-ethoxycarbonylphenylsulfonyl)-3-(4-chloro-6-methoxy-pyrimidin-2-yl)urea (chlorimuron-ethyl),

1-(2-methoxyphenylsulfonyl)-3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)urea (metsulfuron-methyl),

1-(2-chloroethoxyphenylsulfonyl)-3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)urea (triasulfuron),

1-(2-methoxycarbonylphenylsulfonyl)-3-(4,6-dimethylpyrimidin-2-yl)urea (sulfometuron-methyl),

1-(2-methoxycarbonylphenylsulfonyl)-3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-3-methylurea (tribenuron-methyl),

1-(2-methoxycarbonylbenzylsulfonyl)-3-(4,6-dimethoxypyrimidin-2-yl)urea (bensulfuron-methyl),

1-(2-methoxycarbonylphenylsulfonyl)-3-(4,6-bis-(difluoromethoxy)pyrimidin-2-yl)urea (primisulfuron-methyl),

65 3-(4-ethyl-6-methoxy-1,3,5-triazin-2-yl)-1-(2,3-dihydro-1,1-dioxo-2-methylbenzo[b]thiophene-7-sulfonyl)urea (EP-A 0 079 683 (U.S. Pat. No. 4,492,596)),

3-(4-ethoxy-6-ethyl-1,3,5-triazin-2-yl)-1-(2,3-dihydro-1,1-dioxo-2-methylbenzo[b]-thiophene-7-sulfonyl)urea (EP-A 0 079 683),
 3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-1-(2-methoxycarbonyl-5-iodophenylsulfonyl)urea (WO 92/13845 (U.S. Pat. No. 5,463,081)),
 methyl 2-[4-dimethylamino-6-(2,2,2-trifluoroethoxy)-1,3,5-triazin-2-ylcarbamoylsulfamoyl]-3-methylbenzoate (DPX-66037, triflusulfuron-methyl),
 oxetan-3-yl 2-[(4,6-dimethylpyrimidin-2-yl)carbamoylsulfamoyl]benzoate (CGA-277476, oxasulfuron),
 methyl 4-iodo-2-[3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)ureidosulfonyl]benzoate, sodium salt (iodosulfuron-methyl-sodium),
 methyl 2-[3-(4,6-dimethoxypyrimidin-2-yl)ureidosulfonyl]-4-methanesulfonylaminomethylbenzoate (mesosulfuron-methyl, WO 95/10507 (U.S. Pat. No. 5,648,315)),
 N,N-dimethyl-2-[3-(4,6-dimethoxypyrimidin-2-yl)ureidosulfonyl]-4-formylaminobenzamide (foramsulfuron, WO 95/01344 (U.S. Pat. No. 5,658,854)),
 1-(4,6-dimethoxy-1,3,5-triazin-2-yl)-3-[2-(2-methoxyethoxy)phenylsulfonyl]urea (cinosulfuron),
 methyl 2-[(4-ethoxy-6-methylamino-1,3,5-triazin-2-yl)carbamoylsulfamoyl]benzoate (ethametsulfuron-methyl),
 1-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-3-[2-(3,3,3-trifluoropropyl)phenylsulfonyl]urea (prosulfuron),
 1-(4-methoxy-6-trifluoromethyl-1,3,5-triazin-2-yl)-3-(2-trifluoromethylbenzenesulfonyl)urea (tritosulfuron);
 N-[(4-methylpyrimidin-2-yl)carbamoyl]-2-nitrobenzenesulfonamide (monosulfuron), methyl-2-[(4-methoxy-6-(methylthio)pyrimidin-2-yl)carbamoyl]amino)sulfonyl]benzoate
 B2) thienylsulfonylureas, for example
 1-(2-methoxycarbonylthiophen-3-yl)-3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)urea (thifensulfuron-methyl);
 B3) pyrazolylsulfonylureas, for example
 1-(4-ethoxycarbonyl-1-methylpyrazol-5-ylsulfonyl)-3-(4,6-dimethoxypyrimidin-2-yl)urea (pyrazosulfuron-ethyl),
 methyl 3-chloro-5-(4,6-dimethoxypyrimidin-2-ylcarbamoylsulfamoyl)-1-methylpyrazole-4-carboxylate (halosulfuron-methyl),
 methyl 5-(4,6-dimethylpyrimidin-2-ylcarbamoylsulfamoyl)-1-(2-pyridyl)pyrazole-4-carboxylate (NC-330, see Brighton Crop Prot. Conference 'Weeds' 1991, Vol. 1, p. 45 et seq.),
 1-(4,6-dimethoxypyrimidin-2-yl)-3-[1-methyl-4-(2-methyl-2H-tetrazol-5-yl)pyrazol-5-ylsulfonyl]urea (DPX-A8947, azimsulfuron);
 N-[(4,6-dimethoxypyrimidin-2-yl)carbamoyl]-4-(5,5-dimethyl-4,5-dihydroisoxazol-3-yl)-1,3-dimethyl-1H-pyrazole-5-sulfonamide;
 B4) sulfonediamide derivatives, for example
 3-(4,6-dimethoxypyrimidin-2-yl)-1-(N-methyl-N-methylsulfonylaminosulfonyl)urea (amidosulfuron) and its structural analogs (EP-A 0 131 258 (U.S. Pat. No. 4,601,747) and Z. Pfl. Krankh. Pfl. Schutz, special issue XII, 489-497 (1990));
 B5) pyridylsulfonylureas, for example
 1-(3-N,N-dimethylaminocarbonylpyridin-2-ylsulfonyl)-3-(4,6-dimethoxypyrimidin-2-yl)urea (nicosulfuron),
 1-(3-ethylsulfonylpyridin-2-ylsulfonyl)-3-(4,6-dimethoxypyrimidin-2-yl)urea (rimsulfuron),
 methyl 2-[3-(4,6-dimethoxypyrimidin-2-yl)ureidosulfonyl]-6-trifluoromethyl-3-pyridinecarboxylate, sodium salt (DPX-KE 459, flupyr-sulfuron-methyl-sodium),

3-(4,6-dimethoxypyrimidin-2-yl)-1-(3-N-methylsulfonyl-N-methylaminopyridin-2-yl)sulfonylurea or its salts (DE-A 40 00 503 (U.S. Pat. No. 5,494,886) and DE-A 40 30 577),
 1-(4,6-dimethoxypyrimidin-2-yl)-3-(3-trifluoromethyl-2-pyridylsulfonyl)urea (flazasulfuron),
 1-(4,6-dimethoxypyrimidin-2-yl)-3-[3-(2,2,2-trifluoroethoxy)-2-pyridylsulfonyl]urea sodium salt (trifloxysulfuron-sodium);
 10 (1RS,2RS; 1RS,2SR)-1-{3-[(4,6-dimethoxypyrimidin-2-yl)carbamoyl]sulfamoyl}-2-pyridyl}-2-fluoropropyl methoxyacetate (flucetosulfuron);
 B6) alkoxyphenoxysulfonylureas, for example
 15 3-(4,6-dimethoxypyrimidin-2-yl)-1-(2-ethoxyphenoxy)sulfonylurea or its salts (ethoxysulfuron);
 B7) imidazolylsulfonylureas, for example
 1-(4,6-dimethoxypyrimidin-2-yl)-3-(2-ethylsulfonylimidazo[1,2-a]pyridin-3-yl)sulfonylurea (MON 37500, sulfosulfuron),
 20 1-(2-chloroimidazo[1,2-a]pyridin-3-ylsulfonyl)-3-(4,6-dimethoxypyrimidin-2-yl)urea (imazosulfuron);
 2-chloro-N-[(4,6-dimethoxypyrimidin-2-yl)carbamoyl]-6-propylimidazo[1,2-b]pyridazine-3-sulfonamide;
 B8) phenylaminosulfonylureas, for example
 25 1-[2-(cyclopropylcarbonyl)phenylaminosulfonyl]-3-(4,6-dimethoxypyrimidin-2-yl)urea (cyclosulfamuron);
 1-(4,6-dimethoxypyrimidin-2-yl)-3-[2-(dimethylcarbamoyl)phenylsulfamoyl]urea (orthosulfamuron);
 C) chloroacetanilides, for example
 30 acetochlor, alachlor, butachlor, dimethachlor, dimethenamid, dimethenamid-P; metazachlor, metolachlor, S-metolachlor, pethoxamid, pretilachlor, propachlor, propisochlor and thenylchlor;
 D) thiocarbamates, for example
 35 S-ethyl N,N-dipropylthiocarbamate (EPTC),
 S-ethyl N,N-diisobutylthiocarbamate (butylate);
 cycloate, dimepiperate, esprocarb, molinate, orbencarb, pebulate, prosulfocarb, thiobencarb, tiocarbazil, tri-allate and vernolate;
 E) cyclohexanedione oximes, for example
 40 alloxydim, butoxydim, clethodim, cloproxydim, cycloxydim, profoxydim, sethoxydim, tepraloxym and tralkoxydim;
 F) imidazolinones, for example
 45 imazamethabenz-methyl, imazapic, imazamox, imazapyr, imazaquin and imazethapyr;
 G) triazolopyrimidinesulfonamide derivatives, for example
 50 chloransulam-methyl, diclosulam, florasulam, flumetsulam, metosulam and penoxsulam, i.e. 2-(2,2-difluoroethoxy)-N-(5,8-dimethoxy[1,2,4]triazolo[1,5-c]pyrimidin-2-yl)-6-(trifluoromethyl)benzenesulfonamide, and pyroxsulam, i.e. N-(5,7-dimethoxy[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)-2-methoxy-4-(trifluoromethyl)-3-pyridinesulfonamide;
 55 H) benzoylcyclohexanediones, for example
 2-(2-chloro-4-methylsulfonylbenzoyl)cyclohexane-1,3-dione (SC-0051, sulcotrione),
 60 2-(2-nitrobenzoyl)-4,4-dimethylcyclohexane-1,3-dione (EP-A 0 274 634),
 2-(2-nitro-3-methylsulfonylbenzoyl)-4,4-dimethylcyclohexane-1,3-dione (WO 91/13548),
 2-[4-(methylsulfonyl)-2-nitrobenzoyl]-1,3-cyclohexanedione (mesotrione)
 2-[2-chloro-3-(5-cyanomethyl-4,5-dihydroisoxazol-3-yl)-4-(ethylsulfonyl)benzoyl]-1,3-cyclohexanedione,

2-[2-chloro-3-(5-cyanomethyl-4,5-dihydroisoxazol-3-yl)-4-(methylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-(5-ethoxymethyl-4,5-dihydroisoxazol-3-yl)-4-(ethylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-(5-ethoxymethyl-4,5-dihydroisoxazol-3-yl)-4-(methylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-[(2,2,2-trifluoroethoxy)methyl]-4-(ethylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-[(2,2,2-trifluoroethoxy)methyl]-4-(methylsulfonyl)benzoyl]-1,3-cyclohexanedione (tembotrione),
 2-[2-chloro-3-[(2,2-difluoroethoxy)methyl]-4-(ethylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-[(2,2-difluoroethoxy)methyl]-4-(methylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-[(2,2,3,3-tetrafluoropropoxy)methyl]-4-(ethylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-[(2,2,3,3-tetrafluoropropoxy)methyl]-4-(methylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-(cyclopropylmethoxy)-4-(ethylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-(cyclopropylmethoxy)-4-(methylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-(tetrahydrofuran-2-ylmethoxymethyl)-4-(ethylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-(tetrahydrofuran-2-ylmethoxymethyl)-4-(methylsulfonyl)benzoyl]-1,3-cyclohexanedione (tefuryltri-one),
 2-[2-chloro-3-[2-(2-methoxyethoxy)ethoxymethyl]-4-(ethylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 2-[2-chloro-3-[2-(2-methoxyethoxy)ethoxymethyl]-4-(methylsulfonyl)benzoyl]-1,3-cyclohexanedione,
 3-({2-[(2-methoxyethoxy)methyl]-6-(trifluoromethyl)pyridin-3-yl}carbonyl)-bicyclo[12.1]octane-2,4-dione (WO 2001094339);
 I) benzoylisoxazoles, for example
 5-cyclopropyl-[2-(methylsulfonyl)-4-(trifluoromethyl)benzoyl]isoxazole (isoxaflutole);
 (4-chloro-2-mesylphenyl)(5-cyclopropyl-1,2-oxazol-4-yl) methanone (isoxachlortole);
 j) benzoylpyrazoles, for example
 2-[4-(2,4-dichloro-m-toluyloyl)-1,3-dimethylpyrazol-5-yl]oxy-4'-methylacetophenone (benzofenap),
 4-(2,4-dichlorobenzoyl)-1,3-dimethylpyrazol-5-yltoluene-4-sulfonate (isoxaflutole),
 2-[4-(2,4-dichlorobenzoyl)-1,3-dimethylpyrazol-5-yl]oxy acetophenone (pyrazoxyfen);
 5-hydroxy-1-methyl-4-[2-(methylsulfonyl)-4-trifluoromethylbenzoyl]pyrazole (WO 01/74785 (U.S. Pat. No. 6,420,317)),
 1-ethyl-5-hydroxy-4-[2-(methylsulfonyl)-4-trifluoromethylbenzoyl]pyrazole (WO 01/74785),
 1,3-dimethyl-5-hydroxy-4-[2-(methylsulfonyl)-4-trifluoromethylbenzoyl]pyrazole (WO 01/74785),
 1-ethyl-5-hydroxy-3-methyl-4-[2-(methylsulfonyl)-4-trifluoromethylbenzoyl]pyrazole (pyrasulfotole, WO 01/74785),
 5-hydroxy-1-methyl-4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]pyrazole (WO 99/58509 (U.S. Pat. No. 6,525,204)),
 5-hydroxy-1-methyl-4-[3-(4,5-dihydroisoxazol-3-yl)-2-methyl-4-methylsulfonylbenzoyl]pyrazole (topramezone, WO 99/58509),
 1-ethyl-5-hydroxy-3-methyl-4-[2-methyl-4-methylsulfonyl-3-(2-methoxyethylamino)benzoyl]pyrazole (WO 96/26206 (U.S. Pat. No. 5,846,907)),

3-cyclopropyl-5-hydroxy-1-methyl-4-[2-methyl-4-methylsulfonyl-3-(2-methoxy-ethylamino)benzoyl]pyrazole (WO 96/26206),
 5-benzyloxy-1-ethyl-4-[2-methyl-4-methylsulfonyl-3-(2-methoxyethylamino)benzoyl]pyrazole (WO 96/26206),
 1-ethyl-5-hydroxy-4-(3-dimethylamino-2-methyl-4-methylsulfonylbenzoyl)pyrazole (WO 96/26206),
 5-hydroxy-1-methyl-4-(2-chloro-3-dimethylamino-4-methylsulfonylbenzoyl)pyrazole (WO 96/26206),
 1-ethyl-5-hydroxy-4-(3-allylamino-2-chloro-4-methylsulfonylbenzoyl)pyrazole (WO 96/26206),
 1-ethyl-5-hydroxy-4-(2-methyl-4-methylsulfonyl-3-morpholinobenzoyl)pyrazole (WO 96/26206),
 5-hydroxy-1-isopropyl-4-(2-chloro-4-methylsulfonyl-3-morpholinobenzoyl)pyrazole (WO 96/26206),
 3-cyclopropyl-5-hydroxy-1-methyl-4-(2-chloro-4-methylsulfonyl-3-morpholinobenzoyl)pyrazole (WO 96/26206),
 1,3-dimethyl-5-hydroxy-4-(2-chloro-4-methylsulfonyl-3-pyrazol-1-ylbenzoyl)pyrazole (WO 96/26206),
 1-ethyl-5-hydroxy-3-methyl-4-(2-chloro-4-methylsulfonyl-3-pyrazol-1-ylbenzoyl)pyrazole (WO 96/26206),
 1-ethyl-5-hydroxy-4-(2-chloro-4-methylsulfonyl-3-pyrazol-1-ylbenzoyl)pyrazole (WO 96/26206),
 (5-hydroxy-1-methyl-1H-pyrazol-4-yl)(3,3,4-trimethyl-1,1-dioxido-2,3-dihydro-1-benzothien-5-yl)methanone (US2002/0016262),
 1-methyl-4-[(3,3,4-trimethyl-1,1-dioxido-2,3-dihydro-1-benzothien-5-yl)carbonyl]-1H-pyrazol-5-ylpropane-1-sulfonate (US2002/0016262, WO 2002/015695 (US 2002052296));
 3-(2-chloro-4-mesylbenzoyl)-2-phenylthiobicyclo[3.2.1]oct-2-en-4-one (benzobicyclon);
 K) sulfonylaminocarbonyl triazolinones, for example
 4,5-dihydro-3-methoxy-4-methyl-5-oxo-N-(2-trifluoromethoxyphenylsulfonyl)-1H-1,2,4-triazole-1-carboxamide sodium salt (flucarbazone-sodium),
 methyl 2-(4,5-dihydro-4-methyl-5-oxo-3-propoxy-1H-1,2,4-triazol-1-yl)carboxamido-sulfonylbenzoate sodium salt (propoxycarbazone-Na);
 methyl 4-[(4,5-dihydro-3-methoxy-4-methyl-5-oxo-1H-1,2,4-triazol-1-yl)carbonyl-sulfamoyl]-5-methylthiophene-3-carboxylate (thienicarbazone-methyl);
 L) triazolinones, for example
 4-amino-N-ten-butyl-4,5-dihydro-3-isopropyl-5-oxo-1,2,4-1H-triazole-1-carboxamide (amicarbazone),
 2-(2,4-dichloro-5-prop-2-ynyloxyphenyl)-5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-a]pyridin-3(2H)-one (azafenidin),
 ethyl (RS)-2-chloro-3-[2-chloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-4-fluorophenyl]propionate (carfentrazone-ethyl),
 2',4'-dichloro-5'-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-methanesulfonanilide (sulfentrazone);
 4-[4,5-dihydro-4-methyl-5-oxo-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]-2-[(ethylsulfonyl)amino]-5-fluorobenzenecarbothioamide (bencarbazone);
 M) phosphinic acids and derivatives, for example
 4-[hydroxy(methyl)phosphinoyl]-L-homoalanyl-L-alanyl-L-alanine (bilanafos),
 DL-homoalanin-4-yl(methyl)phosphinic acid ammonium salt (glufosinate-ammonium);
 N) glycine derivatives, for example
 N-(phosphonomethyl)glycine and its salts (glyphosate and salts, for example the sodium salt or the isopropylammonium salt),
 N-(phosphonomethyl)glycine trimesium salt (sulfosate);

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O) pyrimidinyloxy pyridinecarboxylic acid derivatives; pyrimidinyloxybenzoic acid derivatives and pyrimidinylthiobenzoic acid derivatives, for example benzyl 3-(4,6-dimethoxypyrimidin-2-yl)oxypyridine-2-carboxylate (EP-A 0 249 707 (U.S. Pat. No. 4,832,729)), methyl 3-(4,6-dimethoxypyrimidin-2-yl)oxypyridine-2-carboxylate (EP-A 0 249 707), 1-(ethoxycarbonyloxyethyl) 2,6-bis[(4,6-dimethoxypyrimidin-2-yl)oxy]benzoate (EP-A 0 472 113 (U.S. Pat. No. 5,154,750)), 2,6-bis[(4,6-dimethoxypyrimidin-2-yl)oxy]benzoic acid (bispyribac-sodium), isopropyl 4-[[[2-[(4,6-dimethoxy-2-pyrimidinyl)oxy]phenyl]methyl]amino]benzoate (pyribambenz-isopropyl, WO 2002034724 (U.S. Pat. No. 6,800,590)), propyl 4-[[[2-[(4,6-dimethoxy-2-pyrimidinyl)oxy]phenyl]methyl]amino]benzoate (pyribambenz-propyl, WO 2002034724), pyribenzoxim, pyrifthalid, pyriminobac-methyl, pyriothiobac-sodium, pyrimisulfan; P) S—(N-aryl-N-alkylcarbamoylmethyl)dithiophosphonic acid esters, such as S—[N-(4-chlorophenyl)-N-isopropylcarbamoylmethyl]O,O-dimethyl dithiophosphate (anilophos); Q) triazinones, for example 3-cyclohexyl-6-dimethylamino-1-methyl-1,3,5-triazine-2,4-(1H,3H)-dione (hexazinone), 4-amino-4,5-dihydro-3-methyl-6-phenyl-1,2,4-triazin-5-one (metamitron), 4-amino-6-tert-butyl-4,5-dihydro-3-methylthio-1,2,4-triazin-5-one (metribuzin); R) pyridinecarboxylic acids, for example aminopyralid, clopyralid, fluoroxypyr, picloram and triclopyr; S) pyridines, for example dithiopyr and thiazopyr; T) pyridinecarboxamides, for example diflufenican and picolinafen; U) 1,3,5-triazines, for example ametryn, atrazine, cyanazine, dimethametrin, prometon, prometryn, propazine, simazine, symetryn, terbuteton, terbuthylazine, terbutryn and trietazine; V) plant growth regulators, for example forchlorfenuron and thidiazuron; W) ketonoles, for example 8-(2,6-diethyl-p-tolyl)-1,2,4,5-tetrahydro-7-oxo-7H-pyrazolo[1,2-d][1,4,5]oxadiazepin-9-yl 2,2-dimethylpropionate (pinoxaden); X) pyrazoles, for example 3-[5-(difluoromethoxy)-1-methyl-3-(trifluoromethyl)pyrazol-4-ylmethylsulfonyl]-4,5-dihydro-5,5-dimethyl-1,2-oxazole (pyroxasulfone).

The herbicides of groups A to W are known, for example, from the respective abovementioned publications and from "The Pesticide Manual", The British Crop Protection Council, 14th Edition, 2006, or the e-Pesticide Manual, Version 4.0, British Crop Protection Council 2006 or else from the "Compendium of Pesticide Common Names".

When used as active compound formulations or coformulations, they generally comprise, if appropriate, the respective customary tackifiers, wetting agents, dispersing agents, emulsifiers, penetrants, preservatives, antifreeze agents and solvents, fillers, carriers and colorants, antifoams, evaporation inhibitors and pH- and viscosity-modifying agents.

The compounds of the formula I and their combinations with one or more of the abovementioned pesticides can be formulated in various ways, depending on the prevailing

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physicochemical and biological parameters. Examples of suitable formulation types are:

emulsifiable concentrates which are prepared by dissolving the active compounds in an organic solvent, for example butanol, cyclohexanone, dimethylformamide, xylene or else relatively high-boiling hydrocarbons or mixtures of the organic solvents with addition of one or more ionic and/or nonionic surfactants (emulsifiers). Suitable emulsifiers are, for example, calcium alkylarylsulfonates, fatty acid polyglycol esters, alkylaryl polyglycol ethers, fatty alcohol polyglycol ethers, propylene oxide/ethylene oxide condensates, alkyl polyethers, sorbitan esters and polyoxyethylenesorbitan fatty acid esters;

dusts, which are obtained by grinding the active compounds with finely dispersed solid inorganic or organic substances, for example talc, natural clays, such as kaolin, bentonite and pyrophyllite, diatomaceous earth or meals;

water- or oil-based suspension concentrates, which can be prepared, for example, by wet grinding using bead mills; water-soluble powders;

water-soluble concentrates;

granules, such as water-soluble granules, water-dispersible granules and granules for application by broadcasting and soil application;

wettable powders which, in addition to active compound, also contain diluents or inert substances and surfactants; capsule suspensions and microcapsules;

ultra-low-volume formulations.

The abovementioned formulation types are known to the person skilled in the art and described, for example, in: K. Martens, "Spray Drying Handbook", 3rd Ed., G. Goodwin Ltd., London, 1979; W. van Valkenburg, "Pesticide Formulations", Marcel Dekker, N.Y. 1973; Winnaker-Küchler, "Chemische Technologie" [Chemical Technology], volume 7, C. Hanser Verlag Munich, 4th edition 1986; "Perry's Chemical Engineer's Handbook", 5th Ed., McGraw-Hill, N.Y. 1973, pages 8-57.

The formulation auxiliaries required, such as inert materials, surfactants, solvents and other additives are also known and are described, for example, in: McCutcheon's "Detergents and Emulsifiers Annual", MC Publ. Corp., Ridgewood N.J.; C. Marsden, "Solvents Guide", 2nd Ed., Interscience, N.Y. 1963; H. von Olphen, "Introduction to Clay Colloid Chemistry", 2nd Ed., J. Wiley & Sons, N.Y.; Schönfeldt, "Grenzflächenaktive Äthylenoxidaddukte" [Surface-active ethylene oxide adducts], Wiss. Verlagsgesellschaft, Stuttgart 1976; Sisley and Wood, "Encyclopedia of Surface Active Agents", Chem. Publ. Co. Inc., N.Y. 1964; Watkins, "Handbook of Insecticide Dust Diluents and Carriers", 2nd Ed., Darland Books, Caldwell N.J.; Winnacker-Küchler, "Chemische Technologie" [Chemical Technology], volume 7, C. Hanser Verlag Munich, 4th edition 1986.

In addition to the abovementioned formulation auxiliaries, the useful-plant-protecting compositions may comprise, if appropriate, customary tackifiers, wetting agents, dispersants, penetrants, emulsifiers, preservatives, antifreeze agents, fillers, carriers, colorants, anti-foams, evaporation inhibitors and pH or viscosity regulators.

Depending on the formulation type, the useful-plant-protecting compositions generally comprise 0.1 to 99% by weight, in particular 0.2 to 95% by weight, of one or more safeners of the formula I or a combination of safener and pesticide. Furthermore, they comprise 1 to 99.9, in particular 4 to 99.5, % by weight of one or more solid or liquid additives and 0 to 25, in particular 0.1 to 25, % by weight of a surfactant.

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In emulsifiable concentrates, the concentration of active compound, i.e. the concentration of safener and/or pesticide, is generally 1 to 90, in particular 5 to 80, % by weight. Dusts usually comprise 1 to 30, preferably 5 to 20, % by weight of active compound. In wettable powders, the concentration of active compound is generally 10 to 90% by weight. In water-dispersible granules, the content of active compound is, for example, between 1 and 95% by weight, preferably between 10 and 80% by weight.

For use, the formulations, which are present in commercially available form, are, if appropriate, diluted in a customary manner, for example in the case of wettable powders, emulsifiable concentrates, dispersions and water-dispersible granules, with water. Preparations in the form of dusts, granules and sprayable solutions are usually not diluted with any further inert substances prior to use. The required application rate of the safeners varies with the external conditions such as, inter alia, temperature, humidity and the type of herbicide used.

In the examples below, which illustrate the invention but do not limit it, the amounts are based on weight, unless defined otherwise.

EXAMPLES

1 Formulation Examples

1.1 Dusting Agent

A dusting agent is obtained by mixing 10 parts by weight of a compound of the formula (I) or of an active compound mixture of a pesticide (eg. herbicide) and a safener of the formula (I) and 90 parts by weight of talc as inert material and comminuting in a hammer mill.

1.2 Water-Dispersible Powder

A wettable powder which is readily dispersible in water is obtained by mixing 25 parts by weight of a compound of the formula (I) or of an active compound mixture of a pesticide (eg. herbicide) and a safener of the formula (I), 64 parts by weight of kaolin-containing quartz as inert material, 10 parts by weight of potassium ligninsulfonate and 1 part by weight of sodium oleoylmethyltaurinate as wetting and dispersing agent, and grinding in a pin mill.

1.3 Water-Dispersible Concentrate

A dispersion concentrate which is readily dispersible in water is obtained by mixing 20 parts by weight of a compound of the formula (I) or of an active compound mixture of a pesticide (eg. herbicide) and a safener of the formula (I) with 6 parts by weight of alkylphenol polyglycol ether (®Triton X 207), 3 parts by weight of isotridecanol polyglycol ether and 71 parts by weight of paraffinic mineral oil and grinding in a ball mill to a fineness of below 5 microns.

1.4 Emulsifiable Concentrate

An emulsifiable concentrate is obtained from 15 parts by weight of a compound of the formula (I) or of an active compound mixture of a pesticide (eg. herbicide) and a safener of the formula (I), 75 parts by weight of cyclohexanone as solvent and 10 parts by weight of ethoxylated nonylphenol as emulsifier.

1.5 Water-Dispersible Granules

Water-dispersible granules are obtained by mixing

75 parts by weight of a safener of the formula (I) or of a mixture of a pesticide and a safener of the formula (I),

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-continued

10 parts by weight of calcium ligninsulfonate,
5 parts by weight of sodium lauryl sulfate,
3 parts by weight of polyvinyl alcohol and
7 parts by weight of kaolin,

grinding in a pin mill and granulating the powder in a fluidized bed by spraying on water as granulation liquid.

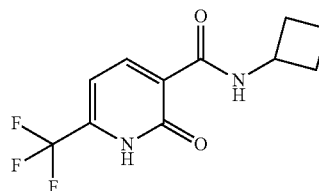
Water-dispersible granules are also obtained by homogenizing

25 parts by weight of a safener of the formula (I) or of a mixture of a pesticide and a safener of the formula (I),
5 parts by weight of sodium 2,2'-dinaphthylmethane-6,6'-disulfonate,
2 parts by weight of sodium oleoylmethyltaurinate,
17 parts by weight of calcium carbonate,
50 parts by weight of water and
1 part by weight of polyvinyl alcohol

in a colloid mill, comminuting, then grinding in a bead mill and atomizing and drying the resulting suspension in a spray tower using a single-fluid nozzle.

2. Preparation Examples

Example A1



N-Cyclobutyl-2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxamide

A1.1) 4-Butoxy-1,1,1-trifluorobut-3-en-2-one

At 5° C., 79.9 g (0.38 mol) of trifluoroacetic anhydride—dissolved in 100 ml of trichloromethane—were added with stirring to a mixture of 29.9 g (0.38 mol) of pyridine and 50.0 g (0.38 mol) of butyl vinyl ether in 200 ml of trichloromethane. After the addition, stirring was continued at room temperature for another 15 h. 300 ml of water were then added to the mixture, and the organic phase was separated off, dried and concentrated. This gave 59 g (79% of theory) of a yellowish oil.

¹H-NMR: [CDCl₃] 0.96 (t, 3H); 1.41 (m, 2H); 1.73 (m, 2H); 4.04 (t, 2H); 5.85 (d, 1H); 7.90 (d, 1H).

A1.2) Methyl 2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxylate

2.15 g (94 mmol) of sodium were dissolved in 300 ml of methanol, 15.3 g (78 mmol) of 4-butoxy-1,1,1-trifluorobut-3-en-2-one and 9.13 g (78 mmol) of methyl malonatemonoamide were added and the mixture was heated under reflux for 18 h. The mixture was concentrated, and the residue was taken up in water and washed with dichloromethane. The aqueous phase was then adjusted to pH 2 by addition of 2N

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hydrochloric acid and extracted with dichloromethane. Drying and concentration of the extract gave 12 g (69% of theory) of a colorless powder.

¹H-NMR: [CDCl₃] 4.03 (s, 3H); 7.31 (d, 1H); 8.39 (d, 1H).

A1.3) 2-Oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxylic acid

At room temperature, 4.73 g (21.4 mmol) of methyl 2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxylate were dissolved in 45 ml of methanol and 15 ml of water, 1.80 g (42.8 mmol) of lithium hydroxide monohydrate were added and the mixture was then heated under reflux for 2 h. The mixture was concentrated to about 15 ml and then washed with dichloromethane and the aqueous phase was adjusted to pH 2 by addition of 2N hydrochloric acid. The mixture was again extracted with dichloromethane, and the organic phase was dried and concentrated. This gave 4.2 g (94% of theory) of a colorless powder.

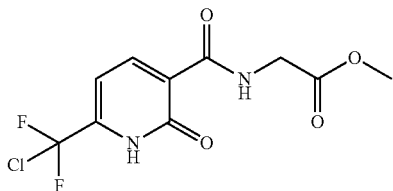
¹H-NMR: [DMSO] 7.41 (d, 1H); 8.35 (d, 1H).

A1.4) N-Cyclobutyl-2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxamide

600 mg (2.9 mmol) of 2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxylic acid were dissolved in 5 ml of tetrahydrofuran, 658 mg (4.1 mmol) of N,N-carbonyldiimidazole were added and the mixture was heated initially at room temperature for 30 min and then under reflux for 30 min. A solution of 247 mg (3.5 mmol) of cyclobutylamine in 5 ml tetrahydrofuran was then added dropwise, and the mixture was heated under reflux for a further 2 h. The solution was evaporated to dryness and then taken up in ethyl acetate, washed with 1 N hydrochloric acid and water, dried and concentrated. Purification by column chromatography gave 160 mg (19% of theory) of a light-brown powder.

¹H-NMR: [CDCl₃] 1.80 (m, 2H); 2.00 (m, 2H); 2.42 (m, 2H); 4.55 (m, 1H); 6.88 (d, 1H); 8.65 (d, 1H); 9.50 (br, 1H).

Example A2



6-[Chloro(difluoro)methyl]-N-(2-methoxyethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

A2.1) 4-Butoxy-1-chloro-1,1-difluorobut-3-en-2-one

Under stirring at 5° C., 5.0 g (20.2 mmol) of chlorodifluoroacetic anhydride—dissolved in 10 ml of trichloromethane—were added to a mixture of 1.60 g (20.2 mmol) of pyridine and 2.1 g (20.2 mmol) of butyl vinyl ether in 30 ml of trichloromethane. After the addition, stirring was continued at room temperature for another 15 h. 100 ml of water were then added to the mixture, and the organic phase was separated off, dried and concentrated. This gave 3.4 g (80% of theory) of a yellowish oil.

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¹H-NMR: [DMSO] 0.90 (t, 3H); 1.35 (m, 2H); 1.65 (m, 2H); 4.20 (t, 2H); 6.04 (d, 1H); 8.10 (d, 1H).

A2.2) Methyl 6-[chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxylate

1.47 g (61 mmol) of sodium were dissolved in 220 ml of methanol, 10 g (47 mmol) of 4-butoxy-1-chloro-1,1-difluorobut-3-en-2-one and 5.51 g (47 mmol) of methyl malonate-monoamide were added and the mixture was heated under reflux for 21 h. The pH was adjusted to 4-5 by addition of 2N hydrochloric acid, and about 200 ml were then distilled off. The solution that remained was extracted with ethyl acetate, and the extract was dried and concentrated. The residue was triturated with diisopropyl ether, filtered off with suction and dried. This gave 7.4 g (66% of theory) of a colorless powder.

¹H-NMR: [CDCl₃] 4.01 (s, 3H); 7.30 (d, 1H); 8.38 (d, 1H); 11.5 (br, 1H).

A2.3a) 6-[Chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid

1.70 g (74 mmol) of sodium were dissolved in 250 ml of methanol, 13.1 g (61.7 mmol) of 4-butoxy-1-chloro-1,1-difluorobut-3-en-2-one and 7.22 g (61.7 mmol) of methyl malonate-monoamide were added and the mixture was heated under reflux for 21 h. 250 ml of water and 2.9 g (67.9 mmol) of lithium hydroxide monohydrate were then added, and heating under reflux was continued for a further 2 h. The mixture was concentrated to about 200 ml and washed with dichloromethane, and the aqueous phase was acidified with 2N hydrochloric acid to pH 2. The precipitated solid was filtered off with suction and dried under reduced pressure. 9.3 g (68% of theory).

A2.3b) 6-[Chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid

11.0 g (49.4 mmol) of 6-[chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxamide were heated in 77 ml of 50% strength sulfuric acid. The mixture was then added to ice water, and the precipitate was filtered off with suction and dried. This gave 7.2 g (65% of theory) of a yellowish powder.

m.p.: 145-147° C.

¹H-NMR: [DMSO] 7.36 (d, 1H); 8.34 (d, 1H).

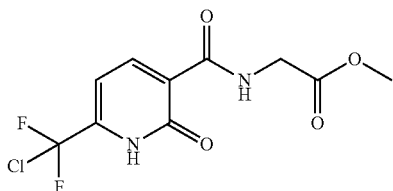
A2.4) 6-[Chloro(difluoro)methyl]-N-(2-methoxyethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

500 mg (2.2 mmol) of 6-[chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid were dissolved in 10 ml of tetrahydrofuran, 508 mg (3.1 mmol) of N,N-carbonyldiimidazole were added and the mixture was then stirred initially at room temperature for 30 min and then under reflux for min. A solution of 202 mg (2.7 mmol) of 2-methoxyethylamine in 2 ml of tetrahydrofuran was then added dropwise, and the mixture was heated under reflux for a further 2 h. The solution was evaporated to dryness, the residue was taken up in ethyl acetate and the solution was washed with 1N hydrochloric acid and water, dried and concentrated. This gave 360 mg (54% of theory) of a light-brown powder.

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¹H-NMR: [CDCl₃] 3.40 (s, 3H); 3.58 (m, 2H); 3.67 (m, 2H); 6.84 (d, 1H), 8.62 (d, 1H); 9.40 (br, 1H).

Example A3

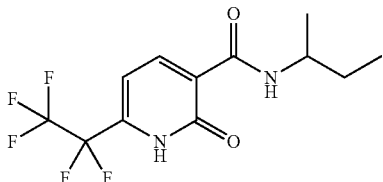


Methyl N-({6-[chloro(difluoro)methyl]2-oxo-1,2-dihydropyridin-3-yl}carbonyl)glycinate

300 mg (1.34 mmol) of 6-[chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid were dissolved in 5 ml of tetrahydrofuran, 435 mg (2.68 mmol) of N,N-carbonyldiimidazole were added and the mixture was stirred initially at room temperature for 30 min and then under reflux for 30 min. A mixture of 168 mg (1.34 mmol) of glycine methyl ester hydrochloride in 3 ml of tetrahydrofuran was then added, and the mixture was heated under reflux for a further 2 h. The solution was evaporated to dryness, the residue was taken up in ethyl acetate and the solution was washed with 1N hydrochloric acid and water, dried and concentrated. This gave 92 mg (23% of theory) of a light-brown powder.

¹H-NMR: [DMSO] 3.65 (s, 3H); 4.12 (d, 2H); 7.28 (d, br, 1H); 8.42 (d, 1H); 9.25 (t, br, 1H), 13.6 (br, 1H)

Example A4



N-(1-Methylpropyl)-2-oxo-6-(pentafluoroethyl)-1,2-dihydropyridine-3-carboxamide

A4.1)

1-Butoxy-4,4,5,5,5-pentafluoropent-1-en-3-one

With stirring at -10° C., 17.0 g (93.2 mmol) of pentafluoropropionyl chloride—dissolved in 20 ml of dichloromethane—were added to a mixture of 8.11 g (102 mmol) pyridine and 9.33 g (93.2 mmol) of butyl vinyl ether in 200 ml of dichloromethane. After the addition, stirring was continued at room temperature for a further 15 h. 200 ml of water were then added to the mixture, and the organic phase was separated off, dried and concentrated. This gave 18.9 g (82% of theory) of a yellowish oil.

¹H-NMR: [DMSO] 0.85 (t, 3H); 1.32 (m, 2H); 1.63 (m, 2H); 4.20 (t, 2H); 6.06 (d, 1H); 8.11 (d, 1H).

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A4.2) Ethyl 2-oxo-6-(pentafluoroethyl)-1,2-dihydropyridine-3-carboxylate

0.56 g (24.4 mmol) of sodium was dissolved in 150 ml of ethanol, 5 g (20.3 mmol) of 1-butoxy-4,4,5,5,5-pentafluoropent-1-en-3-one and 2.66 g (20.3 mmol) of methyl malonate were added and the mixture was heated under reflux for 6 h. The mixture was concentrated to about 50 ml, 500 ml of 1N hydrochloric acid were added and the mixture was then extracted with ethyl acetate. Drying and concentration gave 2.3 g (40% of theory) of a yellowish resin.

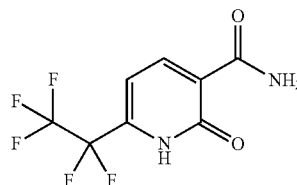
¹H-NMR: [CDCl₃] 1.45 (t, 3H); 4.50 (q, 2H); 7.35 (d, 1H); 8.40 (d, 1H); 11.4 (br, 1H).

A4.3) N-(1-Methylpropyl)-2-oxo-6-(pentafluoroethyl)-1,2-dihydropyridine-3-carboxamide

At room temperature, 160 mg (0.56 mmol) of ethyl 2-oxo-6-(pentafluoroethyl)-1,2-dihydropyridine-3-carboxylate were stirred in 5 ml of 2-butylamine for 14 h. The pH was then adjusted to 2 by addition of 1N hydrochloric acid, which resulted in the precipitation of a colorless solid. Filtration with suction and drying gave 160 mg (91% of theory) of the product.

¹H-NMR: [CDCl₃] 0.97 (t, 3H); 1.22 (d, 3H); 1.59 (m, 2H); 4.10 (m, 1H); 6.88 (d, 1H); 8.72 (d, 1H); 9.24 (d, br, 1H); 13.6 (br).

Example A5

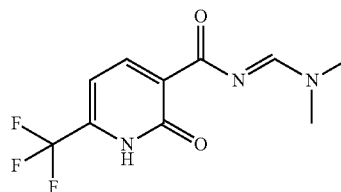


2-Oxo-6-(pentafluoroethyl)-1,2-dihydropyridine-3-carboxamide

0.22 g (9.7 mmol) of sodium was dissolved in 50 ml of ethanol, 2 g (8.1 mmol) of 1-butoxy-4,4,5,5,5-pentafluoropent-1-en-3-one and 0.86 g (8.1 mmol) malonamide were added and the mixture was heated under reflux for 7 h. The mixture was concentrated, and 1N hydrochloric acid was added. The resulting precipitate was filtered off with suction and dried. This gave 1.9 g (94% of theory) of a yellow powder.

¹H-NMR: [DMSO] 7.45 (d, 1H); 8.15 (br, 1H); 8.45 (br, 1H); 8.50 (d, 1H); 13.7 (br, 1H).

Example A6



39

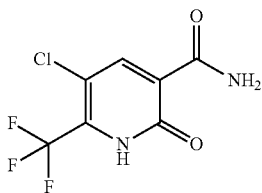
N-[(Dimethylamino)methylene]-2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxamide

0.87 g (7.28 mmol) of N,N-dimethylformamide dimethyl acetal was added to 1.00 g (4.85 mmol) of 2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxamide in 5 ml of toluene, and the mixture was heated under reflux for 4 h. After cooling, the resulting precipitate was filtered off with suction and dried: 0.5 g (39% of theory).

¹H-NMR: [CDCl₃] 3.25 (s, 3H); 3.35 (s, 3H); 7.25 (d, 1H); 8.58 (d, 1H); 8.76 (s, 1H)

¹³C-NMR. [CDCl₃] 35 (NMe₂); 106 (C-5); 115 (C-3); 122 (q, CF₃); 143 (C-4); 151 (C-6); 164 (N=CN); 167 (C-2); 171 (CON).

Example A7



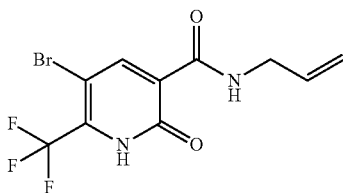
5-Chloro-2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxamide

At room temperature, 0.39 g (2.9 mmol) of sulfonyl chloride was added to 300 mg (1.46 mmol) of 2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxamide in 5 ml of 1,2-dichloroethane and 2.3 g (0.25 ml) of pyridine, and the mixture was then heated under reflux for 2 h. The mixture was then added to 0.5N hydrochloric acid. The organic phase was removed and then dried and concentrated, which gave 144 mg (41% of theory) of a brownish powder.

¹H-NMR: [DMSO] 8.25 (br, 1H); 8.35 (br, 1H); 8.50 (s, 1H); 13.8 (br, 1H)

¹³C-NMR. [DMSO] 118 (C-5); 120 (C-6); 120.5 (q, CF₃); 144 (C-4); 161 (C-2); 166 (COONH₂).

Example A8



N-Allyl-5-bromo-2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxamide

A8.1) Methyl 5-bromo-2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxylate

At room temperature, 0.36 g (2.04 mmol) of N-bromosuccinimide was added to a suspension of 0.3 g (1.36 mmol) of methyl 2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-

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carboxylate in 10 ml of glacial acetic acid, and the mixture was then heated under reflux for 2 days. The reaction mixture was then added to water and extracted with dichloromethane. The extract was concentrated, and the residue was purified further by preparative HPLC. This gave 227 mg (56% of theory) of a colorless powder.

¹H-NMR: [DMSO] 3.85 (s, 3H); 8.45 (s, 1H); 12.80 (br, 1H);

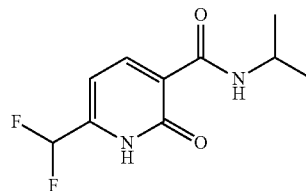
¹³C-NMR. [DMSO] 53 (OCH₃); 105 (C-3); 148 (C-4); 161 (C-2); 164 (COOMe).

A8.2) N-Allyl-5-bromo-2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxamide

At room temperature, 250 mg (0.83 mmol) of methyl 5-bromo-2-oxo-6-(trifluoromethyl)-1,2-dihydropyridine-3-carboxylate were stirred in 5 ml of allylamine for 18 h. By addition of 2N hydrochloric acid, the pH was then adjusted to 2, resulting in the precipitation of a colorless solid. Filtration with suction and drying gave 134 mg (50% of theory) of the product.

¹H-NMR: [DMSO] 3.94 (t, 2H); 5.18 (dd, 2H); 5.89 (m, 1H); 8.52 (s, 1H); 8.85 (t, br, 1H).

Example A9



6-Difluoromethyl-N-isopropyl-2-oxo-1,2-dihydropyridine-3-carboxamide

A9.1) 4-Butoxy-1,1-difluorobut-3-en-2-one

With stirring at 5° C., 10.0 g (55.7 mmol) of difluoroacetic anhydride were added to a mixture of 4.41 g (4.5 ml, 55.7 mmol) of pyridine and 5.7 g (55.7 mmol) butyl vinyl ether in 330 ml of trichloromethane. After the addition, stirring was continued at room temperature for a further 15 h. 300 ml of water were then added to the mixture, and the organic phase was separated off, dried and concentrated. This gave 5.7 g (57% of theory) of a yellowish oil.

¹H-NMR: [CDCl₃] 0.95 (t, 3H); 1.42 (m, 2H); 1.72 (m, 2H); 4.00 (t, 2H); 5.78 (t, 1H); 5.90 (d, 1H); 7.85 (d, 1H).

A9.2) Methyl 6-(difluoromethyl)-2-oxo-1,2-dihydropyridine-3-carboxylate

1.21 g (52.9 mmol) of sodium were dissolved in 200 ml of methanol, 7.9 g (44 mmol) of 4-butoxy-1,1-difluorobut-3-en-2-one and 5.3 g (44 mmol) of methyl malonatemonoamide were then added and the mixture was heated under reflux for 15 h. The mixture was concentrated and the residue was then triturated with 100 ml of 1N hydrochloric acid. The solid obtained was filtered off with suction and dried: 7.4 g (82% of theory).

¹H-NMR: [DMSO] 3.82 (s, 3H); 6.85 (t, 1H); 7.02 (d, br, 1H); 8.20 (d, 1H); 12.4 (br, 1H).

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A9.3) 6-(Difluoromethyl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid

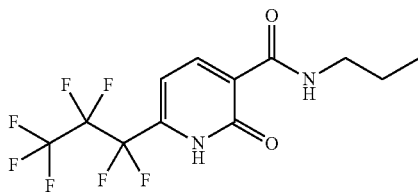
7.4 g (36.4 mmol) of methyl 6-(difluoromethyl)-2-oxo-1,2-dihydropyridine-3-carboxylate were dissolved in 100 ml of methanol, and a solution of 1.3 g (54.6 mmol) of lithium hydroxide in 50 ml of water was added. After two hours of heating under reflux, the mixture was concentrated to a volume of about 50 ml and the solution was washed with dichloromethane. The aqueous phase was then acidified with 2N hydrochloric acid and extracted with ethyl acetate. Drying and concentration of the extract gave 5.6 g (82% of theory) of a brownish powder.

A9.4) 6-(Difluoromethyl)-N-isopropyl-2-oxo-1,2-dihydropyridine-3-carboxamide

After dissolution of 200 mg (1.1 mmol) of 6-(difluoromethyl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid in 25 ml of tetrahydrofuran and addition of 206 mg (1.3 mmol) of N,N-carbonyldiimidazole, the mixture was stirred initially at room temperature for 30 min and then under reflux for 30 min. A solution of 66 mg (1.1 mmol) of isopropylamine in 5 ml of tetrahydrofuran was then added dropwise, and the mixture was heated under reflux for a further 2 h. The solution was evaporated to dryness, the residue was then taken up in ethyl acetate and the mixture was washed with 2N hydrochloric acid and water, dried and concentrated. Purification by column chromatography gave 150 mg (61% of theory) of a colorless powder.

¹H-NMR: [CDCl₃] 1.25 (d, 6H); 4.22 (m, 1H); 6.55 (t, 1H); 6.69 (d, 1H); 8.64 (d, 1H); 9.42 (d, br, 1H); 13.0 (br, 1H).

Example A10



6-(Heptafluoropropyl)-2-oxo-N-propyl-1,2-dihydropyridine-3-carboxamide

A10.1)

1-Butoxy-4,4,5,5,6,6,6-heptafluorohex-1-en-3-one

With stirring and at -10° C., 21.7 g (93.2 mmol) of heptafluorobutyl chloride—dissolved in 50 ml of dichloromethane—were added to a mixture of 8.11 g (102 mmol) of pyridine and 9.33 g (93.2 mmol) of butyl vinyl ether in 200 ml of dichloromethane. After the addition, stirring was continued at room temperature for another 15 h. 300 ml of water were then added to the mixture, and the organic phase was separated off, dried and concentrated.

This gave 28.2 g (82% of theory) of a yellowish oil which was reacted further without further purification.

¹H-NMR: [CDCl₃] 0.96 (t, 3H); 1.40 (m, 2H); 1.75 (m, 2H); 4.04 (t, 2H); 5.95 (d, 1H); 7.93 (d, 1H).

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A10.2) Methyl 6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxylate

After dissolution of 2.63 g (114 mmol) of sodium in 250 ml of methanol, 28.2 g (95.5 mmol) of 1-butoxy-4,4,5,5,6,6,6-heptafluorohex-1-en-3-one and 11.18 g (95.5 mmol) of methyl malonatemonoamide were added, and the mixture was heated under reflux for 18 h. The mixture was concentrated and the residue was then taken up in water and washed with dichloromethane. The aqueous phase was then adjusted to pH 2 by addition of 2N hydrochloric acid and extracted with dichloromethane. Drying, concentration and purification of the extract by column chromatography gave 15.2 g (49% of theory) of a yellow powder.

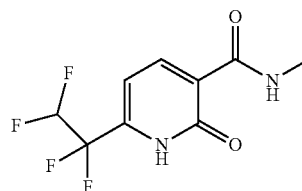
¹H-NMR: [CDCl₃] 4.06 (s, 3H); 7.35 (d, 1H); 8.40 (d, 1H); 11.4 (br, 1H).

A10.3) 6-(Heptafluoropropyl) 2-oxo-N-propyl-1,2-dihydropyridine-3-carboxamide

250 mg (0.78 mmol) of methyl 6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxylate in 5 ml of propylamine were heated under reflux for 5 h. The mixture was then adjusted to pH 2 by addition of 1N hydrochloric acid and extracted with dichloromethane. Drying and concentration gave 240 mg (88% of theory) of a beige powder.

¹H-NMR: [DMSO] 0.90 (t, 3H); 1.54 (m, 2H); 3.25 (q, 2H); 7.41 (d, 1H); 8.40 (d, 1H); 8.85 (br, 1H); 13.45 (br, 1H).

Example A11



N-Methyl-2-oxo-6-(1,1,2,2-tetrafluoroethyl)-1,2-dihydropyridine-3-carboxamide

A11.1) 1-Butoxy-4,4,5,5-tetrafluoropent-1-en-3-one

With stirring and at 0° C., 25.0 g (152 mmol) of 3H-tetrafluoropropionyl chloride—dissolved in 20 ml dichloromethane—were added to a mixture of 14.4 g (182 mmol) of pyridine and 15.2 g (152 mmol) of butyl vinyl ether in 250 ml of dichloromethane. After the addition, stirring was continued at room temperature for another 15 h. 250 ml of water were then added to the mixture, and the organic phase was separated off, dried and concentrated. This gave 12.5 g (36% of theory) of a yellowish oil.

¹H-NMR: [CDCl₃] 0.96 (t, 3H); 1.46 (m, 2H); 1.75 (m, 2H); 4.03 (t, 2H); 6.00 (d, 1H); 6.09 (tt, 1H); 7.90 (d, 1H).

A11.2) Methyl 2-oxo-6-(1,1,2,2-tetrafluoroethyl)-1,2-dihydropyridine-3-carboxylate

After dissolution of 1.39 g (60.3 mmol) of sodium in 500 ml of methanol, 11.5 g (50.3 mmol) of 1-butoxy-4,4,5,5-tetrafluoropent-1-en-3-one and 6.07 g (50.3 mmol) of methyl malonatemonoamide were added, and the mixture was heated

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under reflux for 6 h. The mixture was concentrated to about 100 ml, 500 ml of 1N hydrochloric acid were then added and the mixture was subsequently extracted with ethyl acetate. Drying and concentration gave 10.3 g (67% of theory) of a yellowish resin.

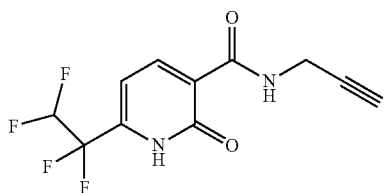
¹H-NMR: [CDCl₃] 4.03 (s, 3H); 6.40 (tt, 1H); 7.38 (d, 1H); 8.39 (d, 1H).

A11.3) N-Methyl-2-oxo-6-(1,1,2,2-tetrafluoroethyl)-1,2-dihydropyridine-3-carboxamide

At room temperature, 300 mg (1.19 mmol) of methyl 2-oxo-6-(1,1,2,2-tetrafluoroethyl)-1,2-dihydropyridine-3-carboxylate were stirred in 3.3 ml of a 40% strength aqueous methylamine solution for 14 h. The pH was then adjusted to 2 by addition of 1N hydrochloric acid, and the mixture was extracted with dichloromethane. Drying and concentration of the extract gave 230 mg (77% of theory) of the product.

¹H-NMR: [DMSO] 2.83 (d, 3H); 6.81 (tt, 1H); 7.22 (br, 1H); 8.41 (d, 1H); 9.00 (br, 1H); 13.4 (br, 1H).

Example A12

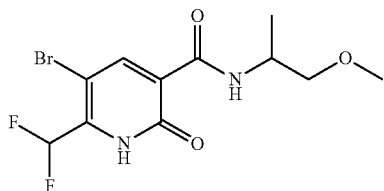


2-Oxo-N-(2-propynyl)-6-(1,1,2,2-tetrafluoroethyl)-1,2-dihydropyridine-3-carboxamide

At room temperature, 300 mg (1.19 mmol) of methyl 2-oxo-6-(1,1,2,2-tetrafluoroethyl)-1,2-dihydropyridine-3-carboxylate were stirred in 3.3 ml (41 mmol) of propargylamine for 14 h. The pH was then adjusted to 2 by addition of 1N hydrochloric acid, and the mixture was extracted with dichloromethane. Drying and concentration of the extract gave 280 mg (85% of theory) of the product.

¹H-NMR: [DMSO] 3.15 (t, 1H); 4.13 (m, 2H); 6.82 (tt, 1H); 7.18 (br, 1H); 8.41 (d, 1H); 9.35 (br, 1H); 13.3 (br, 1H).

Example A13



5-Bromo-6-(difluoromethyl)-N-(2-methoxy-1-methylethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

A13.1) Methyl 5-bromo-6-(difluoromethyl)-2-oxo-1,2-dihydropyridine-3-carboxylate

4.1 g (20 mmol) of methyl 6-(difluoromethyl)-2-oxo-1,2-dihydropyridine-3-carboxylate were dissolved in 20 ml of

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N,N-dimethylformamide, and 5.35 g (30 mmol) of N-bromo-succinimide were added at room temperature. After 2 hours of stirring, the reaction mixture was added to water and extracted with tert-butyl methyl ether. The extract was subsequently washed repeatedly with water. Drying and concentration finally gave 3.7 g (65% of theory) of the product.

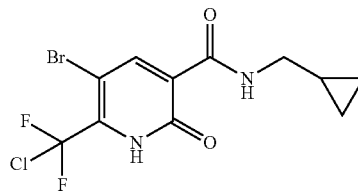
¹H-NMR: [DMSO] 3.83 (s, 3H); 7.07 (t, 1H); 8.34 (s, 1H); 12.5 (s, br, 1H).

A13.2) 5-Bromo-6-(difluoromethyl)-N-(2-methoxy-methylethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

At room temperature, 334 mg (1.19 mmol) of methyl 5-bromo-6-(difluoromethyl)-2-oxo-1,2-dihydropyridine-3-carboxylate in 3.3 ml (41 mmol) of 2-amino-1-methoxypropane were stirred for 14 h. The pH was then adjusted to 2 by addition of 1N hydrochloric acid, and the mixture was extracted with dichloromethane. Drying and concentration of the extract gave 360 mg (89% of theory) of the product.

¹H-NMR: [CDCl₃] 1.27 (d, 3H); 3.40 (s, 3H); 3.43 (m, 2H); 4.37 (m, 1H); 6.85 (t, 1H); 8.68 (s, 1H); 9.42 (d, br, 1H); 12.7 (br, 1H).

Example A14



5-Bromo-6-[chloro(difluoro)methyl]-N-(cyclopropylmethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

A14.1) Methyl 5-bromo-6-[chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxylate

1.30 g (5.47 mmol) of methyl 6-[chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxylate were dissolved in 50 ml of N,N-dimethylformamide, and 1.46 g (8.21 mmol) of N-bromosuccinimide were added at room temperature. After 3 hours of stirring, the reaction mixture was added to water, resulting in the formation of a colorless precipitate. Drying and concentration gave 1.23 g (71% of theory) of the product.

¹H-NMR: [DMSO] 3.85 (s, 3H); 8.45 (s, 1H); 12.7 (s, br, 1H).

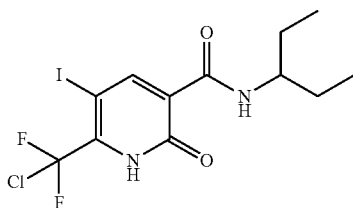
A14.2) 5-Bromo-6-[chloro(difluoro)methyl]-N-(cyclopropylmethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

At room temperature, 350 mg (1.11 mmol) of methyl 5-bromo-6-[chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridine-3-carboxylate were dissolved in 5 ml of acetonitrile and stirred with 0.5 ml of aminomethylcyclopropane for 12 h. The reaction mixture was then added to 1N hydrochloric acid, and the resulting precipitate was filtered off with suction. The precipitate was dried, again triturated with 1N hydrochloric acid, filtered off with suction and washed with water. Drying gave 240 mg (62% of theory) of the product.

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¹H-NMR: [DMSO] 0.25 (m, 2H); 0.46 (m, 2H); 1.04 (m, 1H); 3.20 (t, 2H); 8.55 (s, 1H); 8.82 (t, br, 1H); 13.6 (br, 1H).

Example A15



6-[Chloro(difluoro)methyl]-N-(1-ethylpropyl)-5-iodo-2-oxo-1,2-dihydropyridine-3-carboxamide

A15.1) Methyl 6-[chloro(difluoro)methyl]-5-iodo-2-oxo-1,2-dihydropyridine-3-carboxylate

10.0 g (42.1 mmol) of methyl 6-[chloro(difluoro)methyl]-2-oxo-1,2-dihydropyridinecarboxylate were dissolved in 50 ml of N,N-dimethylformamide, and 6.32 g (63.1 mmol) of calcium carbonate and 20.5 g (126 mmol) of iodine chloride were added at room temperature. After 6 hours of stirring at 50° C., the reaction mixture was added to water, resulting in the formation of a colorless precipitate, which was washed with dilute sodium bisulfite solution and water. Filtration with suction and drying gave 13.6 g (89% of theory) of the product.

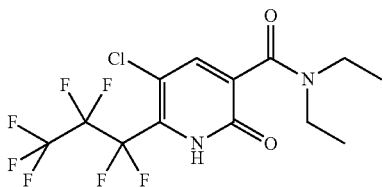
¹H-NMR: [DMSO] 3.84 (s, 3H); 8.61 (s, 1H); 12.6 (s, br, 1H).

A15.2) 6-[Chloro(difluoro)methyl]-N-(1-ethylpropyl)-5-iodo-2-oxo-1,2-dihydropyridine-3-carboxamide

At room temperature, 400 mg (1.1 mmol) of methyl 6-[chloro(difluoro)methyl]-5-iodo-2-oxo-1,2-dihydropyridine-3-carboxylate were dissolved in 5 ml of acetonitrile and stirred with 0.5 ml of 3-pentylamine for 12 h. The reaction mixture was then added to 1N of hydrochloric acid, and the resulting precipitate was filtered off with suction and washed with water. Drying gave 370 mg (72% of theory) of the product.

¹H-NMR: [DMSO] 0.87 (t, 6H); 1.43 (m, 21H); 1.55 (m, 2H); 3.78 (m, 1H); 8.55 (br, 1H); 8.67 (s, 1H); 13.5 (br, 1H).

Example A16



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5-Chloro-N,N-diethyl-6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

A16.1) Methyl 5-chloro-6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxylate

16.7 g (52 mmol) of methyl 6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxylate were dissolved in 160 ml of N,N-dimethylformamide, and 7.08 g (52 mmol) of N-chlorosuccinimide were added at room temperature. After 3 hours of stirring at 50° C., the reaction mixture was added to water and extracted repeatedly with ethyl acetate. The organic phase was then separated off, washed with water and dried. The resulting crude product was then purified by preparative RP-HPLC. This gave 10.1 g (54% of theory) of the product as a colorless powder.

¹H-NMR: [DMSO] 3.85 (s, 3H); 8.35 (s, 1H); 12.8 (s, br, 1H).

A16.2) 5-Chloro-6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid

1.54 g (4.33 mmol) of methyl 5-chloro-6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxylate were dissolved in 23 ml of methanol, and a solution of 0.16 g (6.5 mmol) of lithium hydroxide in 13 ml of water was added. After two hours of heating under reflux, the methanol was distilled off, and the aqueous solution that remained was washed with dichloromethane. The aqueous phase was then acidified with 2N hydrochloric acid and extracted with dichloromethane. Drying and concentration of the extract gave 1.45 g (98% of theory) of a brownish powder.

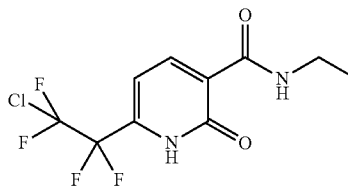
¹H-NMR: [DMSO] 8.30 (s, 1H).

A16.3) 5-Chloro-N,N-diethyl-6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

After dissolution of 355 mg (1.04 mmol) of 5-chloro-6-(heptafluoropropyl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid in 30 ml tetrahydrofuran and addition of 337 mg (2.08 mmol) of N,N-carbonyldiimidazole, the mixture was stirred initially at room temperature for 30 min and then under reflux for 30 min. 152 mg (0.22 ml, 2.08 mmol) of diethylamine were then added, and the mixture was heated under reflux for a further 2 h. The solution was evaporated to dryness and then taken up in dichloromethane, washed with 1N hydrochloric acid and water, dried and concentrated. This gave 300 mg (72% of theory) of the product.

¹H-NMR: [DMSO] 1.03 (t, 3H); 1.15 (t, 3H); 3.12 (q, 2H); 3.45 (q, 2H); 8.05 (s, 1H); 12.5 (br, 1H).

Example A17



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6-(2-Chloro-1,1,2,2-tetrafluoroethyl)-N-ethyl-2-oxo-1,2-dihydropyridine-3-carboxamide

A17.1) 1-Butoxy-5-chloro-4,4,5,5-tetrafluoropent-1-en-3-one

With stirring and at 0° C., 10.0 g (50.2 mmol) of 3-chlorotetrafluoropropionyl chloride—dissolved in ml of chloroform—were added to a mixture of 3.97 g (50.2 mmol) of pyridine and 5.03 g (50.2 mmol) of butyl vinyl ether in 80 ml of chloroform. After the addition, stirring was continued at room temperature for a further 15 h. 100 ml of water were then added to the mixture, and the organic phase was separated off, dried and concentrated. This gave 10.4 g (78% of theory) of a yellowish oil.

¹H-NMR: [CDCl₃] 0.96 (t, 3H); 1.43 (m, 2H); 1.75 (m, 2H); 4.04 (t, 2H); 5.97 (d, 1H); 7.91 (d, 1H).

A17.2) Methyl 6-(2-chloro-1,1,2,2-tetrafluoroethyl)-2-oxo-1,2-dihydropyridine-3-carboxylate

0.32 g (13.7 mmol) of sodium were dissolved in 50 ml of methanol, 3.0 g (11.4 mmol) of 1-butoxy-5-chloro-4,4,5,5-tetrafluoropent-1-en-3-one and 1.34 g (11.4 mmol) of methyl malonatemonoamide were added and the mixture was heated under reflux for 6 h. The mixture was concentrated to about ml, 50 ml of 1N hydrochloric acid were then added and the mixture was subsequently extracted repeatedly with ethyl acetate. After drying and concentration, the resulting residue was taken up in diethyl ether and filtered. Concentration of the filtrate gave 1.74 g (52% of theory) of a yellowish powder.

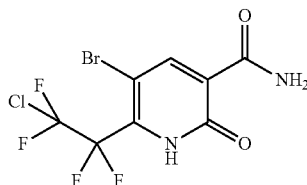
¹H-NMR: [DMSO] 3.84 (s, 3H); 7.44 (d, 1H); 8.32 (d, 1H); 12.4 (br, 1H).

A17.3) 6-(2-Chloro-1,1,2,2-tetrafluoroethyl)-N-ethyl-2-oxo-1,2-dihydropyridine-3-carboxamide

At room temperature, 300 mg (1.04 mmol) of methyl 6-(2-chloro-1,1,2,2-tetrafluoroethyl)-2-oxo-1,2-dihydropyridine-3-carboxylate were stirred in 5 ml of aqueous ethylamine solution (70%) for 14 h. The pH was then adjusted to 2 by addition of 1N hydrochloric acid, and the mixture was extracted with dichloromethane. Drying and concentration of the extract gave 310 mg (98% of theory) of the product.

¹H-NMR: [CDCl₃] 1.27 (t, 3H); 3.50 (m, 2H); 6.88 (d, 1H); 8.68 (d, 1H); 9.32 (br, 1H); 12.6 (br, 1H).

Example A18



5-Bromo-6-(2-chloro-1,1,2,2-tetrafluoroethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

A18.1) 6-(2-Chloro-1,1,2,2-tetrafluoroethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

0.53 g (22.8 mmol) of sodium were dissolved in 50 ml of methanol, 5.0 g (19.0 mmol) of 1-butoxy-5-chloro-4,4,5,5-

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tetrafluoropent-1-en-3-one and 2.0 g (19.0 mmol) of malonamide were then added and the mixture was heated under reflux for 6 h. The mixture was concentrated, and 1N hydrochloric acid was then added. The resulting precipitate was filtered off with suction and dried. This gave 3.8 g (73% of theory) of a brownish powder.

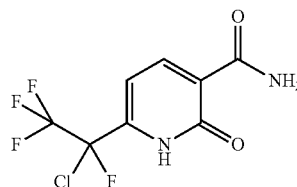
¹H-NMR: [DMSO] 7.42 (br, 1H); 8.10 (br, 1H); 8.40 (br, 1H); 8.46 (d, 1H); 13.6 (br, 1H).

A18.2) 5-Bromo-6-(2-chloro-1,1,2,2-tetrafluoroethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

0.49 g (1.8 mmol) of 6-(2-chloro-1,1,2,2-tetrafluoroethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide were dissolved in 5 ml of N,N-dimethylformamide, and 0.48 g (2.7 mmol) of N-bromosuccinimide was added at room temperature. After 2 hours of stirring at room temperature, the reaction mixture was poured into water, resulting in the formation of a precipitate. Filtration with suction, washing with water and drying gave 610 mg (96% of theory) of the product as a yellowish powder.

¹H-NMR: [DMSO] 8.25 (br, 1H); 8.35 (br, 1H); 8.61 (s, 1H); 13.7 (br, 1H).

Example A19



6-(1-Chloro-1,2,2,2-tetrafluoroethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

A19.1) 1-Butoxy-4-chloro-4,5,5,5-tetrafluoropent-1-en-3-one

With stirring and at 0° C., 9.59 g (48.2 mmol) of 2-chlorotetrafluoropropionyl chloride were added dropwise to a mixture of 4.19 g (50.0 mmol) of pyridine and 4.83 g (48.2 mmol) of butyl vinyl ether in 75 ml of dichloromethane. After the addition, stirring was continued at room temperature for another 15 h. The mixture was then washed with 1N hydrochloric acid and 2×100 ml of water, and the organic phase was separated off, dried and concentrated. This gave 6.33 g (50% of theory) of a brown oil.

¹H-NMR: [CDCl₃] 0.97 (t, 3H); 1.48 (m, 2H); 1.75 (m, 2H); 4.03 (t, 2H); 5.98 (d, 1H); 7.89 (d, 1H).

A19.2) 6-(1-Chloro-1,2,2,2-tetrafluoroethyl)-2-oxo-1,2-dihydropyridine-3-carboxamide

0.33 g (14.4 mmol) of sodium were dissolved in 50 ml of methanol, 3.16 g (12.03 mmol) of 1-butoxy-4-chloro-4,5,5,5-tetrafluoropent-1-en-3-one and 1.27 g (12.03 mmol) of malonamide were added and the mixture was heated under reflux for 7 h. The mixture was concentrated, and 1N hydrochloric acid was then added. The resulting precipitate was filtered off with suction and dried. This gave 380 mg (12% of theory) of a yellowish powder.

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¹H-NMR: [DMSO] 7.45 (d, 1H); 8.14 (br, 1H); 8.42 (br, 1H); 8.48 (d, 1H); 13.7 (br, 1H).

In an exemplary manner, Table 1 below lists a number of compounds of the general formula (I) which can be obtained in a manner analogous to Examples A1 to A10 above and to the methods mentioned further above.

In the table:

Bu=butyl

Me=methyl

Pr=propyl

i=iso

t=tertiary

Et=ethyl

Ph=phenyl

s=secondary

c=cyclo

This applies correspondingly to composite terms such as

iPr=isopropyl

iBu=isobutyl

sBu=sec-butyl

tBu=tert-butyl

cPr=cyclopropyl

cPentyl=cyclopentyl

cHexyl=cyclohexyl

If an alkyl radical is listed in the tables without further specification, the radical in question is the straight-chain alkyl radical, i.e., for example, Bu=n-Bu=n-butyl.

In the table, the number indices in the formula are not subscript but arranged in the same line height and font size as the symbols for the atoms.

For example, the formula CF₃ in the table corresponds to the formula CF₃ according to the customary notation with subscript index, or the formula CH₂CH(CH₂CH₃)₂ corresponds to the formula CH₂CH(CH₂CH)₂ with subscript indices.

For some compounds (I) in Table 1, physicochemical data (in general ¹H-NMR data) are listed in Table 2. Here, the data are assigned to the compounds via the example number according to Table 1.

TABLE 1

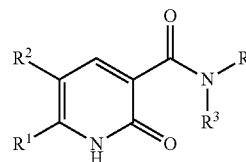
Compounds of the formula (I)

Ex.	R ¹	R ²	R ³	R ⁴
1	CF ₃	H	H	Me
2	CF ₃	H	H	Et
3	CF ₃	H	H	Pr
4	CF ₃	H	H	iPr
5	CF ₃	H	H	cPr
6	CF ₃	H	H	Bu
7	CF ₃	H	H	cBu
8	CF ₃	H	H	tBu
9	CF ₃	H	Me	Me
10	CF ₃	H	Me	Et
11	CF ₃	H	Me	Bu
12	CF ₃	H	Me	Pr
13	CF ₃	H	Me	iPr
14	CF ₃	H	Et	Et
15	CF ₃	H	Et	Pr
16	CF ₃	H	Et	iPr

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TABLE 1-continued

Compounds of the formula (I)



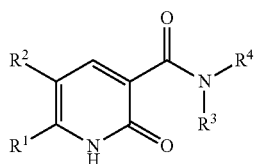
10

Ex.	R ¹	R ²	R ³	R ⁴
17	CF ₃	H	Pr	Pr
18	CF ₃	H	H	cPentyl
19	CF ₃	H	H	cHexyl
20	CF ₃	H	H	CH ₂ (CH ₂) ₃ CH ₃
21	CF ₃	H	H	CH ₂ (CH ₂) ₄ CH ₃
22	CF ₃	H	H	CH ₂ —cPr
23	CF ₃	H	H	CH ₂ —CN
24	CF ₃	H	H	CH ₂ —C(CH ₃) ₃
25	CF ₃	H	H	CH ₂ CF ₂ CF ₃
26	CF ₃	H	H	CH ₂ CF ₃
27	CF ₃	H	H	CH ₂ (CF ₂) ₂ CF ₃
28	CF ₃	H	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
29	CF ₃	H	H	CH ₂ C(CH ₃) ₂ CH ₂ F
30	CF ₃	H	H	CH ₂ CH(CH ₃) ₂
31	CF ₃	H	H	CH ₂ CH(CH ₂ CH ₃) ₂
32	CF ₃	H	H	CH ₂ CH ₂ CH(CH ₃) ₂
33	CF ₃	H	H	CH ₂ CH ₂ C(CH ₃) ₃
34	CF ₃	H	H	CH ₂ CH=CH ₂
35	CF ₃	H	Me	CH ₂ CH=CH ₂
36	CF ₃	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
37	CF ₃	H	H	CH ₂ CH=CHCH ₃
38	CF ₃	H	H	CH ₂ —C(CH ₃)=CH ₂
39	CF ₃	H	H	CH ₂ —C≡CH
40	CF ₃	H	Me	CH ₂ —C≡CH
41	CF ₃	H	H	CH(CH ₃)CH ₂ CH ₃
42	CF ₃	H	H	CH(CH ₃)cPr
43	CF ₃	H	H	CH(CH ₃)(CH ₂) ₂ CH ₃
44	CF ₃	H	H	CH(CH ₃)(CH ₂) ₄ CH ₃
45	CF ₃	H	H	CH(CH ₃)(CH ₂) ₅ CH ₃
46	CF ₃	H	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
47	CF ₃	H	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
48	CF ₃	H	H	CH(CH ₃)C(CH ₃) ₃
49	CF ₃	H	H	CH(CH ₃)CH(CH ₃) ₂
50	CF ₃	H	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
51	CF ₃	H	H	CH(CH ₂ CH ₃) ₂
52	CF ₃	H	H	C(CH ₃) ₂ CH ₂ CH ₃
53	CF ₃	H	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
54	CF ₃	H	H	CH ₂ —CH(OMe) ₂
55	CF ₃	H	H	CH ₂ —CH(OEt) ₂
56	CF ₃	H	H	CH ₂ CH ₂ —OH
57	CF ₃	H	H	CH ₂ CH ₂ —OMe
58	CF ₃	H	Me	CH ₂ CH ₂ —OMe
59	CF ₃	H	H	CH ₂ CH ₂ —OEt
60	CF ₃	H	H	CH ₂ CH ₂ —SMe
61	CF ₃	H	H	CH ₂ CH ₂ —CN
62	CF ₃	H	H	CH ₂ CH ₂ —NMe ₂
63	CF ₃	H	H	CH ₂ CH ₂ -morpholin-4-yl
64	CF ₃	H	H	CH(CH ₃)CH ₂ —OMe
65	CF ₃	H	H	CH(CH ₃)CH ₂ —NMe ₂
66	CF ₃	H	H	CH ₂ CH ₂ CH ₂ —OMe
67	CF ₃	H	H	CH ₂ CH ₂ CH ₂ —SMe
68	CF ₃	H	H	CH ₂ CH ₂ CH ₂ —OEt
69	CF ₃	H	H	CH ₂ CH ₂ CH ₂ —OiPr
70	CF ₃	H	H	CH ₂ CH ₂ CH ₂ —OBu
71	CF ₃	H	H	CH ₂ —COOCH ₃
72	CF ₃	H	Me	CH ₂ —COOCH ₃
73	CF ₃	H	H	CH(CH ₃)COOMe
74	CF ₃	H	H	CH(CH ₃)COOEt
75	CF ₃	H	H	CH ₂ CH ₂ —COOCH ₃
76	CF ₃	H	H	CH(COOCH ₃) ₂
77	CF ₃	H	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
78	CF ₃	H	H	CH(COOMe)CH(CH ₃) ₂
79	CF ₃	H	H	O—CH ₂ CH ₃
80	CF ₃	H	Me	O—CH ₃
81	CF ₃	H	H	O—CH ₂ CH=CH ₂
82	CF ₃	H	H	O—tBu

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TABLE 1-continued

Compounds of the formula (I)

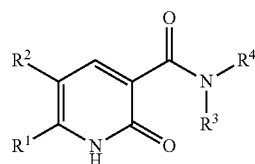


Ex.	R ¹	R ²	R ³	R ⁴
83	CF ₃	H	H	O—Pr
84	CF ₃	H	H	O—CH ₂ cPr
85	CF ₃	H	H	O—CH ₂ CH(CH ₃) ₂
86	CF ₃	H	H	O—CH ₂ CF ₃
87	CF ₃	H	H	O—CH(CH ₃)cPr
88	CF ₃	H	H	O—CH ₂ CH ₂ Cl
89	CF ₃	H	H	O—CH ₂ C≡CH
90	CF ₃	H	H	O—CH ₂ C≡CCH ₃
91	CF ₃	H	H	O—CH(CH ₃)C≡CH
92	CF ₃	H	H	CH ₂ —Ph
93	CF ₃	H	Me	CH ₂ —Ph
94	CF ₃	H	H	CH ₂ -pyridin-3-yl
95	CF ₃	H	H	CH ₂ -6-Cl-pyridin-3-yl
96	CF ₃	H	H	CH(CH ₃)Ph
97	CF ₃	H	H	CH ₂ CH ₂ —Ph
98	CF ₃	H	H	CH ₂ -2-CF ₃ —Ph
99	CF ₃	H	H	CH ₂ CH ₂ CHPh ₂
100	CF ₃	H		morpholin-4-yl
101	CF ₃	H		piperidin-1-yl
102	CF ₃	H		thiazolidin-3-yl
103	CF ₃	H		pyrrolidin-1-yl
104	CF ₃	H		2-methylpyrrolidin-1-yl
105	CF ₃	H		=CH—N(CH ₃) ₂
106	CF ₃	H		=C(CH ₃)N(CH ₃) ₂
107	CF ₃	H		=CH—N(C ₂ H ₅) ₂
108	CF ₃	H		=C(CH ₃)N(C ₂ H ₅) ₂
109	CF ₃	H		=CH-piperidine
110	CF ₃	H		=CH-morpholine
111	CF ₃	H		=CH-pyrrolidine
112	CF ₃	H	H	indan-1-yl
113	CF ₃	H	H	tetrahydrofuran-2-ylmethyl
114	CF ₂ Cl	H	H	H
115	CF ₂ Cl	H	H	Me
116	CF ₂ Cl	H	H	Et
117	CF ₂ Cl	H	H	Pr
118	CF ₂ Cl	H	H	iPr
119	CF ₂ Cl	H	H	cPr
120	CF ₂ Cl	H	H	Bu
121	CF ₂ Cl	H	H	cBu
122	CF ₂ Cl	H	H	tBu
123	CF ₂ Cl	H	Me	Me
124	CF ₂ Cl	H	Me	Et
125	CF ₂ Cl	H	Me	Bu
126	CF ₂ Cl	H	Me	Pr
127	CF ₂ Cl	H	Me	iPr
128	CF ₂ Cl	H	Et	Et
129	CF ₂ Cl	H	Et	Pr
130	CF ₂ Cl	H	Et	iPr
131	CF ₂ Cl	H	Pr	Pr
132	CF ₂ Cl	H	H	cPentyl
133	CF ₂ Cl	H	H	cHexyl
134	CF ₂ Cl	H	H	CH ₂ (CH ₂) ₃ CH ₃
135	CF ₂ Cl	H	H	CH ₂ (CH ₂) ₄ CH ₃
136	CF ₂ Cl	H	H	CH ₂ —cPr
137	CF ₂ Cl	H	H	CH ₂ —CN
138	CF ₂ Cl	H	H	CH ₂ —C(CH ₃) ₃
139	CF ₂ Cl	H	H	CH ₂ CF ₂ CF ₃
140	CF ₂ Cl	H	H	CH ₂ CF ₃
141	CF ₂ Cl	H	H	CH ₂ (CF ₂) ₂ CF ₃
142	CF ₂ Cl	H	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
143	CF ₂ Cl	H	H	CH ₂ C(CH ₃) ₂ CH ₂ F
144	CF ₂ Cl	H	H	CH ₂ CH(CH ₃) ₂
145	CF ₂ Cl	H	H	CH ₂ CH(CH ₂ CH ₃) ₂
146	CF ₂ Cl	H	H	CH ₂ CH ₂ CH(CH ₃) ₂
147	CF ₂ Cl	H	H	CH ₂ CH ₂ C(CH ₃) ₃
148	CF ₂ Cl	H	H	CH ₂ CH=CH ₂

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TABLE 1-continued

Compounds of the formula (I)

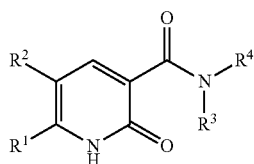


Ex.	R ¹	R ²	R ³	R ⁴
149	CF ₂ Cl	H	Me	CH ₂ CH=CH ₂
150	CF ₂ Cl	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
151	CF ₂ Cl	H	H	CH ₂ CH=CHCH ₃
152	CF ₂ Cl	H	H	CH ₂ —C(CH ₃)=CH ₂
153	CF ₂ Cl	H	H	CH ₂ —C≡CH
154	CF ₂ Cl	H	Me	CH ₂ —C≡CH
155	CF ₂ Cl	H	H	CH(CH ₃)CH ₂ CH ₃
156	CF ₂ Cl	H	H	CH(CH ₃)cPr
157	CF ₂ Cl	H	H	CH(CH ₃)(CH ₂) ₂ CH ₃
158	CF ₂ Cl	H	H	CH(CH ₃)(CH ₂) ₄ CH ₃
159	CF ₂ Cl	H	H	CH(CH ₃)(CH ₃) ₅ CH ₃
160	CF ₂ Cl	H	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
161	CF ₂ Cl	H	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
162	CF ₂ Cl	H	H	CH(CH ₃)C(CH ₃) ₃
163	CF ₂ Cl	H	H	CH(CH ₃)CH(CH ₃) ₂
164	CF ₂ Cl	H	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
165	CF ₂ Cl	H	H	CH(CH ₂ CH ₃) ₂
166	CF ₂ Cl	H	H	C(CH ₃) ₂ CH ₂ CH ₃
167	CF ₂ Cl	H	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
168	CF ₂ Cl	H	H	CH ₂ —CH(OMe) ₂
169	CF ₂ Cl	H	H	CH ₂ —CH(OEt) ₂
170	CF ₂ Cl	H	H	CH ₂ CH ₂ —OH
171	CF ₂ Cl	H	H	CH ₂ CH ₂ —OMe
172	CF ₂ Cl	H	Me	CH ₂ CH ₂ —OMe
173	CF ₂ Cl	H	H	CH ₂ CH ₂ —OEt
174	CF ₂ Cl	H	H	CH ₂ CH ₂ —SMe
175	CF ₂ Cl	H	H	CH ₂ CH ₂ —CN
176	CF ₂ Cl	H	H	CH ₂ CH ₂ —NMe ₂
177	CF ₂ Cl	H	H	CH ₂ CH ₂ -morpholin-4-yl
178	CF ₂ Cl	H	H	CH(CH ₃)CH ₂ —OMe
179	CF ₂ Cl	H	H	CH(CH ₃)CH ₂ —NMe ₂
180	CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —OMe
181	CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —SMe
182	CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —OEt
183	CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —OiPr
184	CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —OBu
185	CF ₂ Cl	H	H	CH ₂ —COOCH ₃
186	CF ₂ Cl	H	Me	CH ₂ —COOCH ₃
187	CF ₂ Cl	H	H	CH(CH ₃)COOMe
188	CF ₂ Cl	H	H	CH(CH ₃)COOEt
189	CF ₂ Cl	H	H	CH ₂ CH ₂ —COOCH ₃
190	CF ₂ Cl	H	H	CH(COOCH ₃) ₂
191	CF ₂ Cl	H	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
192	CF ₂ Cl	H	H	CH(COOMe)CH(CH ₃) ₂
193	CF ₂ Cl	H	H	O—CH ₂ CH ₃
194	CF ₂ Cl	H	H	O—CH ₃
195	CF ₂ Cl	H	H	O—CH ₂ CH=CH ₂
196	CF ₂ Cl	H	H	O—tBu
197	CF ₂ Cl	H	H	O—Pr
198	CF ₂ Cl	H	H	O—CH ₂ cPr
199	CF ₂ Cl	H	H	O—CH ₂ CH(CH ₃) ₂
200	CF ₂ Cl	H	H	O—CH ₂ CF ₃
201	CF ₂ Cl	H	H	O—CH(CH ₃)cPr
202	CF ₂ Cl	H	H	O—CH ₂ CH ₂ Cl
203	CF ₂ Cl	H	H	O—CH ₂ C≡CH
204	CF ₂ Cl	H	H	O—CH ₂ C≡CCH ₃
205	CF ₂ Cl	H	H	O—CH(CH ₃)C≡CH
206	CF ₂ Cl	H	H	CH ₂ —Ph
207	CF ₂ Cl	H	Me	CH ₂ —Ph
208	CF ₂ Cl	H	H	CH ₂ -pyridin-3-yl
209	CF ₂ Cl	H	H	CH ₂ -6-Cl-pyridin-3-yl
210	CF ₂ Cl	H	H	CH(CH ₃)Ph
211	CF ₂ Cl	H	H	CH ₂ CH ₂ —Ph
212	CF ₂ Cl	H	H	CH ₂ -2-CF ₃ —Ph
213	CF ₂ Cl	H	H	CH ₂ CH ₂ CHPh
214	CF ₂ Cl	H		morpholin-4-yl

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TABLE 1-continued

Compounds of the formula (I)

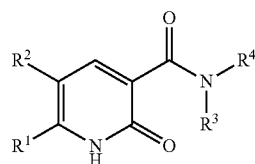


Ex.	R ¹	R ²	R ³	R ⁴
215	CF ₂ Cl	H		piperidin-1-yl
216	CF ₂ Cl	H		thiazolidin-3-yl
217	CF ₂ Cl	H		pyrrolidin-1-yl
218	CF ₂ Cl	H		2-methylpyrrolidin-1-yl
219	CF ₂ Cl	H		=CH—N(CH ₃) ₂
220	CF ₂ Cl	H		=C(CH ₃)N(CH ₃) ₂
221	CF ₂ Cl	H		=CH—N(C ₂ H ₅) ₂
222	CF ₂ Cl	H		=C(CH ₃)N(C ₂ H ₅) ₂
223	CF ₂ Cl	H		=CH-piperidine
224	CF ₂ Cl	H		=CH-morpholine
225	CF ₂ Cl	H		=CH-pyrrolidine
226	CF ₂ Cl	H	H	indan-1-yl
227	CF ₂ Cl	H	H	tetrahydrofuran-2-ylmethyl
228	CHF ₂	H	H	H
229	CHF ₂	H	H	Me
230	CHF ₂	H	H	Et
231	CHF ₂	H	H	Pr
232	CHF ₂	H	H	iPr
233	CHF ₂	H	H	cPr
234	CHF ₂	H	H	Bu
235	CHF ₂	H	H	cBu
236	CHF ₂	H	H	tBu
237	CHF ₂	H	Me	Me
238	CHF ₂	H	Me	Et
239	CHF ₂	H	Me	Bu
240	CHF ₂	H	Me	Pr
241	CHF ₂	H	Me	iPr
242	CHF ₂	H	Et	Et
243	CHF ₂	H	Et	Pr
244	CHF ₂	H	Et	iPr
245	CHF ₂	H	Pr	Pr
246	CHF ₂	H	H	cPentyl
247	CHF ₂	H	H	cHexyl
248	CHF ₂	H	H	CH ₂ (CH ₂) ₃ CH ₃
249	CHF ₂	H	H	CH ₂ (CH ₂) ₄ CH ₃
250	CHF ₂	H	H	CH ₂ —cPr
251	CHF ₂	H	H	CH ₂ —CN
252	CHF ₂	H	H	CH ₂ —C(CH ₃) ₃
253	CHF ₂	H	H	CH ₂ CF ₂ CF ₃
254	CHF ₂	H	H	CH ₂ CF ₃
255	CHF ₂	H	H	CH ₂ (CF ₂) ₂ CF ₃
256	CHF ₂	H	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
257	CHF ₂	H	H	CH ₂ C(CH ₃) ₂ CH ₂ F
258	CHF ₂	H	H	CH ₂ CH(CH ₃) ₂
259	CHF ₂	H	H	CH ₂ CH(CH ₂ CH ₃) ₂
260	CHF ₂	H	H	CH ₂ CH ₂ CH(CH ₃) ₂
261	CHF ₂	H	H	CH ₂ CH ₂ C(CH ₃) ₃
262	CHF ₂	H	H	CH ₂ CH=CH ₂
263	CHF ₂	H	Me	CH ₂ CH=CH ₂
264	CHF ₂	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
265	CHF ₂	H	H	CH ₂ CH=CHCH ₃
266	CHF ₂	H	H	CH ₂ —C(CH ₃)=CH ₂
267	CHF ₂	H	H	CH ₂ —C=CH
268	CHF ₂	H	Me	CH ₂ —C=CH
269	CHF ₂	H	H	CH(CH ₃)CH ₂ CH ₃
270	CHF ₂	H	H	CH(CH ₃)cPr
271	CHF ₂	H	H	CH(CH ₃)(CH ₂) ₂ CH ₃
272	CHF ₂	H	H	CH(CH ₃)(CH ₂) ₄ CH ₃
273	CHF ₂	H	H	CH(CH ₃)(CH ₂) ₅ CH ₃
274	CHF ₂	H	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
275	CHF ₂	H	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
276	CHF ₂	H	H	CH(CH ₃)C(CH ₃) ₃
277	CHF ₂	H	H	CH(CH ₃)CH(CH ₃) ₂
278	CHF ₂	H	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
279	CHF ₂	H	H	CH(CH ₂ CH ₃) ₂
280	CHF ₂	H	H	C(CH ₃) ₂ CH ₂ CH ₃

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TABLE 1-continued

Compounds of the formula (I)



Ex.	R ¹	R ²	R ³	R ⁴
281	CHF ₂	H	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
282	CHF ₂	H	H	CH ₂ —CH(OMe) ₂
283	CHF ₂	H	H	CH ₂ —CH(OEt) ₂
284	CHF ₂	H	H	CH ₂ CH ₂ —OH
285	CHF ₂	H	H	CH ₂ CH ₂ —OMe
286	CHF ₂	H	H	CH ₂ CH ₂ —OEt
287	CHF ₂	H	H	CH ₂ CH ₂ —SMe
288	CHF ₂	H	H	CH ₂ CH ₂ —CN
289	CHF ₂	H	H	CH ₂ CH ₂ —NMe ₂
290	CHF ₂	H	H	CH ₂ CH ₂ -morpholin-4-yl
291	CHF ₂	H	H	CH(CH ₃)CH ₂ —OMe
292	CHF ₂	H	H	CH(CH ₃)CH ₂ —NMe ₂
293	CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —OMe
294	CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —SMe
295	CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —OEt
296	CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —OiPr
297	CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —OBu
298	CHF ₂	H	H	CH ₂ —COOCH ₃
299	CHF ₂	H	Me	CH ₂ —COOCH ₃
300	CHF ₂	H	H	CH(CH ₃)COOMe
301	CHF ₂	H	H	CH(CH ₃)COOEt
302	CHF ₂	H	H	CH ₂ CH ₂ —COOCH ₃
303	CHF ₂	H	H	CH(COOCH ₃) ₂
304	CHF ₂	H	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
305	CHF ₂	H	H	CH(COOMe)CH(CH ₃) ₂
306	CHF ₂	H	H	O—CH ₂ CH ₃
307	CHF ₂	H	H	O—CH ₃
308	CHF ₂	H	H	O—CH ₂ CH=CH ₂
309	CHF ₂	H	H	O—tBu
310	CHF ₂	H	H	O—Pr
311	CHF ₂	H	H	O—CH ₂ cPr
312	CHF ₂	H	H	O—CH ₂ CH(CH ₃) ₂
313	CHF ₂	H	H	O—CH ₂ CF ₃
314	CHF ₂	H	H	O—CH(CH ₃)cPr
315	CHF ₂	H	H	O—CH ₂ CH ₂ Cl
316	CHF ₂	H	H	O—CH ₂ C=CH
317	CHF ₂	H	H	O—CH ₂ C=CH ₃
318	CHF ₂	H	H	O—CH(CH ₃)C=CH
319	CHF ₂	H	H	CH ₂ —Ph
320	CHF ₂	H	Me	CH ₂ —Ph
321	CHF ₂	H	H	CH ₂ -pyridin-3-yl
322	CHF ₂	H	H	CH ₂ -6-Cl-pyridin-3-yl
323	CHF ₂	H	H	CH(CH ₃)Ph
324	CHF ₂	H	H	CH ₂ CH ₂ —Ph
325	CHF ₂	H	H	CH ₂ -2-CF ₃ —Ph
326	CHF ₂	H	H	CH ₂ CH ₂ CHPh
327	CHF ₂	H		morpholin-4-yl
328	CHF ₂	H		piperidin-1-yl
329	CHF ₂	H		thiazolidin-3-yl
330	CHF ₂	H		pyrrolidin-1-yl
331	CHF ₂	H		2-methylpyrrolidin-1-yl
332	CHF ₂	H		=CH—N(CH ₃) ₂
333	CHF ₂	H		=C(CH ₃)N(CH ₃) ₂
334	CHF ₂	H		=CH—N(C ₂ H ₅) ₂
335	CHF ₂	H		=C(CH ₃)N(C ₂ H ₅) ₂
336	CHF ₂	H		=CH-piperidine
337	CHF ₂	H		=CH-morpholine
338	CHF ₂	H		=CH-pyrrolidine
339	CHF ₂	H	H	indan-1-yl
340	CHF ₂	H	H	tetrahydrofuran-2-ylmethyl
341	CF ₂ CF ₃	H	H	H
342	CF ₂ CF ₃	H	H	Me
343	CF ₂ CF ₃	H	H	Et
344	CF ₂ CF ₃	H	H	Pr
345	CF ₂ CF ₃	H	H	iPr
346	CF ₂ CF ₃	H	H	cPr

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TABLE 1-continued

Compounds of the formula (I)				
Ex.	R ¹	R ²	R ³	R ⁴
347	CF ₂ CF ₃	H	H	Bu
348	CF ₂ CF ₃	H	H	cBu
349	CF ₂ CF ₃	H	H	tBu
350	CF ₂ CF ₃	H	Me	Me
351	CF ₂ CF ₃	H	Me	Et
352	CF ₂ CF ₃	H	Me	Bu
353	CF ₂ CF ₃	H	Me	Pr
354	CF ₂ CF ₃	H	Me	iPr
355	CF ₂ CF ₃	H	Et	Et
356	CF ₂ CF ₃	H	Et	Pr
357	CF ₂ CF ₃	H	Et	iPr
358	CF ₂ CF ₃	H	Pr	Pr
359	CF ₂ CF ₃	H	H	cPentyl
360	CF ₂ CF ₃	H	H	cHexyl
361	CF ₂ CF ₃	H	H	CH ₂ (CH ₂) ₃ CH ₃
362	CF ₂ CF ₃	H	H	CH ₂ (CH ₂) ₄ CH ₃
363	CF ₂ CF ₃	H	H	CH ₂ —cPr
364	CF ₂ CF ₃	H	H	CH ₂ —CN
365	CF ₂ CF ₃	H	H	CH ₂ —C(CH ₃) ₃
366	CF ₂ CF ₃	H	H	CH ₂ CF ₂ CF ₃
367	CF ₂ CF ₃	H	H	CH ₂ CF ₃
368	CF ₂ CF ₃	H	H	CH ₂ (CF ₂) ₂ CF ₃
369	CF ₂ CF ₃	H	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
370	CF ₂ CF ₃	H	H	CH ₂ C(CH ₃) ₂ CH ₂ F
371	CF ₂ CF ₃	H	H	CH ₂ CH(CH ₃) ₂
372	CF ₂ CF ₃	H	H	CH ₂ CH(CH ₂ CH ₃) ₂
373	CF ₂ CF ₃	H	H	CH ₂ CH ₂ CH(CH ₃) ₂
374	CF ₂ CF ₃	H	H	CH ₂ CH ₂ C(CH ₃) ₃
375	CF ₂ CF ₃	H	H	CH ₂ CH=CH ₂
376	CF ₂ CF ₃	H	Me	CH ₂ CH=CH ₂
377	CF ₂ CF ₃	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
378	CF ₂ CF ₃	H	H	CH ₂ CH=CHCH ₃
379	CF ₂ CF ₃	H	H	CH ₂ —C(CH ₃)=CH ₂
380	CF ₂ CF ₃	H	H	CH ₂ —C=CH
381	CF ₂ CF ₃	H	Me	CH ₂ —C=CH
382	CF ₂ CF ₃	H	H	CH(CH ₃)CH ₂ CH ₃
383	CF ₂ CF ₃	H	H	CH(CH ₃)cPr
384	CF ₂ CF ₃	H	H	CH(CH ₃)(CH ₂) ₂ CH ₃
385	CF ₂ CF ₃	H	H	CH(CH ₃)(CH ₂) ₄ CH ₃
386	CF ₂ CF ₃	H	H	CH(CH ₃)(CH ₂) ₅ CH ₃
387	CF ₂ CF ₃	H	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
388	CF ₂ CF ₃	H	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
389	CF ₂ CF ₃	H	H	CH(CH ₃)C(CH ₃) ₃
390	CF ₂ CF ₃	H	H	CH(CH ₃)CH(CH ₃) ₂
391	CF ₂ CF ₃	H	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
392	CF ₂ CF ₃	H	H	CH(CH ₂ CH ₃) ₂
393	CF ₂ CF ₃	H	H	C(CH ₃) ₂ CH ₂ CH ₃
394	CF ₂ CF ₃	H	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
395	CF ₂ CF ₃	H	H	CH ₂ —CH(OMe) ₂
396	CF ₂ CF ₃	H	H	CH ₂ —CH(OEt) ₂
397	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —OH
398	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —OMe
399	CF ₂ CF ₃	H	Me	CH ₂ CH ₂ —OMe
400	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —OEt
401	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —SMe
402	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —CN
403	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —NMe ₂
404	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —morpholin-4-yl
405	CF ₂ CF ₃	H	H	CH(CH ₃)CH ₂ —OMe
406	CF ₂ CF ₃	H	H	CH(CH ₃)CH ₂ —NMe ₂
407	CF ₂ CF ₃	H	H	CH ₂ CH ₂ CH ₂ —OMe
408	CF ₂ CF ₃	H	H	CH ₂ CH ₂ CH ₂ —SMe
409	CF ₂ CF ₃	H	H	CH ₂ CH ₂ CH ₂ —OEt
410	CF ₂ CF ₃	H	H	CH ₂ CH ₂ CH ₂ —OiPr
411	CF ₂ CF ₃	H	H	CH ₂ CH ₂ CH ₂ —OBu
412	CF ₂ CF ₃	H	H	CH ₂ —COOCH ₃

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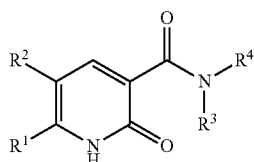
TABLE 1-continued

Compounds of the formula (I)				
Ex.	R ¹	R ²	R ³	R ⁴
413	CF ₂ CF ₃	H	Me	CH ₂ —COOCH ₃
414	CF ₂ CF ₃	H	H	CH(CH ₃)COOMe
415	CF ₂ CF ₃	H	H	CH(CH ₃)COOEt
416	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —COOCH ₃
417	CF ₂ CF ₃	H	H	CH(COOCH ₃) ₂
418	CF ₂ CF ₃	H	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
419	CF ₂ CF ₃	H	H	CH(COOMe)CH(CH ₃) ₂
420	CF ₂ CF ₃	H	H	O—CH ₂ CH ₃
421	CF ₂ CF ₃	H	H	O—CH ₃
422	CF ₂ CF ₃	H	H	O—CH ₂ CH=CH ₂
423	CF ₂ CF ₃	H	H	O—tBu
424	CF ₂ CF ₃	H	H	O—Pr
425	CF ₂ CF ₃	H	H	O—CH ₂ cPr
426	CF ₂ CF ₃	H	H	O—CH ₂ CH(CH ₃) ₂
427	CF ₂ CF ₃	H	H	O—CH ₂ CF ₃
428	CF ₂ CF ₃	H	H	O—CH(CH ₃)cPr
429	CF ₂ CF ₃	H	H	O—CH ₂ CH ₂ Cl
430	CF ₂ CF ₃	H	H	O—CH ₂ C=CH
431	CF ₂ CF ₃	H	H	O—CH ₂ C=CCH ₃
432	CF ₂ CF ₃	H	H	O—CH(CH ₃)C=CH
433	CF ₂ CF ₃	H	H	CH ₂ —Ph
434	CF ₂ CF ₃	H	Me	CH ₂ —Ph
435	CF ₂ CF ₃	H	H	CH ₂ —pyridin-3-yl
436	CF ₂ CF ₃	H	H	CH ₂ -6-Cl-pyridin-3-yl
437	CF ₂ CF ₃	H	H	CH(CH ₃)Ph
438	CF ₂ CF ₃	H	H	CH ₂ CH ₂ —Ph
439	CF ₂ CF ₃	H	H	CH ₂ -2-CF ₃ —Ph
440	CF ₂ CF ₃	H	H	CH ₂ CH ₂ CHPh
441	CF ₂ CF ₃	H		morpholin-4-yl
442	CF ₂ CF ₃	H		piperidin-1-yl
443	CF ₂ CF ₃	H		thiazolidin-3-yl
444	CF ₂ CF ₃	H		pyrrolidin-1-yl
445	CF ₂ CF ₃	H		2-methylpyrrolidin-1-yl
446	CF ₂ CF ₃	H		=CH—N(CH ₃) ₂
447	CF ₂ CF ₃	H		=C(CH ₃)N(CH ₃) ₂
448	CF ₂ CF ₃	H		=CH—N(C ₂ H ₅) ₂
449	CF ₂ CF ₃	H		=C(CH ₃)N(C ₂ H ₅) ₂
450	CF ₂ CF ₃	H		=CH—piperidine
451	CF ₂ CF ₃	H		=CH—morpholine
452	CF ₂ CF ₃	H		=CH—pyrrolidine
453	CF ₂ CF ₃	H	H	indan-1-yl
454	CF ₂ CF ₃	H	H	tetrahydrofuran-2-ylmethyl
455	CF ₃	Cl	H	H
456	CF ₃	Cl	H	Me
457	CF ₃	Cl	H	Et
458	CF ₃	Cl	H	Pr
459	CF ₃	Cl	H	iPr
460	CF ₃	Cl	H	cPr
461	CF ₃	Cl	H	Bu
462	CF ₃	Cl	H	cBu
463	CF ₃	Cl	H	tBu
464	CF ₃	Cl	Me	Me
465	CF ₃	Cl	Me	Et
466	CF ₃	Cl	Me	Bu
467	CF ₃	Cl	Me	Pr
468	CF ₃	Cl	Me	iPr
469	CF ₃	Cl	Et	Et
470	CF ₃	Cl	Et	Pr
471	CF ₃	Cl	Et	iPr
472	CF ₃	Cl	Pr	Pr
473	CF ₃	Cl	H	cPentyl
474	CF ₃	Cl	H	cHexyl
475	CF ₃	Cl	H	CH ₂ (CH ₂) ₃ CH ₃
476	CF ₃	Cl	H	CH ₂ (CH ₂) ₄ CH ₃
477	CF ₃	Cl	H	CH ₂ —cPr
478	CF ₃	Cl	H	CH ₂ —CN

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TABLE 1-continued

Compounds of the formula (I)



(I) 5

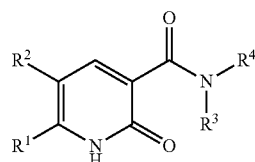
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Ex.	R ¹	R ²	R ³	R ⁴
479	CF ₃	Cl	H	CH ₂ —C(CH ₃) ₃
480	CF ₃	Cl	H	CH ₂ CF ₂ CF ₃
481	CF ₃	Cl	H	CH ₂ CF ₃
482	CF ₃	Cl	H	CH ₂ (CF ₂) ₂ CF ₃
483	CF ₃	Cl	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
484	CF ₃	Cl	H	CH ₂ C(CH ₃) ₂ CH ₂ F
485	CF ₃	Cl	H	CH ₂ CH(CH ₃) ₂
486	CF ₃	Cl	H	CH ₂ CH(CH ₂ CH ₃) ₂
487	CF ₃	Cl	H	CH ₂ CH ₂ CH(CH ₃) ₂
488	CF ₃	Cl	H	CH ₂ CH ₂ C(CH ₃) ₃
489	CF ₃	Cl	H	CH ₂ CH=CH ₂
490	CF ₃	Cl	Me	CH ₂ CH=CH ₂
491	CF ₃	Cl	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
492	CF ₃	Cl	H	CH ₂ CH=CHCH ₃
493	CF ₃	Cl	H	CH ₂ —C(CH ₃)=CH ₂
494	CF ₃	Cl	H	CH ₂ —C=CH
495	CF ₃	Cl	Me	CH ₂ —C=CH
496	CF ₃	Cl	H	CH(CH ₃)CH ₂ CH ₃
497	CF ₃	Cl	H	CH(CH ₃)cPr
498	CF ₃	Cl	H	CH(CH ₃)(CH ₂) ₂ CH ₃
499	CF ₃	Cl	H	CH(CH ₃)(CH ₂) ₄ CH ₃
500	CF ₃	Cl	H	CH(CH ₃)(CH ₂) ₅ CH ₃
501	CF ₃	Cl	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
502	CF ₃	Cl	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
503	CF ₃	Cl	H	CH(CH ₃)C(CH ₃) ₃
504	CF ₃	Cl	H	CH(CH ₃)CH(CH ₃) ₂
505	CF ₃	Cl	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
506	CF ₃	Cl	H	CH(CH ₂ CH ₃) ₂
507	CF ₃	Cl	H	C(CH ₃) ₂ CH ₂ CH ₃
508	CF ₃	Cl	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
509	CF ₃	Cl	H	CH ₂ —CH(OMe) ₂
510	CF ₃	Cl	H	CH ₂ —CH(OEt) ₂
511	CF ₃	Cl	H	CH ₂ CH ₂ —OH
512	CF ₃	Cl	H	CH ₂ CH ₂ —OMe
513	CF ₃	Cl	Me	CH ₂ CH ₂ —OMe
514	CF ₃	Cl	H	CH ₂ CH ₂ —OEt
515	CF ₃	Cl	H	CH ₂ CH ₂ —SMe
516	CF ₃	Cl	H	CH ₂ CH ₂ —CN
517	CF ₃	Cl	H	CH ₂ CH ₂ —NMe ₂
518	CF ₃	Cl	H	CH ₂ CH ₂ —morpholin-4-yl
519	CF ₃	Cl	H	CH(CH ₃)CH ₂ —OMe
520	CF ₃	Cl	H	CH(CH ₃)CH ₂ —NMe ₂
521	CF ₃	Cl	H	CH ₂ CH ₂ CH ₂ —OMe
522	CF ₃	Cl	H	CH ₂ CH ₂ CH ₂ —SMe
523	CF ₃	Cl	H	CH ₂ CH ₂ CH ₂ —OEt
524	CF ₃	Cl	H	CH ₂ CH ₂ CH ₂ —OiPr
525	CF ₃	Cl	H	CH ₂ CH ₂ CH ₂ —OBu
526	CF ₃	Cl	H	CH ₂ —COOCH ₃
527	CF ₃	Cl	Me	CH ₂ —COOCH ₃
528	CF ₃	Cl	H	CH(CH ₃)COOMe
529	CF ₃	Cl	H	CH(CH ₃)COOEt
530	CF ₃	Cl	H	CH ₂ CH ₂ —COOCH ₃
531	CF ₃	Cl	H	CH(COOCH ₃) ₂
532	CF ₃	Cl	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
533	CF ₃	Cl	H	CH(COOMe)CH(CH ₃) ₂
534	CF ₃	Cl	H	O—CH ₂ CH ₃
535	CF ₃	Cl	Me	O—CH ₃
536	CF ₃	Cl	H	O—CH ₂ CH=CH ₂
537	CF ₃	Cl	H	O—tBu
538	CF ₃	Cl	H	O—Pr
539	CF ₃	Cl	H	O—CH ₂ cPr
540	CF ₃	Cl	H	O—CH ₂ CH(CH ₃) ₂
541	CF ₃	Cl	H	O—CH ₂ CF ₃
542	CF ₃	Cl	H	O—CH(CH ₃)cPr
543	CF ₃	Cl	H	O—CH ₂ CH ₂ Cl
544	CF ₃	Cl	H	O—CH ₂ C=CH

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TABLE 1-continued

Compounds of the formula (I)



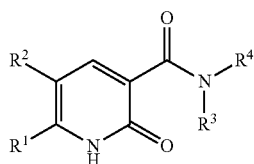
(I)

Ex.	R ¹	R ²	R ³	R ⁴
545	CF ₃	Cl	H	O—CH ₂ C=CCH ₃
546	CF ₃	Cl	H	O—CH(CH ₃)C=CH
547	CF ₃	Cl	H	CH ₂ —Ph
548	CF ₃	Cl	Me	CH ₂ —Ph
549	CF ₃	Cl	H	CH ₂ —pyridin-3-yl
550	CF ₃	Cl	H	CH ₂ -6-Cl-pyridin-3-yl
551	CF ₃	Cl	H	CH(CH ₃)Ph
552	CF ₃	Cl	H	CH ₂ CH ₂ —Ph
553	CF ₃	Cl	H	CH ₂ -2-CF ₃ —Ph
554	CF ₃	Cl	H	CH ₂ CH ₂ CHPh
555	CF ₃	Cl		morpholin-4-yl
556	CF ₃	Cl		piperidin-1-yl
557	CF ₃	Cl		thiazolidin-3-yl
558	CF ₃	Cl		pyrrolidin-1-yl
559	CF ₃	Cl		2-methylpyrrolidin-1-yl
560	CF ₃	Cl		=CH—N(CH ₃) ₂
561	CF ₃	Cl		=C(CH ₃)N(CH ₃) ₂
562	CF ₃	Cl		=CH—N(C ₂ H ₅) ₂
563	CF ₃	Cl		=C(CH ₃)N(C ₂ H ₅) ₂
564	CF ₃	Cl		=CH—piperidine
565	CF ₃	Cl		=CH—morpholine
566	CF ₃	Cl		=CH—pyrrolidine
567	CF ₃	Cl	H	indan-1-yl
568	CF ₃	Cl	H	tetrahydrofuran-2-ylmethyl
569	CF ₂ Cl	Cl	H	H
570	CF ₂ Cl	Cl	H	Me
571	CF ₂ Cl	Cl	H	Et
572	CF ₂ Cl	Cl	H	Pr
573	CF ₂ Cl	Cl	H	iPr
574	CF ₂ Cl	Cl	H	cPr
575	CF ₂ Cl	Cl	H	Bu
576	CF ₂ Cl	Cl	H	cBu
577	CF ₂ Cl	Cl	H	tBu
578	CF ₂ Cl	Cl	Me	Me
579	CF ₂ Cl	Cl	Me	Et
580	CF ₂ Cl	Cl	Me	Bu
581	CF ₂ Cl	Cl	Me	Pr
582	CF ₂ Cl	Cl	Me	iPr
583	CF ₂ Cl	Cl	Et	Et
584	CF ₂ Cl	Cl	Et	Pr
585	CF ₂ Cl	Cl	Et	iPr
586	CF ₂ Cl	Cl	Pr	Pr
587	CF ₂ Cl	Cl	H	cPentyl
588	CF ₂ Cl	Cl	H	cHexyl
589	CF ₂ Cl	Cl	H	CH ₂ (CH ₂) ₃ CH ₃
590	CF ₂ Cl	Cl	H	CH ₂ (CH ₂) ₄ CH ₃
591	CF ₂ Cl	Cl	H	CH ₂ —cPr
592	CF ₂ Cl	Cl	H	CH ₂ —CN
593	CF ₂ Cl	Cl	H	CH ₂ —C(CH ₃) ₃
594	CF ₂ Cl	Cl	H	CH ₂ CF ₂ CF ₃
595	CF ₂ Cl	Cl	H	CH ₂ CF ₃
596	CF ₂ Cl	Cl	H	CH ₂ (CF ₂) ₂ CF ₃
597	CF ₂ Cl	Cl	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
598	CF ₂ Cl	Cl	H	CH ₂ C(CH ₃) ₂ CH ₂ F
599	CF ₂ Cl	Cl	H	CH ₂ CH(CH ₃) ₂
600	CF ₂ Cl	Cl	H	CH ₂ CH(CH ₂ CH ₃) ₂
601	CF ₂ Cl	Cl	H	CH ₂ CH ₂ CH(CH ₃) ₂
602	CF ₂ Cl	Cl	H	CH ₂ CH ₂ C(CH ₃) ₃
603	CF ₂ Cl	Cl	H	CH ₂ CH=CH ₂
604	CF ₂ Cl	Cl	Me	CH ₂ CH=CH ₂
605	CF ₂ Cl	Cl	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
606	CF ₂ Cl	Cl	H	CH ₂ CH=CHCH ₃
607	CF ₂ Cl	Cl	H	CH ₂ —C(CH ₃)=CH ₂
608	CF ₂ Cl	Cl	H	CH ₂ —C=CH
609	CF ₂ Cl	Cl	Me	CH ₂ —C=CH
610	CF ₂ Cl	Cl	H	CH(CH ₃)CH ₂ CH ₃

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TABLE 1-continued

Compounds of the formula (I)

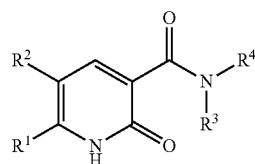


Ex.	R ¹	R ²	R ³	R ⁴
611	CF ₂ Cl	Cl	H	CH(CH ₃)cPr
612	CF ₂ Cl	Cl	H	CH(CH ₃)(CH ₂) ₂ CH ₃
613	CF ₂ Cl	Cl	H	CH(CH ₃)(CH ₂) ₄ CH ₃
614	CF ₂ Cl	Cl	H	CH(CH ₃)(CH ₂) ₅ CH ₃
615	CF ₂ Cl	Cl	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
616	CF ₂ Cl	Cl	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
617	CF ₂ Cl	Cl	H	CH(CH ₃)C(CH ₃) ₃
618	CF ₂ Cl	Cl	H	CH(CH ₃)CH(CH ₃) ₂
619	CF ₂ Cl	Cl	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
620	CF ₂ Cl	Cl	H	CH(CH ₂ CH ₃) ₂
621	CF ₂ Cl	Cl	H	C(CH ₃) ₂ CH ₂ CH ₃
622	CF ₂ Cl	Cl	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
623	CF ₂ Cl	Cl	H	CH ₂ —CH(OMe) ₂
624	CF ₂ Cl	Cl	H	CH ₂ —CH(OEt) ₂
625	CF ₂ Cl	Cl	H	CH ₂ CH ₂ —OH
626	CF ₂ Cl	Cl	H	CH ₂ CH ₂ —OMe
627	CF ₂ Cl	Cl	Me	CH ₂ CH ₂ —OMe
628	CF ₂ Cl	Cl	H	CH ₂ CH ₂ —OEt
629	CF ₂ Cl	Cl	H	CH ₂ CH ₂ —SMe
630	CF ₂ Cl	Cl	H	CH ₂ CH ₂ —CN
631	CF ₂ Cl	Cl	H	CH ₂ CH ₂ —NMe ₂
632	CF ₂ Cl	Cl	H	CH ₂ CH ₂ -morpholin-4-yl
633	CF ₂ Cl	Cl	H	CH(CH ₃)CH ₂ —OMe
634	CF ₂ Cl	Cl	H	CH(CH ₃)CH ₂ —NMe ₂
635	CF ₂ Cl	Cl	H	CH ₂ CH ₂ CH ₂ —OMe
636	CF ₂ Cl	Cl	H	CH ₂ CH ₂ CH ₂ —SMe
637	CF ₂ Cl	Cl	H	CH ₂ CH ₂ CH ₂ —OEt
638	CF ₂ Cl	Cl	H	CH ₂ CH ₂ CH ₂ —OiPr
639	CF ₂ Cl	Cl	H	CH ₂ CH ₂ CH ₂ —OBu
640	CF ₂ Cl	Cl	H	CH ₂ —COOCH ₃
641	CF ₂ Cl	Cl	Me	CH ₂ —COOCH ₃
642	CF ₂ Cl	Cl	H	CH(CH ₃)COOMe
643	CF ₂ Cl	Cl	H	CH(CH ₃)COOEt
644	CF ₂ Cl	Cl	H	CH ₂ CH ₂ —COOCH ₃
645	CF ₂ Cl	Cl	H	CH(COOCH ₃) ₂
646	CF ₂ Cl	Cl	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
647	CF ₂ Cl	Cl	H	CH(COOMe)CH(CH ₃) ₂
648	CF ₂ Cl	Cl	H	O—CH ₂ CH ₃
649	CF ₂ Cl	Cl	H	O—CH ₃
650	CF ₂ Cl	Cl	H	O—CH ₂ CH=CH ₂
651	CF ₂ Cl	Cl	H	O—tBu
652	CF ₂ Cl	Cl	H	O—Pr
653	CF ₂ Cl	Cl	H	O—CH ₂ cPr
654	CF ₂ Cl	Cl	H	O—CH ₂ CH(CH ₃) ₂
655	CF ₂ Cl	Cl	H	O—CH ₂ CF ₃
656	CF ₂ Cl	Cl	H	O—CH(CH ₃)cPr
657	CF ₂ Cl	Cl	H	O—CH ₂ CH ₂ Cl
658	CF ₂ Cl	Cl	H	O—CH ₂ C≡CH
659	CF ₂ Cl	Cl	H	O—CH ₂ C≡CCH ₃
660	CF ₂ Cl	Cl	H	O—CH(CH ₃)C≡CH
661	CF ₂ Cl	Cl	H	CH ₂ —Ph
662	CF ₂ Cl	Cl	Me	CH ₂ —Ph
663	CF ₂ Cl	Cl	H	CH ₂ -pyridin-3-yl
664	CF ₂ Cl	Cl	H	CH ₂ -6-Cl-pyridin-3-yl
665	CF ₂ Cl	Cl	H	CH(CH ₃)Ph
666	CF ₂ Cl	Cl	H	CH ₂ CH ₂ —Ph
667	CF ₂ Cl	Cl	H	CH ₂ -2-CF ₃ —Ph
668	CF ₂ Cl	Cl	H	CH ₂ CH ₂ CHPh
669	CF ₂ Cl	Cl		morpholin-4-yl
670	CF ₂ Cl	Cl		piperidin-1-yl
671	CF ₂ Cl	Cl		thiazolidin-3-yl
672	CF ₂ Cl	Cl		pyrrolidin-1-yl
673	CF ₂ Cl	Cl		2-methylpyrrolidin-1-yl
674	CF ₂ Cl	Cl		=CH—N(CH ₃) ₂
675	CF ₂ Cl	Cl		=C(CH ₃)N(CH ₃) ₂
676	CF ₂ Cl	Cl		=CH—N(C ₂ H ₅) ₂

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TABLE 1-continued

Compounds of the formula (I)

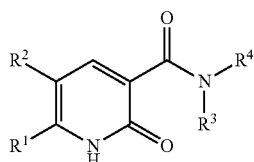


Ex.	R ¹	R ²	R ³	R ⁴
677	CF ₂ Cl	Cl		=C(CH ₃)N(C ₂ H ₅) ₂
678	CF ₂ Cl	Cl		=CH-piperidine
679	CF ₂ Cl	Cl		=CH-morpholine
680	CF ₂ Cl	Cl		=CH-pyrrolidine
681	CF ₂ Cl	Cl	H	indan-1-yl
682	CF ₂ Cl	Cl	H	tetrahydrofuran-2-ylmethyl
683	CHF ₂	Cl	H	H
684	CHF ₂	Cl	H	Me
685	CHF ₂	Cl	H	Et
686	CHF ₂	Cl	H	Pr
687	CHF ₂	Cl	H	iPr
688	CHF ₂	Cl	H	cPr
689	CHF ₂	Cl	H	Bu
690	CHF ₂	Cl	H	cBu
691	CHF ₂	Cl	H	tBu
692	CHF ₂	Cl	Me	Me
693	CHF ₂	Cl	Me	Et
694	CHF ₂	Cl	Me	Bu
695	CHF ₂	Cl	Me	Pr
696	CHF ₂	Cl	Me	iPr
697	CHF ₂	Cl	Et	Et
698	CHF ₂	Cl	Et	Pr
699	CHF ₂	Cl	Et	iPr
700	CHF ₂	Cl	Pr	Pr
701	CHF ₂	Cl	H	cPentyl
702	CHF ₂	Cl	H	cHexyl
703	CHF ₂	Cl	H	CH ₂ (CH ₂) ₃ CH ₃
704	CHF ₂	Cl	H	CH ₂ (CH ₂) ₄ CH ₃
705	CHF ₂	Cl	H	CH ₂ —cPr
706	CHF ₂	Cl	H	CH ₂ —CN
707	CHF ₂	Cl	H	CH ₂ —C(CH ₃) ₃
708	CHF ₂	Cl	H	CH ₂ CF ₂ CF ₃
709	CHF ₂	Cl	H	CH ₂ CF ₃
710	CHF ₂	Cl	H	CH ₂ (CF ₂) ₂ CF ₃
711	CHF ₂	Cl	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
712	CHF ₂	Cl	H	CH ₂ C(CH ₃) ₂ CH ₂ F
713	CHF ₂	Cl	H	CH ₂ CH(CH ₃) ₂
714	CHF ₂	Cl	H	CH ₂ CH(CH ₂ CH ₃) ₂
715	CHF ₂	Cl	H	CH ₂ CH ₂ CH(CH ₃) ₂
716	CHF ₂	Cl	H	CH ₂ CH ₂ C(CH ₃) ₃
717	CHF ₂	Cl	H	CH ₂ CH=CH ₂
718	CHF ₂	Cl	Me	CH ₂ CH=CH ₂
719	CHF ₂	Cl	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
720	CHF ₂	Cl	H	CH ₂ CH=CHCH ₃
721	CHF ₂	Cl	H	CH ₂ —C(CH ₃)=CH ₂
722	CHF ₂	Cl	H	CH ₂ —C≡CH
723	CHF ₂	Cl	Me	CH ₂ —C≡CH
724	CHF ₂	Cl	H	CH(CH ₃)CH ₂ CH ₃
725	CHF ₂	Cl	H	CH(CH ₃)cPr
726	CHF ₂	Cl	H	CH(CH ₃)(CH ₂) ₂ CH ₃
727	CHF ₂	Cl	H	CH(CH ₃)(CH ₂) ₄ CH ₃
728	CHF ₂	Cl	H	CH(CH ₃)(CH ₂) ₅ CH ₃
729	CHF ₂	Cl	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
730	CHF ₂	Cl	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
731	CHF ₂	Cl	H	CH(CH ₃)C(CH ₃) ₃
732	CHF ₂	Cl	H	CH(CH ₃)CH(CH ₃) ₂
733	CHF ₂	Cl	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
734	CHF ₂	Cl	H	CH(CH ₂ CH ₃) ₂
735	CHF ₂	Cl	H	C(CH ₃) ₂ CH ₂ CH ₃
736	CHF ₂	Cl	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
737	CHF ₂	Cl	H	CH ₂ —CH(OMe) ₂
738	CHF ₂	Cl	H	CH ₂ —CH(OEt) ₂
739	CHF ₂	Cl	H	CH ₂ CH ₂ —OH
740	CHF ₂	Cl	H	CH ₂ CH ₂ —OMe
741	CHF ₂	Cl	Me	CH ₂ CH ₂ —OMe
742	CHF ₂	Cl	H	CH ₂ CH ₂ —OEt

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TABLE 1-continued

Compounds of the formula (I)				
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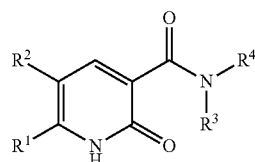


Ex.	R ¹	R ²	R ³	R ⁴
743	CHF2	Cl	H	CH2CH2—SMe
744	CHF2	Cl	H	CH2CH2—CN
745	CHF2	Cl	H	CH2CH2—NMe2
746	CHF2	Cl	H	CH2CH2-morpholin-4-yl
747	CHF2	Cl	H	CH(CH3)CH2—OMe
748	CHF2	Cl	H	CH(CH3)CH2—NMe2
749	CHF2	Cl	H	CH2CH2CH2—OMe
750	CHF2	Cl	H	CH2CH2CH2—SMe
751	CHF2	Cl	H	CH2CH2CH2—OEt
752	CHF2	Cl	H	CH2CH2CH2—OiPr
753	CHF2	Cl	H	CH2CH2CH2—OBu
754	CHF2	Cl	H	CH2—COOCH3
755	CHF2	Cl	Me	CH2—COOCH3
756	CHF2	Cl	H	CH(CH3)COOMe
757	CHF2	Cl	H	CH(CH3)COOEt
758	CHF2	Cl	H	CH2CH2—COOCH3
759	CHF2	Cl	H	CH(COOCH3)2
760	CHF2	Cl	H	CH(COOEt)CH2—CH(CH3)2
761	CHF2	Cl	H	CH(COOMe)CH(CH3)2
762	CHF2	Cl	H	O—CH2CH3
763	CHF2	Cl	H	O—CH3
764	CHF2	Cl	H	O—CH2CH=CH2
765	CHF2	Cl	H	O—tBu
766	CHF2	Cl	H	O—Pr
767	CHF2	Cl	H	O—CH2cPr
768	CHF2	Cl	H	O—CH2CH(CH3)2
769	CHF2	Cl	H	O—CH2CF3
770	CHF2	Cl	H	O—CH(CH3)cPr
771	CHF2	Cl	H	O—CH2CH2Cl
772	CHF2	Cl	H	O—CH2C=CH
773	CHF2	Cl	H	O—CH2C=CCH3
774	CHF2	Cl	H	O—CH(CH3)C=CH
775	CHF2	Cl	H	CH2—Ph
776	CHF2	Cl	Me	CH2—Ph
777	CHF2	Cl	H	CH2-pyridin-3-yl
778	CHF2	Cl	H	CH2-6-Cl-pyridin-3-yl
779	CHF2	Cl	H	CH(CH3)Ph
780	CHF2	Cl	H	CH2CH2—Ph
781	CHF2	Cl	H	CH2-2-CF3—Ph
782	CHF2	Cl	H	CH2CH2CHPh
783	CHF2	Cl	H	morpholin-4-yl
784	CHF2	Cl	H	piperidin-1-yl
785	CHF2	Cl	H	thiazolidin-3-yl
786	CHF2	Cl	H	pyrrolidin-1-yl
787	CHF2	Cl	H	2-methylpyrrolidin-1-yl
788	CHF2	Cl	H	=CH—N(CH3)2
789	CHF2	Cl	H	=C(CH3)N(CH3)2
790	CHF2	Cl	H	=CH—N(C2H5)2
791	CHF2	Cl	H	=C(CH3)N(C2H5)2
792	CHF2	Cl	H	=CH-piperidine
793	CHF2	Cl	H	=CH-morpholine
794	CHF2	Cl	H	=CH-pyrrolidine
795	CHF2	Cl	H	indan-1-yl
796	CHF2	Cl	H	tetrahydrofuran-2-ylmethyl
797	CF2CF3	Cl	H	H
798	CF2CF3	Cl	H	Me
799	CF2CF3	Cl	H	Et
800	CF2CF3	Cl	H	Pr
801	CF2CF3	Cl	H	iPr
802	CF2CF3	Cl	H	cPr
803	CF2CF3	Cl	H	Bu
804	CF2CF3	Cl	H	cBu
805	CF2CF3	Cl	H	tBu
806	CF2CF3	Cl	Me	Me
807	CF2CF3	Cl	Me	Et
808	CF2CF3	Cl	Me	Bu

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TABLE 1-continued

Compounds of the formula (I)				
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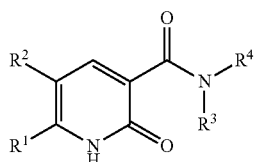


Ex.	R ¹	R ²	R ³	R ⁴
809	CF2CF3	Cl	Me	Pr
810	CF2CF3	Cl	Me	iPr
811	CF2CF3	Cl	Et	Et
812	CF2CF3	Cl	Et	Pr
813	CF2CF3	Cl	Et	iPr
814	CF2CF3	Cl	Pr	Pr
815	CF2CF3	Cl	H	cPentyl
816	CF2CF3	Cl	H	cHexyl
817	CF2CF3	Cl	H	CH2(CH2)3CH3
818	CF2CF3	Cl	H	CH2(CH2)4CH3
819	CF2CF3	Cl	H	CH2—cPr
820	CF2CF3	Cl	H	CH2—CN
821	CF2CF3	Cl	H	CH2—C(CH3)3
822	CF2CF3	Cl	H	CH2CF2CF3
823	CF2CF3	Cl	H	CH2CF3
824	CF2CF3	Cl	H	CH2(CF2)2CF3
825	CF2CF3	Cl	H	CH2CH(CH3)CH2CH3
826	CF2CF3	Cl	H	CH2C(CH3)2CH2F
827	CF2CF3	Cl	H	CH2CH(CH3)2
828	CF2CF3	Cl	H	CH2CH(CH2CH3)2
829	CF2CF3	Cl	H	CH2CH2CH(CH3)2
830	CF2CF3	Cl	H	CH2CH2C(CH3)3
831	CF2CF3	Cl	H	CH2CH=CH2
832	CF2CF3	Cl	Me	CH2CH=CH2
833	CF2CF3	Cl	CH2CH=CH2	CH2CH=CH2
834	CF2CF3	Cl	H	CH2CH=CHCH3
835	CF2CF3	Cl	H	CH2—C(CH3)=CH2
836	CF2CF3	Cl	H	CH2—C=CH
837	CF2CF3	Cl	Me	CH2—C=CH
838	CF2CF3	Cl	H	CH(CH3)CH2CH3
839	CF2CF3	Cl	H	CH(CH3)cPr
840	CF2CF3	Cl	H	CH(CH3)(CH2)2CH3
841	CF2CF3	Cl	H	CH(CH3)(CH2)4CH3
842	CF2CF3	Cl	H	CH(CH3)(CH2)5CH3
843	CF2CF3	Cl	H	CH(CH2CH3)(CH2)3CH3
844	CF2CF3	Cl	H	CH(CH3)CH2CH(CH3)2
845	CF2CF3	Cl	H	CH(CH3)C(CH3)3
846	CF2CF3	Cl	H	CH(CH3)CH(CH3)2
847	CF2CF3	Cl	H	CH(CH3)CH2CH2CH(CH3)2
848	CF2CF3	Cl	H	CH(CH2CH3)2
849	CF2CF3	Cl	H	C(CH3)2CH2CH3
850	CF2CF3	Cl	H	C(CH3)2CH2C(CH3)3
851	CF2CF3	Cl	H	CH2—CH(OMe)2
852	CF2CF3	Cl	H	CH2—CH(OEt)2
853	CF2CF3	Cl	H	CH2CH2—OH
854	CF2CF3	Cl	H	CH2CH2—OMe
855	CF2CF3	Cl	Me	CH2CH2—OMe
856	CF2CF3	Cl	H	CH2CH2—OEt
857	CF2CF3	Cl	H	CH2CH2—SMe
858	CF2CF3	Cl	H	CH2CH2—CN
859	CF2CF3	Cl	H	CH2CH2—NMe2
860	CF2CF3	Cl	H	CH2CH2-morpholin-4-yl
861	CF2CF3	Cl	H	CH(CH3)CH2—OMe
862	CF2CF3	Cl	H	CH(CH3)CH2—NMe2
863	CF2CF3	Cl	H	CH2CH2CH2—OMe
864	CF2CF3	Cl	H	CH2CH2CH2—SMe
865	CF2CF3	Cl	H	CH2CH2CH2—OEt
866	CF2CF3	Cl	H	CH2CH2CH2—OiPr
867	CF2CF3	Cl	H	CH2CH2CH2—OBu
868	CF2CF3	Cl	H	CH2—COOCH3
869	CF2CF3	Cl	Me	CH2—COOCH3
870	CF2CF3	Cl	H	CH2CH2—COOCH3
871	CF2CF3	Cl	H	CH(COOCH3)2
872	CF2CF3	Cl	H	CH(COOEt)CH2—CH(CH3)2
873	CF2CF3	Cl	H	CH(COOMe)CH(CH3)2
874	CF2CF3	Cl	H	O—CH2CH3

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TABLE 1-continued

Compounds of the formula (I)				
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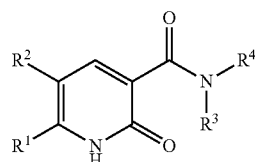


Ex.	R ¹	R ²	R ³	R ⁴
875	CF ₂ CF ₃	Cl	H	O—CH ₃
876	CF ₂ CF ₃	Cl	H	O—CH ₂ CH=CH ₂
877	CF ₂ CF ₃	Cl	H	O—tBu
878	CF ₂ CF ₃	Cl	H	O—Pr
879	CF ₂ CF ₃	Cl	H	O—CH ₂ cPr
880	CF ₂ CF ₃	Cl	H	O—CH ₂ CH(CH ₃) ₂
881	CF ₂ CF ₃	Cl	H	O—CH ₂ CF ₃
882	CF ₂ CF ₃	Cl	H	O—CH(CH ₃)cPr
883	CF ₂ CF ₃	Cl	H	O—CH ₂ CH ₂ Cl
884	CF ₂ CF ₃	Cl	H	O—CH ₂ C=CH
885	CF ₂ CF ₃	Cl	H	O—CH ₂ C=CCH ₃
886	CF ₂ CF ₃	Cl	H	O—CH(CH ₃)C=CH
887	CF ₂ CF ₃	Cl	H	CH ₂ —Ph
888	CF ₂ CF ₃	Cl	Me	CH ₂ —Ph
889	CF ₂ CF ₃	Cl	H	CH ₂ -pyridin-3-yl
890	CF ₂ CF ₃	Cl	H	CH ₂ -6-Cl-pyridin-3-yl
891	CF ₂ CF ₃	Cl	H	CH(CH ₃)Ph
892	CF ₂ CF ₃	Cl	H	CH ₂ CH ₂ —Ph
893	CF ₂ CF ₃	Cl	H	CH ₂ -2-CF ₃ —Ph
894	CF ₂ CF ₃	Cl	H	CH ₂ CH ₂ CHPh
895	CF ₂ CF ₃	Cl		morpholin-4-yl
896	CF ₂ CF ₃	Cl		piperidin-1-yl
897	CF ₂ CF ₃	Cl		thiazolidin-3-yl
898	CF ₂ CF ₃	Cl		pyrrolidin-1-yl
899	CF ₂ CF ₃	Cl		2-methylpyrrolidin-1-yl
900	CF ₂ CF ₃	Cl		=CH—N(CH ₃) ₂
901	CF ₂ CF ₃	Cl		=C(CH ₃)N(CH ₃) ₂
902	CF ₂ CF ₃	Cl		=CH—N(C ₂ H ₅) ₂
903	CF ₂ CF ₃	Cl		=C(CH ₃)N(C ₂ H ₅) ₂
904	CF ₂ CF ₃	Cl		=CH-piperidine
905	CF ₂ CF ₃	Cl		=CH-morpholine
906	CF ₂ CF ₃	Cl		=CH-pyrrolidine
907	CF ₂ CF ₃	Cl	H	indan-1-yl
908	CF ₂ CF ₃	Cl	H	tetrahydrofuran-2-ylmethyl
909	CF ₃	Br	H	H
910	CF ₃	Br	H	Me
911	CF ₃	Br	H	Et
912	CF ₃	Br	H	Pr
913	CF ₃	Br	H	iPr
914	CF ₃	Br	H	cPr
915	CF ₃	Br	H	Bu
916	CF ₃	Br	H	cBu
917	CF ₃	Br	H	tBu
918	CF ₃	Br	Me	Me
919	CF ₃	Br	Me	Et
920	CF ₃	Br	Me	Bu
921	CF ₃	Br	Me	Pr
922	CF ₃	Br	Me	iPr
923	CF ₃	Br	Et	Et
924	CF ₃	Br	Et	Pr
925	CF ₃	Br	Et	iPr
926	CF ₃	Br	Pr	Pr
927	CF ₃	Br	H	cPentyl
928	CF ₃	Br	H	cHexyl
929	CF ₃	Br	H	CH ₂ (CH ₂) ₃ CH ₃
930	CF ₃	Br	H	CH ₂ (CH ₂) ₄ CH ₃
931	CF ₃	Br	H	CH ₂ —cPr
932	CF ₃	Br	H	CH ₂ —CN
933	CF ₃	Br	H	CH ₂ —C(CH ₃) ₃
934	CF ₃	Br	H	CH ₂ CF ₂ CF ₃
935	CF ₃	Br	H	CH ₂ CF ₃
936	CF ₃	Br	H	CH ₂ (CF ₂) ₂ CF ₃
937	CF ₃	Br	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
938	CF ₃	Br	H	CH ₂ C(CH ₃) ₂ CH ₂ F
939	CF ₃	Br	H	CH ₂ CH(CH ₃) ₂
940	CF ₃	Br	H	CH ₂ CH(CH ₂ CH ₃) ₂

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TABLE 1-continued

Compounds of the formula (I)				
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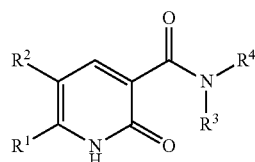


Ex.	R ¹	R ²	R ³	R ⁴
941	CF ₃	Br	H	CH ₂ CH ₂ CH(CH ₃) ₂
942	CF ₃	Br	H	CH ₂ CH ₂ C(CH ₃) ₃
943	CF ₃	Br	H	CH ₂ CH=CH ₂
944	CF ₃	Br	Me	CH ₂ CH=CH ₂
945	CF ₃	Br	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
946	CF ₃	Br	H	CH ₂ CH=CHCH ₃
947	CF ₃	Br	H	CH ₂ —C(CH ₃)=CH ₂
948	CF ₃	Br	H	CH ₂ —C=CH
949	CF ₃	Br	Me	CH ₂ —C=CH
950	CF ₃	Br	H	CH(CH ₃)CH ₂ CH ₃
951	CF ₃	Br	H	CH(CH ₃)cPr
952	CF ₃	Br	H	CH(CH ₃)(CH ₂) ₂ CH ₃
953	CF ₃	Br	H	CH(CH ₃)(CH ₂) ₄ CH ₃
954	CF ₃	Br	H	CH(CH ₃)(CH ₂) ₅ CH ₃
955	CF ₃	Br	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
956	CF ₃	Br	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
957	CF ₃	Br	H	CH(CH ₃)C(CH ₃) ₃
958	CF ₃	Br	H	CH(CH ₃)CH(CH ₃) ₂
959	CF ₃	Br	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
960	CF ₃	Br	H	CH(CH ₂ CH ₃) ₂
961	CF ₃	Br	H	C(CH ₃) ₂ CH ₂ CH ₃
962	CF ₃	Br	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
963	CF ₃	Br	H	CH ₂ —CH(OMe) ₂
964	CF ₃	Br	H	CH ₂ —CH(OEt) ₂
965	CF ₃	Br	H	CH ₂ CH ₂ —OH
966	CF ₃	Br	H	CH ₂ CH ₂ —OMe
967	CF ₃	Br	Me	CH ₂ CH ₂ —OMe
968	CF ₃	Br	H	CH ₂ CH ₂ —OEt
969	CF ₃	Br	H	CH ₂ CH ₂ —SMe
970	CF ₃	Br	H	CH ₂ CH ₂ —CN
971	CF ₃	Br	H	CH ₂ CH ₂ —NMe ₂
972	CF ₃	Br	H	CH ₂ CH ₂ -morpholin-4-yl
973	CF ₃	Br	H	CH(CH ₃)CH ₂ —OMe
974	CF ₃	Br	H	CH(CH ₃)CH ₂ —NMe ₂
975	CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OMe
976	CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —SMe
977	CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OEt
978	CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OiPr
979	CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OBu
980	CF ₃	Br	H	CH ₂ —COOCH ₃
981	CF ₃	Br	Me	CH ₂ —COOCH ₃
982	CF ₃	Br	H	CH(CH ₃)COOMe
983	CF ₃	Br	H	CH(CH ₃)COOEt
984	CF ₃	Br	H	CH ₂ CH ₂ —COOCH ₃
985	CF ₃	Br	H	CH(COOCH ₃) ₂
986	CF ₃	Br	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
987	CF ₃	Br	H	CH(COOMe)CH(CH ₃) ₂
988	CF ₃	Br	H	O—CH ₂ CH ₃
989	CF ₃	Br	Me	O—CH ₃
990	CF ₃	Br	H	O—CH ₂ CH=CH ₂
991	CF ₃	Br	H	O—tBu
992	CF ₃	Br	H	O—Pr
993	CF ₃	Br	H	O—CH ₂ cPr
994	CF ₃	Br	H	O—CH ₂ CH(CH ₃) ₂
995	CF ₃	Br	H	O—CH ₂ CF ₃
996	CF ₃	Br	H	O—CH(CH ₃)cPr
997	CF ₃	Br	H	O—CH ₂ CH ₂ Cl
998	CF ₃	Br	H	O—CH ₂ C=CH
999	CF ₃	Br	H	O—CH ₂ C=CCH ₃
1000	CF ₃	Br	H	O—CH(CH ₃)C=CH
1001	CF ₃	Br	H	CH ₂ —Ph
1002	CF ₃	Br	Me	CH ₂ —Ph
1003	CF ₃	Br	H	CH ₂ -pyridin-3-yl
1004	CF ₃	Br	H	CH ₂ -6-Cl-pyridin-3-yl
1005	CF ₃	Br	H	CH(CH ₃)Ph
1006	CF ₃	Br	H	CH ₂ CH ₂ —Ph

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TABLE 1-continued

Compounds of the formula (I)				
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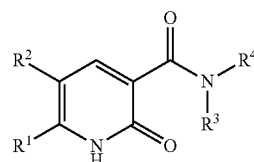


Ex.	R ¹	R ²	R ³	R ⁴
1007	CF3	Br	H	CH2-2-CF3—Ph
1008	CF3	Br	H	CH2CH2CHPh2
1009	CF3	Br		morpholin-4-yl
1010	CF3	Br		piperidin-1-yl
1011	CF3	Br		thiazolidin-3-yl
1012	CF3	Br		pyrrolidin-1-yl
1013	CF3	Br		2-methylpyrrolidin-1-yl
1014	CF3	Br		=CH—N(CH3)2
1015	CF3	Br		=C(CH3)N(CH3)2
1016	CF3	Br		=CH—N(C2H5)2
1017	CF3	Br		=C(CH3)N(C2H5)2
1018	CF3	Br		=CH-piperidine
1019	CF3	Br		=CH-morpholine
1020	CF3	Br		=CH-pyrrolidine
1021	CF3	Br	H	indan-1-yl
1022	CF3	Br	H	tetrahydrofuran-2-ylmethyl
1023	CF2Cl	Br	H	H
1024	CF2Cl	Br	H	Me
1025	CF2Cl	Br	H	Et
1026	CF2Cl	Br	H	Pr
1027	CF2Cl	Br	H	iPr
1028	CF2Cl	Br	H	cPr
1029	CF2Cl	Br	H	Bu
1030	CF2Cl	Br	H	cBu
1031	CF2Cl	Br	H	tBu
1032	CF2Cl	Br	Me	Me
1033	CF2Cl	Br	Me	Et
1034	CF2Cl	Br	Me	Bu
1035	CF2Cl	Br	Me	Pr
1036	CF2Cl	Br	Me	iPr
1037	CF2Cl	Br	Et	Et
1038	CF2Cl	Br	Et	Pr
1039	CF2Cl	Br	Et	iPr
1040	CF2Cl	Br	Pr	Pr
1041	CF2Cl	Br	H	cPentyl
1042	CF2Cl	Br	H	cHexyl
1043	CF2Cl	Br	H	CH2(CH2)3CH3
1044	CF2Cl	Br	H	CH2(CH2)4CH3
1045	CF2Cl	Br	H	CH2—cPr
1046	CF2Cl	Br	H	CH2—CN
1047	CF2Cl	Br	H	CH2—C(CH3)3
1048	CF2Cl	Br	H	CH2CF2CF3
1049	CF2Cl	Br	H	CH2CF3
1050	CF2Cl	Br	H	CH2(CF2)2CF3
1051	CF2Cl	Br	H	CH2CH(CH3)CH2CH3
1052	CF2Cl	Br	H	CH2C(CH3)2CH2F
1053	CF2Cl	Br	H	CH2CH(CH3)2
1054	CF2Cl	Br	H	CH2CH(CH2CH3)2
1055	CF2Cl	Br	H	CH2CH2CH(CH3)2
1056	CF2Cl	Br	H	CH2CH2C(CH3)3
1057	CF2Cl	Br	H	CH2CH=CH2
1058	CF2Cl	Br	Me	CH2CH=CH2
1059	CF2Cl	Br	CH2CH=CH2	CH2CH=CH2
1060	CF2Cl	Br	H	CH2CH=CHCH3
1061	CF2Cl	Br	H	CH2—C(CH3)=CH2
1062	CF2Cl	Br	H	CH2—C=CH
1063	CF2Cl	Br	Me	CH2—C=CH
1064	CF2Cl	Br	H	CH(CH3)CH2CH3
1065	CF2Cl	Br	H	CH(CH3)cPr
1066	CF2Cl	Br	H	CH(CH3)(CH2)2CH3
1067	CF2Cl	Br	H	CH(CH3)(CH2)4CH3
1068	CF2Cl	Br	H	CH(CH3)(CH2)5CH3
1069	CF2Cl	Br	H	CH(CH2CH3)(CH2)3CH3
1070	CF2Cl	Br	H	CH(CH3)CH2CH(CH3)2
1071	CF2Cl	Br	H	CH(CH3)C(CH3)3
1072	CF2Cl	Br	H	CH(CH3)CH(CH3)2

66

TABLE 1-continued

Compounds of the formula (I)				
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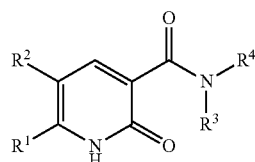


Ex.	R ¹	R ²	R ³	R ⁴
1073	CF2Cl	Br	H	CH(CH3)CH2CH2CH(CH3)2
1074	CF2Cl	Br	H	CH(CH2CH3)2
1075	CF2Cl	Br	H	C(CH3)2CH2CH3
1076	CF2Cl	Br	H	C(CH3)2CH2C(CH3)3
1077	CF2Cl	Br	H	CH2—CH(OMe)2
1078	CF2Cl	Br	H	CH2—CH(OEt)2
1079	CF2Cl	Br	H	CH2CH2—OH
1080	CF2Cl	Br	H	CH2CH2—OMe
1081	CF2Cl	Br	Me	CH2CH2—OMe
1082	CF2Cl	Br	H	CH2CH2—OEt
1083	CF2Cl	Br	H	CH2CH2—SMe
1084	CF2Cl	Br	H	CH2CH2—CN
1085	CF2Cl	Br	H	CH2CH2—NMe2
1086	CF2Cl	Br	H	CH2CH2-morpholin-4-yl
1087	CF2Cl	Br	H	CH(CH3)CH2—OMe
1088	CF2Cl	Br	H	CH(CH3)CH2—NMe2
1089	CF2Cl	Br	H	CH2CH2CH2—OMe
1090	CF2Cl	Br	H	CH2CH2CH2—SMe
1091	CF2Cl	Br	H	CH2CH2CH2—OEt
1092	CF2Cl	Br	H	CH2CH2CH2—OiPr
1093	CF2Cl	Br	H	CH2CH2CH2—OBu
1094	CF2Cl	Br	H	CH2—COOCH3
1095	CF2Cl	Br	Me	CH2—COOCH3
1096	CF2Cl	Br	H	CH(CH3)COOMe
1097	CF2Cl	Br	H	CH(CH3)COOEt
1098	CF2Cl	Br	H	CH2CH2—COOCH3
1099	CF2Cl	Br	H	CH(COOCH3)2
1100	CF2Cl	Br	H	CH(COOEt)CH2—CH(CH3)2
1101	CF2Cl	Br	H	CH(COOMe)CH(CH3)2
1102	CF2Cl	Br	H	O—CH2CH3
1103	CF2Cl	Br	Me	O—CH3
1104	CF2Cl	Br	H	O—CH2CH=CH2
1105	CF2Cl	Br	H	O—tBu
1106	CF2Cl	Br	H	O—Pr
1107	CF2Cl	Br	H	O—CH2cPr
1108	CF2Cl	Br	H	O—CH2CH(CH3)2
1109	CF2Cl	Br	H	O—CH2CF3
1110	CF2Cl	Br	H	O—CH(CH3)cPr
1111	CF2Cl	Br	H	O—CH2CH2Cl
1112	CF2Cl	Br	H	O—CH2C=CH
1113	CF2Cl	Br	H	O—CH2C=CCH3
1114	CF2Cl	Br	H	O—CH(CH3)C=CH
1115	CF2Cl	Br	H	CH2—Ph
1116	CF2Cl	Br	Me	CH2—Ph
1117	CF2Cl	Br	H	CH2-pyridin-3-yl
1118	CF2Cl	Br	H	CH2-6-Cl-pyridin-3-yl
1119	CF2Cl	Br	H	CH(CH3)Ph
1120	CF2Cl	Br	H	CH2CH2—Ph
1121	CF2Cl	Br	H	CH2-2-CF3—Ph
1122	CF2Cl	Br	H	CH2CH2CHPh2
1123	CF2Cl	Br		morpholin-4-yl
1124	CF2Cl	Br		piperidin-1-yl
1125	CF2Cl	Br		thiazolidin-3-yl
1126	CF2Cl	Br		pyrrolidin-1-yl
1127	CF2Cl	Br		2-methylpyrrolidin-1-yl
1128	CF2Cl	Br		=CH—N(CH3)2
1129	CF2Cl	Br		=C(CH3)N(CH3)2
1130	CF2Cl	Br		=CH—N(C2H5)2
1131	CF2Cl	Br		=C(CH3)N(C2H5)2
1132	CF2Cl	Br		=CH-piperidine
1133	CF2Cl	Br		=CH-morpholine
1134	CF2Cl	Br		=CH-pyrrolidine
1135	CF2Cl	Br	H	indan-1-yl
1136	CF2Cl	Br	H	tetrahydrofuran-2-ylmethyl
1137	CHF2	Br	H	H
1138	CHF2	Br	H	Me

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TABLE 1-continued

Compounds of the formula (I)

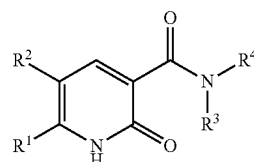


Ex.	R ¹	R ²	R ³	R ⁴
1139	CHF2	Br	H	Et
1140	CHF2	Br	H	Pr
1141	CHF2	Br	H	iPr
1142	CHF2	Br	H	cPr
1143	CHF2	Br	H	Bu
1144	CHF2	Br	H	cBu
1145	CHF2	Br	H	tBu
1146	CHF2	Br	Me	Me
1147	CHF2	Br	Me	Et
1148	CHF2	Br	Me	Bu
1149	CHF2	Br	Me	Pr
1150	CHF2	Br	Me	iPr
1151	CHF2	Br	Et	Et
1152	CHF2	Br	Et	Pr
1153	CHF2	Br	Et	iPr
1154	CHF2	Br	Pr	Pr
1155	CHF2	Br	H	cPentyl
1156	CHF2	Br	H	cHexyl
1157	CHF2	Br	H	CH2(CH2)3CH3
1158	CHF2	Br	H	CH2(CH2)4CH3
1159	CHF2	Br	H	CH2—cPr
1160	CHF2	Br	H	CH2—CN
1161	CHF2	Br	H	CH2—C(CH3)3
1162	CHF2	Br	H	CH2CF2CF3
1163	CHF2	Br	H	CH2CF3
1164	CHF2	Br	H	CH2(CF2)2CF3
1165	CHF2	Br	H	CH2CH(CH3)CH2CH3
1166	CHF2	Br	H	CH2C(CH3)2CH2F
1167	CHF2	Br	H	CH2CH(CH3)2
1168	CHF2	Br	H	CH2CH(CH2CH3)2
1169	CHF2	Br	H	CH2CH2CH(CH3)2
1170	CHF2	Br	H	CH2CH2C(CH3)3
1171	CHF2	Br	H	CH2CH=CH2
1172	CHF2	Br	Me	CH2CH=CH2
1173	CHF2	Br	CH2CH=CH2	CH2CH=CH2
1174	CHF2	Br	H	CH2CH=CHCH3
1175	CHF2	Br	H	CH2—C(CH3)=CH2
1176	CHF2	Br	H	CH2—C=CH
1177	CHF2	Br	Me	CH2—C=CH
1178	CHF2	Br	H	CH(CH3)CH2CH3
1179	CHF2	Br	H	CH(CH3)cPr
1180	CHF2	Br	H	CH(CH3)(CH2)2CH3
1181	CHF2	Br	H	CH(CH3)(CH2)4CH3
1182	CHF2	Br	H	CH(CH3)(CH2)5CH3
1183	CHF2	Br	H	CH(CH2CH3)(CH2)3CH3
1184	CHF2	Br	H	CH(CH3)CH2CH(CH3)2
1185	CHF2	Br	H	CH(CH3)C(CH3)3
1186	CHF2	Br	H	CH(CH3)CH(CH3)2
1187	CHF2	Br	H	CH(CH3)CH2CH2CH(CH3)2
1188	CHF2	Br	H	CH(CH2CH3)2
1189	CHF2	Br	H	C(CH3)2CH2CH3
1190	CHF2	Br	H	C(CH3)2CH2C(CH3)3
1191	CHF2	Br	H	CH2—CH(OMe)2
1192	CHF2	Br	H	CH2—CH(OEt)2
1193	CHF2	Br	H	CH2CH2—OH
1194	CHF2	Br	H	CH2CH2—OMe
1195	CHF2	Br	Me	CH2CH2—OMe
1196	CHF2	Br	H	CH2CH2—OEt
1197	CHF2	Br	H	CH2CH2—SMe
1198	CHF2	Br	H	CH2CH2—CN
1199	CHF2	Br	H	CH2CH2—NMe2
1200	CHF2	Br	H	CH2CH2-morpholin-4-yl
1201	CHF2	Br	H	CH(CH3)CH2—OMe
1202	CHF2	Br	H	CH(CH3)CH2—NMe2
1203	CHF2	Br	H	CH2CH2CH2—OMe
1204	CHF2	Br	H	CH2CH2CH2—SMe

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TABLE 1-continued

Compounds of the formula (I)

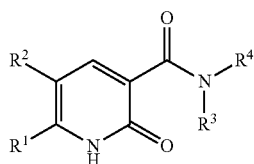


Ex.	R ¹	R ²	R ³	R ⁴
1205	CHF2	Br	H	CH2CH2CH2—OEt
1206	CHF2	Br	H	CH2CH2CH2—OiPr
1207	CHF2	Br	H	CH2CH2CH2—OBu
1208	CHF2	Br	H	CH2—COOCH3
1209	CHF2	Br	Me	CH2—COOCH3
1210	CHF2	Br	H	CH(CH3)COOMe
1211	CHF2	Br	H	CH(CH3)COOEt
1212	CHF2	Br	H	CH2CH2—COOCH3
1213	CHF2	Br	H	CH(COOCH3)2
1214	CHF2	Br	H	CH(COOEt)CH2—CH(CH3)2
1215	CHF2	Br	H	CH(COOMe)CH(CH3)2
1216	CHF2	Br	H	O—CH2CH3
1217	CHF2	Br	Me	O—CH3
1218	CHF2	Br	H	O—CH2CH=CH2
1219	CHF2	Br	H	O—tBu
1220	CHF2	Br	H	O—Pr
1221	CHF2	Br	H	O—CH2cPr
1222	CHF2	Br	H	O—CH2CH(CH3)2
1223	CHF2	Br	H	O—CH2CF3
1224	CHF2	Br	H	O—CH(CH3)cPr
1225	CHF2	Br	H	O—CH2CH2Cl
1226	CHF2	Br	H	O—CH2C≡CH
1227	CHF2	Br	H	O—CH2C≡CCH3
1228	CHF2	Br	H	O—CH(CH3)C≡CH
1229	CHF2	Br	H	CH2—Ph
1230	CHF2	Br	Me	CH2—Ph
1231	CHF2	Br	H	CH2-pyridin-3-yl
1232	CHF2	Br	H	CH2-6-Cl-pyridin-3-yl
1233	CHF2	Br	H	CH(CH3)Ph
1234	CHF2	Br	H	CH2CH2—Ph
1235	CHF2	Br	H	CH2-2-CF3—Ph
1236	CHF2	Br	H	CH2CH2CHPh2
1237	CHF2	Br		morpholin-4-yl
1238	CHF2	Br		piperidin-1-yl
1239	CHF2	Br		thiazolidin-3-yl
1240	CHF2	Br		pyrrolidin-1-yl
1241	CHF2	Br		2-methylpyrrolidin-1-yl
1242	CHF2	Br		=CH—N(CH3)2
1243	CHF2	Br		=C(CH3)N(CH3)2
1244	CHF2	Br		=CH—N(C2H5)2
1245	CHF2	Br		=C(CH3)N(C2H5)2
1246	CHF2	Br		=CH-piperidine
1247	CHF2	Br		=CH-morpholine
1248	CHF2	Br		=CH-pyrrolidine
1249	CHF2	Br	H	indan-1-yl
1250	CHF2	Br	H	tetrahydrofuran-2-yl
1251	CF2CF3	Br	H	H
1252	CF2CF3	Br	H	Me
1253	CF2CF3	Br	H	Ey
1254	CF2CF3	Br	H	Pr
1255	CF2CF3	Br	H	iPr
1256	CF2CF3	Br	H	cPr
1257	CF2CF3	Br	H	Bu
1258	CF2CF3	Br	H	cBu
1259	CF2CF3	Br	H	tBu
1260	CF2CF3	Br	Me	Me
1261	CF2CF3	Br	Me	Et
1262	CF2CF3	Br	Me	Bu
1263	CF2CF3	Br	Me	Pr
1264	CF2CF3	Br	Me	iPr
1265	CF2CF3	Br	Et	Et
1266	CF2CF3	Br	Et	Pr
1267	CF2CF3	Br	Et	iPr
1268	CF2CF3	Br	Pr	Pr
1269	CF2CF3	Br	H	cPentyl
1270	CF2CF3	Br	H	cHexyl

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TABLE 1-continued

Compounds of the formula (I)

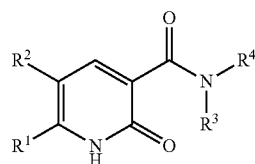


Ex.	R ¹	R ²	R ³	R ⁴
1271	CF ₂ CF ₃	Br	H	CH ₂ (CH ₂) ₃ CH ₃
1272	CF ₂ CF ₃	Br	H	CH ₂ (CH ₂) ₄ CH ₃
1273	CF ₂ CF ₃	Br	H	CH ₂ —cPr
1274	CF ₂ CF ₃	Br	H	CH ₂ —CN
1275	CF ₂ CF ₃	Br	H	CH ₂ —C(CH ₃) ₃
1276	CF ₂ CF ₃	Br	H	CH ₂ CF ₂ CF ₃
1277	CF ₂ CF ₃	Br	H	CH ₂ CF ₃
1278	CF ₂ CF ₃	Br	H	CH ₂ (CF ₂) ₂ CF ₃
1279	CF ₂ CF ₃	Br	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
1280	CF ₂ CF ₃	Br	H	CH ₂ C(CH ₃) ₂ CH ₂ F
1281	CF ₂ CF ₃	Br	H	CH ₂ CH(CH ₃) ₂
1282	CF ₂ CF ₃	Br	H	CH ₂ CH(CH ₂ CH ₃) ₂
1283	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ CH(CH ₃) ₂
1284	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ C(CH ₃) ₃
1285	CF ₂ CF ₃	Br	H	CH ₂ CH=CH ₂
1286	CF ₂ CF ₃	Br	Me	CH ₂ CH=CH ₂
1287	CF ₂ CF ₃	Br	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
1288	CF ₂ CF ₃	Br	H	CH ₂ CH=CHCH ₃
1289	CF ₂ CF ₃	Br	H	CH ₂ —C(CH ₃)=CH ₂
1290	CF ₂ CF ₃	Br	H	CH ₂ —C≡CH
1291	CF ₂ CF ₃	Br	Me	CH ₂ —C≡CH
1292	CF ₂ CF ₃	Br	H	CH(CH ₃)CH ₂ CH ₃
1293	CF ₂ CF ₃	Br	H	CH(CH ₃)cPr
1294	CF ₂ CF ₃	Br	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1295	CF ₂ CF ₃	Br	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1296	CF ₂ CF ₃	Br	H	CH(CH ₃)(CH ₂) ₅ CH ₃
1297	CF ₂ CF ₃	Br	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
1298	CF ₂ CF ₃	Br	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1299	CF ₂ CF ₃	Br	H	CH(CH ₃)C(CH ₃) ₃
1300	CF ₂ CF ₃	Br	H	CH(CH ₃)CH(CH ₃) ₂
1301	CF ₂ CF ₃	Br	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
1302	CF ₂ CF ₃	Br	H	CH(CH ₂ CH ₃) ₂
1303	CF ₂ CF ₃	Br	H	C(CH ₃) ₂ CH ₂ CH ₃
1304	CF ₂ CF ₃	Br	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
1305	CF ₂ CF ₃	Br	H	CH ₂ —CH(OMe) ₂
1306	CF ₂ CF ₃	Br	H	CH ₂ —CH(OEt) ₂
1307	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —OH
1308	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —OMe
1309	CF ₂ CF ₃	Br	Me	CH ₂ CH ₂ —OMe
1310	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —OEt
1311	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —SMe
1312	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —CN
1313	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —NMe ₂
1314	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —morpholin-4-yl
1315	CF ₂ CF ₃	Br	H	CH(CH ₃)CH ₂ —OMe
1316	CF ₂ CF ₃	Br	H	CH(CH ₃)CH ₂ —NMe ₂
1317	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OMe
1318	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —SMe
1319	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OEt
1320	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OiPr
1321	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OBu
1322	CF ₂ CF ₃	Br	H	CH ₂ —COOCH ₃
1323	CF ₂ CF ₃	Br	Me	CH ₂ —COOCH ₃
1324	CF ₂ CF ₃	Br	H	CH(CH ₃)COOMe
1325	CF ₂ CF ₃	Br	H	CH(CH ₃)COOEt
1326	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —COOCH ₃
1327	CF ₂ CF ₃	Br	H	CH(COOCH ₃) ₂
1328	CF ₂ CF ₃	Br	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
1329	CF ₂ CF ₃	Br	H	CH(COOMe)CH(CH ₃) ₂
1330	CF ₂ CF ₃	Br	H	O—CH ₂ CH ₃
1331	CF ₂ CF ₃	Br	Me	O—CH ₃
1332	CF ₂ CF ₃	Br	H	O—CH ₂ CH=CH ₂
1333	CF ₂ CF ₃	Br	H	O—tBu
1334	CF ₂ CF ₃	Br	H	O—Pr
1335	CF ₂ CF ₃	Br	H	O—CH ₂ cPr
1336	CF ₂ CF ₃	Br	H	O—CH ₂ CH(CH ₃) ₂

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TABLE 1-continued

Compounds of the formula (I)

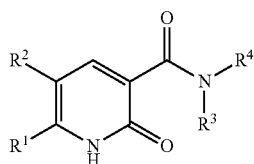


Ex.	R ¹	R ²	R ³	R ⁴
1337	CF ₂ CF ₃	Br	H	O—CH ₂ CF ₃
1338	CF ₂ CF ₃	Br	H	O—CH(CH ₃)cPr
1339	CF ₂ CF ₃	Br	H	O—CH ₂ CH ₂ Cl
1340	CF ₂ CF ₃	Br	H	O—CH ₂ C≡CH
1341	CF ₂ CF ₃	Br	H	O—CH ₂ C=CCH ₃
1342	CF ₂ CF ₃	Br	H	O—CH(CH ₃)C≡CH
1343	CF ₂ CF ₃	Br	H	CH ₂ —Ph
1344	CF ₂ CF ₃	Br	Me	CH ₂ —Ph
1345	CF ₂ CF ₃	Br	H	CH ₂ —pyridin-3-yl
1346	CF ₂ CF ₃	Br	H	CH ₂ -6-Cl-pyridin-3-yl
1347	CF ₂ CF ₃	Br	H	CH(CH ₃)Ph
1348	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ —Ph
1349	CF ₂ CF ₃	Br	H	CH ₂ -2-CF ₃ —Ph
1350	CF ₂ CF ₃	Br	H	CH ₂ CH ₂ CHPh ₂
1351	CF ₂ CF ₃	Br		morpholin-4-yl
1352	CF ₂ CF ₃	Br		piperidin-1-yl
1353	CF ₂ CF ₃	Br		thiazolidin-3-yl
1354	CF ₂ CF ₃	Br		pyrrolidin-1-yl
1355	CF ₂ CF ₃	Br		2-methylpyrrolidin-1-yl
1356	CF ₂ CF ₃	Br		=CH—N(CH ₃) ₂
1357	CF ₂ CF ₃	Br		=C(CH ₃)N(CH ₃) ₂
1358	CF ₂ CF ₃	Br		=CH—N(C ₂ H ₅) ₂
1359	CF ₂ CF ₃	Br		=C(CH ₃)N(C ₂ H ₅) ₂
1360	CF ₂ CF ₃	Br		=CH-piperidine
1361	CF ₂ CF ₃	Br		=CH-morpholine
1362	CF ₂ CF ₃	Br		=CH-pyrrolidine
1363	CF ₂ CF ₃	Br	H	indan-1-yl
1364	CF ₂ CF ₃	Br	H	tetrahydrofuran-2-ylmethyl
1365	CF ₂ CF ₃	I	H	H
1366	CF ₃	I	H	H
1367	CF ₂ CHF ₂	H	H	H
1368	CF ₂ CHF ₂	H	H	Me
1369	CF ₂ CHF ₂	H	H	Et
1370	CF ₂ CHF ₂	H	H	Pr
1371	CF ₂ CHF ₂	H	H	iPr
1372	CF ₂ CHF ₂	H	H	cPr
1373	CF ₂ CHF ₂	H	H	Bu
1374	CF ₂ CHF ₂	H	H	cBu
1375	CF ₂ CHF ₂	H	H	tBu
1376	CF ₂ CHF ₂	H	Me	Me
1377	CF ₂ CHF ₂	H	Me	Et
1378	CF ₂ CHF ₂	H	Me	Bu
1379	CF ₂ CHF ₂	H	Me	Pr
1380	CF ₂ CHF ₂	H	Me	iPr
1381	CF ₂ CHF ₂	H	Et	Et
1382	CF ₂ CHF ₂	H	Et	Pr
1383	CF ₂ CHF ₂	H	Et	iPr
1384	CF ₂ CHF ₂	H	Pr	Pr
1385	CF ₂ CHF ₂	H	H	cPentyl
1386	CF ₂ CHF ₂	H	H	cHexyl
1387	CF ₂ CHF ₂	H	H	CH ₂ (CH ₂) ₃ CH ₃
1388	CF ₂ CHF ₂	H	H	CH ₂ (CH ₂) ₄ CH ₃
1389	CF ₂ CHF ₂	H	H	CH ₂ —cPr
1390	CF ₂ CHF ₂	H	H	CH ₂ —CN
1391	CF ₂ CHF ₂	H	H	CH ₂ —C(CH ₃) ₃
1392	CF ₂ CHF ₂	H	H	CH ₂ CF ₂ CF ₃
1393	CF ₂ CHF ₂	H	H	CH ₂ CF ₃
1394	CF ₂ CHF ₂	H	H	CH ₂ (CF ₂) ₂ CF ₃
1395	CF ₂ CHF ₂	H	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
1396	CF ₂ CHF ₂	H	H	CH ₂ C(CH ₃) ₂ CH ₂ F
1397	CF ₂ CHF ₂	H	H	CH ₂ CH(CH ₃) ₂
1398	CF ₂ CHF ₂	H	H	CH ₂ CH(CH ₂ CH ₃) ₂
1399	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ CH(CH ₃) ₂
1400	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ C(CH ₃) ₃
1401	CF ₂ CHF ₂	H	H	CH ₂ CH=CH ₂
1402	CF ₂ CHF ₂	H	Me	CH ₂ CH=CH ₂

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TABLE 1-continued

Compounds of the formula (I)				
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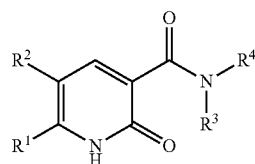


Ex.	R ¹	R ²	R ³	R ⁴
1403	CF ₂ CHF ₂	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
1404	CF ₂ CHF ₂	H	H	CH ₂ CH=CHCH ₃
1405	CF ₂ CHF ₂	H	H	CH ₂ —C(CH ₃)=CH ₂
1406	CF ₂ CHF ₂	H	H	CH ₂ —C=CH
1407	CF ₂ CHF ₂	H	Me	CH ₂ —C=CH
1408	CF ₂ CHF ₂	H	H	CH(CH ₃)CH ₂ CH ₃
1409	CF ₂ CHF ₂	H	H	CH(CH ₃)cPr
1410	CF ₂ CHF ₂	H	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1411	CF ₂ CHF ₂	H	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1412	CF ₂ CHF ₂	H	H	CH(CH ₃)(CH ₂) ₅ CH ₃
1413	CF ₂ CHF ₂	H	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
1414	CF ₂ CHF ₂	H	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1415	CF ₂ CHF ₂	H	H	CH(CH ₃)C(CH ₃) ₃
1416	CF ₂ CHF ₂	H	H	CH(CH ₃)CH(CH ₃) ₂
1417	CF ₂ CHF ₂	H	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
1418	CF ₂ CHF ₂	H	H	CH(CH ₂ CH ₃) ₂
1419	CF ₂ CHF ₂	H	H	C(CH ₃) ₂ CH ₂ CH ₃
1420	CF ₂ CHF ₂	H	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
1421	CF ₂ CHF ₂	H	H	CH ₂ —CH(OMe) ₂
1422	CF ₂ CHF ₂	H	H	CH ₂ —CH(OEt) ₂
1423	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ —OH
1424	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ —OMe
1425	CF ₂ CHF ₂	H	Me	CH ₂ CH ₂ —OMe
1426	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ —OEt
1427	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ —SMe
1428	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ —CN
1429	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ —NMe ₂
1430	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ -morpholin-4-yl
1431	CF ₂ CHF ₂	H	H	CH(CH ₃)CH ₂ —OMe
1432	CF ₂ CHF ₂	H	H	CH(CH ₃)CH ₂ —NMe ₂
1433	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —OMe
1434	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —SMe
1435	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —OEt
1436	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —OiPr
1437	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ CH ₂ —OBu
1438	CF ₂ CHF ₂	H	H	CH ₂ —COOCH ₃
1439	CF ₂ CHF ₂	H	Me	CH ₂ —COOCH ₃
1440	CF ₂ CHF ₂	H	H	CH(CH ₃)COOMe
1441	CF ₂ CHF ₂	H	H	CH(CH ₃)COOEt
1442	CF ₂ CHF ₂	H	H	CH ₂ CH ₂ —COOCH ₃
1443	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1444	CF ₂ CHF ₂	H	H	CH(CH ₃)COOEt
1445	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1446	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1447	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1448	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1449	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1450	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1451	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1452	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1453	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1454	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1455	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1456	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1457	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1458	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1459	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1460	CF ₂ CHF ₂	H	Me	CH(CH ₃)COOCH ₃
1461	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1462	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1463	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1464	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1465	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1466	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1467	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃
1468	CF ₂ CHF ₂	H	H	CH(CH ₃)COOCH ₃

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TABLE 1-continued

Compounds of the formula (I)				
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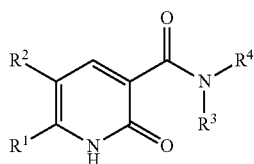


Ex.	R ¹	R ²	R ³	R ⁴
1469	CF ₂ CHF ₂	H		thiazolidin-3-yl
1470	CF ₂ CHF ₂	H		pyrrolidin-1-yl
1471	CF ₂ CHF ₂	H		2-methylpyrrolidin-1-yl
1472	CF ₂ CHF ₂	H		=CH—N(CH ₃) ₂
1473	CF ₂ CHF ₂	H		=C(CH ₃)N(CH ₃) ₂
1474	CF ₂ CHF ₂	H		=CH—N(C ₂ H ₅) ₂
1475	CF ₂ CHF ₂	H		=C(CH ₃)N(C ₂ H ₅) ₂
1476	CF ₂ CHF ₂	H		=CH-piperidine
1477	CF ₂ CHF ₂	H		=CH-morpholine
1478	CF ₂ CHF ₂	H		=CH-pyrrolidine
1479	CF ₂ CHF ₂	H	H	indan-1-yl
1480	CF ₂ CHF ₂	H	H	tetrahydrofuran-2-ylmethyl
1481	CF ₂ CF ₂ Cl	H	H	H
1482	CF ₂ CF ₂ Cl	H	H	Me
1483	CF ₂ CF ₂ Cl	H	H	Et
1484	CF ₂ CF ₂ Cl	H	H	Pr
1485	CF ₂ CF ₂ Cl	H	H	iPr
1486	CF ₂ CF ₂ Cl	H	H	cPr
1487	CF ₂ CF ₂ Cl	H	H	Bu
1488	CF ₂ CF ₂ Cl	H	H	cBu
1489	CF ₂ CF ₂ Cl	H	H	tBu
1490	CF ₂ CF ₂ Cl	H	Me	Me
1491	CF ₂ CF ₂ Cl	H	Me	Et
1492	CF ₂ CF ₂ Cl	H	Me	Bu
1493	CF ₂ CF ₂ Cl	H	Me	Pr
1494	CF ₂ CF ₂ Cl	H	Me	iPr
1495	CF ₂ CF ₂ Cl	H	Et	Et
1496	CF ₂ CF ₂ Cl	H	Et	Pr
1497	CF ₂ CF ₂ Cl	H	Et	iPr
1498	CF ₂ CF ₂ Cl	H	Pr	Pr
1499	CF ₂ CF ₂ Cl	H	H	cPentyl
1500	CF ₂ CF ₂ Cl	H	H	cHexyl
1501	CF ₂ CF ₂ Cl	H	H	CH ₂ (CH ₂) ₃ CH ₃
1502	CF ₂ CF ₂ Cl	H	H	CH ₂ (CH ₂) ₄ CH ₃
1503	CF ₂ CF ₂ Cl	H	H	CH ₂ —cPr
1504	CF ₂ CF ₂ Cl	H	H	CH ₂ —CN
1505	CF ₂ CF ₂ Cl	H	H	CH ₂ —C(CH ₃) ₃
1506	CF ₂ CF ₂ Cl	H	H	CH ₂ CF ₂ CF ₃
1507	CF ₂ CF ₂ Cl	H	H	CH ₂ CF ₃
1508	CF ₂ CF ₂ Cl	H	H	CH ₂ (CF ₂) ₂ CF ₃
1509	CF ₂ CF ₂ Cl	H	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
1510	CF ₂ CF ₂ Cl	H	H	CH ₂ C(CH ₃) ₂ CH ₂ F
1511	CF ₂ CF ₂ Cl	H	H	CH ₂ CH(CH ₃) ₂
1512	CF ₂ CF ₂ Cl	H	H	CH ₂ CH(CH ₂ CH ₃) ₂
1513	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ CH(CH ₃) ₂
1514	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ C(CH ₃) ₃
1515	CF ₂ CF ₂ Cl	H	H	CH ₂ CH=CH ₂
1516	CF ₂ CF ₂ Cl	H	Me	CH ₂ CH=CH ₂
1517	CF ₂ CF ₂ Cl	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
1518	CF ₂ CF ₂ Cl	H	H	CH ₂ CH=CHCH ₃
1519	CF ₂ CF ₂ Cl	H	H	CH ₂ —C(CH ₃)=CH ₂
1520	CF ₂ CF ₂ Cl	H	H	CH ₂ —C=CH
1521	CF ₂ CF ₂ Cl	H	Me	CH ₂ —C=CH
1522	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)CH ₂ CH ₃
1523	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)cPr
1524	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1525	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1526	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)(CH ₂) ₅ CH ₃
1527	CF ₂ CF ₂ Cl	H	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
1528	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1529	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)C(CH ₃) ₃
1530	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)CH(CH ₃) ₂
1531	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
1532	CF ₂ CF ₂ Cl	H	H	CH(CH ₂ CH ₃) ₂
1533	CF ₂ CF ₂ Cl	H	H	C(CH ₃) ₂ CH ₂ CH ₃
1534	CF ₂ CF ₂ Cl	H	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃

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TABLE 1-continued

Compounds of the formula (I)



(I) 5

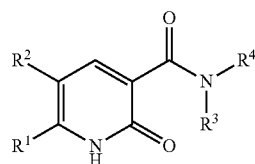
10

Ex.	R ¹	R ²	R ³	R ⁴
1535	CF ₂ CF ₂ Cl	H	H	CH ₂ —CH(OMe) ₂
1536	CF ₂ CF ₂ Cl	H	H	CH ₂ —CH(OEt) ₂
1537	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —OH
1538	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —OMe
1539	CF ₂ CF ₂ Cl	H	Me	CH ₂ CH ₂ —OMe
1540	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —OEt
1541	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —SMe
1542	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —CN
1543	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —NMe ₂
1544	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —morpholin-4-yl
1545	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)CH ₂ —OMe
1546	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)CH ₂ —NMe ₂
1547	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —OMe
1548	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —SMe
1549	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —OEt
1550	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —OiPr
1551	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ CH ₂ —OBu
1552	CF ₂ CF ₂ Cl	H	H	CH ₂ —COOCH ₃
1553	CF ₂ CF ₂ Cl	H	Me	CH ₂ —COOCH ₃
1554	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)COOMe
1555	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)COOEt
1556	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —COOCH ₃
1557	CF ₂ CF ₂ Cl	H	H	CH(COOCH ₃) ₂
1558	CF ₂ CF ₂ Cl	H	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
1559	CF ₂ CF ₂ Cl	H	H	CH(COOMe)CH(CH ₃) ₂
1560	CF ₂ CF ₂ Cl	H	H	O—CH ₂ CH ₃
1561	CF ₂ CF ₂ Cl	H	H	O—CH ₃
1562	CF ₂ CF ₂ Cl	H	H	O—CH ₂ CH=CH ₂
1563	CF ₂ CF ₂ Cl	H	H	O—tBu
1564	CF ₂ CF ₂ Cl	H	H	O—Pr
1565	CF ₂ CF ₂ Cl	H	H	O—CH ₂ cPr
1566	CF ₂ CF ₂ Cl	H	H	O—CH ₂ CH(CH ₃) ₂
1567	CF ₂ CF ₂ Cl	H	H	O—CH ₂ CF ₃
1568	CF ₂ CF ₂ Cl	H	H	O—CH(CH ₃)cPr
1569	CF ₂ CF ₂ Cl	H	H	O—CH ₂ CH ₂ Cl
1570	CF ₂ CF ₂ Cl	H	H	O—CH ₂ C=CH
1571	CF ₂ CF ₂ Cl	H	H	O—CH ₂ C=CH ₃
1572	CF ₂ CF ₂ Cl	H	H	O—CH(CH ₃)C=CH
1573	CF ₂ CF ₂ Cl	H	H	CH ₂ —Ph
1574	CF ₂ CF ₂ Cl	H	Me	CH ₂ —Ph
1575	CF ₂ CF ₂ Cl	H	H	CH ₂ —pyridin-3-yl
1576	CF ₂ CF ₂ Cl	H	H	CH ₂ —6-Cl-pyridin-3-yl
1577	CF ₂ CF ₂ Cl	H	H	CH(CH ₃)Ph
1578	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ —Ph
1579	CF ₂ CF ₂ Cl	H	H	CH ₂ —2-CF ₃ —Ph
1580	CF ₂ CF ₂ Cl	H	H	CH ₂ CH ₂ CHPh
1581	CF ₂ CF ₂ Cl	H	H	morpholin-4-yl
1582	CF ₂ CF ₂ Cl	H	H	piperidin-1-yl
1583	CF ₂ CF ₂ Cl	H	H	thiazolidin-3-yl
1584	CF ₂ CF ₂ Cl	H	H	pyrrolidin-1-yl
1585	CF ₂ CF ₂ Cl	H	H	2-methylpyrrolidin-1-yl
1586	CF ₂ CF ₂ Cl	H	H	=CH—N(CH ₃) ₂
1587	CF ₂ CF ₂ Cl	H	H	=C(CH ₃)N(CH ₃) ₂
1588	CF ₂ CF ₂ Cl	H	H	=CH—N(C ₂ H ₅) ₂
1589	CF ₂ CF ₂ Cl	H	H	=C(CH ₃)N(C ₂ H ₅) ₂
1590	CF ₂ CF ₂ Cl	H	H	=CH—piperidine
1591	CF ₂ CF ₂ Cl	H	H	=CH—morpholine
1592	CF ₂ CF ₂ Cl	H	H	=CH—pyrrolidine
1593	CF ₂ CF ₂ Cl	H	H	indan-1-yl
1594	CF ₂ CF ₂ Cl	H	H	tetrahydrofuran-2-ylmethyl
1595	C ₃ F ₇	H	H	H
1596	C ₃ F ₇	H	H	Me
1597	C ₃ F ₇	H	H	Et
1598	C ₃ F ₇	H	H	Pr
1599	C ₃ F ₇	H	H	iPr
1600	C ₃ F ₇	H	H	cPr

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TABLE 1-continued

Compounds of the formula (I)



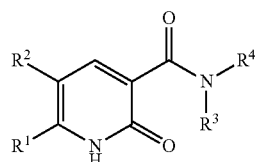
(I)

Ex.	R ¹	R ²	R ³	R ⁴
1601	C ₃ F ₇	H	H	Bu
1602	C ₃ F ₇	H	H	cBu
1603	C ₃ F ₇	H	H	tBu
1604	C ₃ F ₇	H	Me	Me
1605	C ₃ F ₇	H	Me	Et
1606	C ₃ F ₇	H	Me	Bu
1607	C ₃ F ₇	H	Me	Pr
1608	C ₃ F ₇	H	Me	iPr
1609	C ₃ F ₇	H	Et	Et
1610	C ₃ F ₇	H	Et	Pr
1611	C ₃ F ₇	H	Et	iPr
1612	C ₃ F ₇	H	Pr	Pr
1613	C ₃ F ₇	H	H	cPentyl
1614	C ₃ F ₇	H	H	cHexyl
1615	C ₃ F ₇	H	H	CH ₂ (CH ₂) ₃ CH ₃
1616	C ₃ F ₇	H	H	CH ₂ (CH ₂) ₄ CH ₃
1617	C ₃ F ₇	H	H	CH ₂ —cPr
1618	C ₃ F ₇	H	H	CH ₂ —CN
1619	C ₃ F ₇	H	H	CH ₂ —C(CH ₃) ₃
1620	C ₃ F ₇	H	H	CH ₂ CF ₂ CF ₃
1621	C ₃ F ₇	H	H	CH ₂ CF ₃
1622	C ₃ F ₇	H	H	CH ₂ (CF ₂) ₂ CF ₃
1623	C ₃ F ₇	H	H	CH ₂ CH(CH ₃)CH ₂ CH ₃
1624	C ₃ F ₇	H	H	CH ₂ C(CH ₃) ₂ CH ₂ F
1625	C ₃ F ₇	H	H	CH ₂ CH(CH ₃) ₂
1626	C ₃ F ₇	H	H	CH ₂ CH(CH ₂ CH ₃) ₂
1627	C ₃ F ₇	H	H	CH ₂ CH ₂ CH(CH ₃) ₂
1628	C ₃ F ₇	H	H	CH ₂ CH ₂ C(CH ₃) ₃
1629	C ₃ F ₇	H	H	CH ₂ CH=CH ₂
1630	C ₃ F ₇	H	Me	CH ₂ CH=CH ₂
1631	C ₃ F ₇	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂
1632	C ₃ F ₇	H	H	CH ₂ CH=CHCH ₃
1633	C ₃ F ₇	H	H	CH ₂ —C(CH ₃)=CH ₂
1634	C ₃ F ₇	H	H	CH ₂ —C=CH
1635	C ₃ F ₇	H	Me	CH ₂ —C=CH
1636	C ₃ F ₇	H	H	CH(CH ₃)CH ₂ CH ₃
1637	C ₃ F ₇	H	H	CH(CH ₃)cPr
1638	C ₃ F ₇	H	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1639	C ₃ F ₇	H	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1640	C ₃ F ₇	H	H	CH(CH ₃)(CH ₂) ₅ CH ₃
1641	C ₃ F ₇	H	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
1642	C ₃ F ₇	H	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1643	C ₃ F ₇	H	H	CH(CH ₃)C(CH ₃) ₃
1644	C ₃ F ₇	H	H	CH(CH ₃)CH(CH ₃) ₂
1645	C ₃ F ₇	H	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
1646	C ₃ F ₇	H	H	CH(CH ₂ CH ₃) ₂
1647	C ₃ F ₇	H	H	C(CH ₃) ₂ CH ₂ CH ₃
1648	C ₃ F ₇	H	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
1649	C ₃ F ₇	H	H	CH ₂ —CH(OMe) ₂
1650	C ₃ F ₇	H	H	CH ₂ —CH(OEt) ₂
1651	C ₃ F ₇	H	H	CH ₂ CH ₂ —OH
1652	C ₃ F ₇	H	H	CH ₂ CH ₂ —OMe
1653	C ₃ F ₇	H	Me	CH ₂ CH ₂ —OMe
1654	C ₃ F ₇	H	H	CH ₂ CH ₂ —OEt
1655	C ₃ F ₇	H	H	CH ₂ CH ₂ —SMe
1656	C ₃ F ₇	H	H	CH ₂ CH ₂ —CN
1657	C ₃ F ₇	H	H	CH ₂ CH ₂ —NMe ₂
1658	C ₃ F ₇	H	H	CH ₂ CH ₂ —morpholin-4-yl
1659	C ₃ F ₇	H	H	CH(CH ₃)CH ₂ —OMe
1660	C ₃ F ₇	H	H	CH(CH ₃)CH ₂ —NMe ₂
1661	C ₃ F ₇	H	H	CH ₂ CH ₂ CH ₂ —OMe
1662	C ₃ F ₇	H	H	CH ₂ CH ₂ CH ₂ —SMe
1663	C ₃ F ₇	H	H	CH ₂ CH ₂ CH ₂ —OEt
1664	C ₃ F ₇	H	H	CH ₂ CH ₂ CH ₂ —OiPr
1665	C ₃ F ₇	H	H	CH ₂ CH ₂ CH ₂ —OBu
1666	C ₃ F ₇	H	H	CH ₂ —COOCH ₃

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TABLE 1-continued

Compounds of the formula (I)

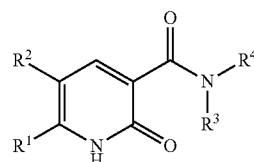


Ex.	R ¹	R ²	R ³	R ⁴
1667	C3F7	H	Me	CH ₂ —COOCH ₃
1668	C3F7	H	H	CH(CH ₃)COOMe
1669	C3F7	H	H	CH(CH ₃)COOEt
1670	C3F7	H	H	CH ₂ CH ₂ —COOCH ₃
1671	C3F7	H	H	CH(COOCH ₃) ₂
1672	C3F7	H	H	CH(COOEt)CH ₂ —CH(CH ₃) ₂
1673	C3F7	H	H	CH(COOMe)CH(CH ₃) ₂
1674	C3F7	H	H	O—CH ₂ CH ₃
1675	C3F7	H	H	O—CH ₃
1676	C3F7	H	H	O—CH ₂ CH=CH ₂
1677	C3F7	H	H	O—tBu
1678	C3F7	H	H	O—Pr
1679	C3F7	H	H	O—CH ₂ cPr
1680	C3F7	H	H	O—CH ₂ CH(CH ₃) ₂
1681	C3F7	H	H	O—CH ₂ CF ₃
1682	C3F7	H	H	O—CH(CH ₃)cPr
1683	C3F7	H	H	O—CH ₂ CH ₂ Cl
1684	C3F7	H	H	O—CH ₂ C=CH
1685	C3F7	H	H	O—CH ₂ C=CH ₃
1686	C3F7	H	H	O—CH(CH ₃)C=CH
1687	C3F7	H	H	CH ₂ —Ph
1688	C3F7	H	Me	CH ₂ —Ph
1689	C3F7	H	H	CH ₂ -pyridin-3-yl
1690	C3F7	H	H	CH ₂ -6-Cl-pyridin-3-yl
1691	C3F7	H	H	CH(CH ₃)Ph
1692	C3F7	H	H	CH ₂ CH ₂ —Ph
1693	C3F7	H	H	CH ₂ -2-CF ₃ —Ph
1694	C3F7	H	H	CH ₂ CH ₂ CHPh
1695	C3F7	H	H	morpholin-4-yl
1696	C3F7	H	H	piperidin-1-yl
1697	C3F7	H	H	thiazolidin-3-yl
1698	C3F7	H	H	pyrrolidin-1-yl
1699	C3F7	H	H	2-methylpyrrolidin-1-yl
1700	C3F7	H	H	=CH—N(CH ₃) ₂
1701	C3F7	H	H	=C(CH ₃)N(CH ₃) ₂
1702	C3F7	H	H	=CH—N(C ₂ H ₅) ₂
1703	C3F7	H	H	=C(CH ₃)N(C ₂ H ₅) ₂
1704	C3F7	H	H	=CH-piperidine
1705	C3F7	H	H	=CH-morpholine
1706	C3F7	H	H	=CH-pyrrolidine
1707	C3F7	H	H	indan-1-yl
1708	C3F7	H	H	tetrahydrofuran-2-ylmethyl
1709	CF(CF ₃) ₂	H	H	H
1710	C3F7	Cl	H	H
1711	C3F7	Cl	H	Me
1712	C3F7	Cl	H	Et
1713	C3F7	Cl	H	Pr
1714	C3F7	Cl	H	iPr
1715	C3F7	Cl	H	cPr
1716	C3F7	Cl	H	Bu
1717	C3F7	Cl	H	cBu
1718	C3F7	Cl	H	tBu
1719	C3F7	Cl	Me	Me
1720	C3F7	Cl	Et	Et
1721	C3F7	Cl	H	CH ₂ —cPr
1722	C3F7	Cl	H	CH ₂ —C(CH ₃) ₃
1723	C3F7	Cl	H	CH ₂ CH(CH ₃) ₂
1724	C3F7	Cl	H	CH ₂ CH(CH ₂ CH ₃) ₂
1725	C3F7	Cl	H	CH ₂ CH ₂ CH(CH ₃) ₂
1726	C3F7	Cl	H	CH ₂ CH ₂ C(CH ₃) ₃
1727	C3F7	Cl	H	CH ₂ CH=CH ₂
1728	C3F7	Cl	H	CH ₂ —C(CH ₃)=CH ₂
1729	C3F7	Cl	H	CH ₂ —CCH
1730	C3F7	Cl	Me	CH ₂ —CCH
1731	C3F7	Cl	H	CH(CH ₃)CH ₂ CH ₃
1732	C3F7	Cl	H	CH(CH ₃)cPr

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TABLE 1-continued

Compounds of the formula (I)

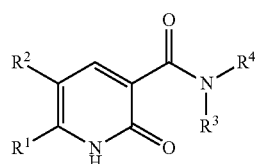


Ex.	R ¹	R ²	R ³	R ⁴
1733	C3F7	Cl	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1734	C3F7	Cl	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1735	C3F7	Cl	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1736	C3F7	Cl	H	CH(CH ₃)C(CH ₃) ₃
1737	C3F7	Cl	H	CH(CH ₃)CH(CH ₃) ₂
1738	C3F7	Cl	H	CH(CH ₂ CH ₃) ₂
1739	C3F7	Cl	H	CH ₂ —CH(OMe) ₂
1740	C3F7	Cl	H	CH ₂ —CH(OEt) ₂
1741	C3F7	Cl	H	CH ₂ CH ₂ —OH
1742	C3F7	Cl	H	CH ₂ CH ₂ —OMe
1743	C3F7	Cl	Me	CH ₂ CH ₂ —OMe
1744	C3F7	Cl	H	CH(CH ₃)CH ₂ —OMe
1745	C3F7	Cl	H	CH ₂ CH ₂ CH ₂ —OMe
1746	C3F7	Cl	H	CH ₂ —COOCH ₃
1747	C3F7	Cl	Me	CH ₂ —COOCH ₃
1748	C3F7	Cl	H	CH(CH ₃)COOMe
1749	C3F7	Cl	H	CH(CH ₃)COOEt
1750	C3F7	Cl	H	CH ₂ CH ₂ —COOCH ₃
1751	C3F7	Br	H	H
1752	C3F7	Br	H	Me
1753	C3F7	Br	H	Et
1754	C3F7	Br	H	Pr
1755	C3F7	Br	H	iPr
1756	C3F7	Br	H	cPr
1757	C3F7	Br	H	Bu
1758	C3F7	Br	H	cBu
1759	C3F7	Br	H	tBu
1760	C3F7	Br	Me	Me
1761	C3F7	Br	Et	Et
1762	C3F7	Br	H	CH ₂ -cPr
1763	C3F7	Br	H	CH ₂ —C(CH ₃) ₃
1764	C3F7	Br	H	CH ₂ CH(CH ₃) ₂
1765	C3F7	Br	H	CH ₂ CH(CH ₂ CH ₃) ₂
1766	C3F7	Br	H	CH ₂ CH ₂ CH(CH ₃) ₂
1767	C3F7	Br	H	CH ₂ CH ₂ C(CH ₃) ₃
1768	C3F7	Br	H	CH ₂ CH=CH ₂
1769	C3F7	Br	H	CH ₂ —C(CH ₃)=CH ₂
1770	C3F7	Br	H	CH ₂ —CCH
1771	C3F7	Br	Me	CH ₂ —CCH
1772	C3F7	Br	H	CH(CH ₃)CH ₂ CH ₃
1773	C3F7	Br	H	CH(CH ₃)cPr
1774	C3F7	Br	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1775	C3F7	Br	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1776	C3F7	Br	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1777	C3F7	Br	H	CH(CH ₃)C(CH ₃) ₃
1778	C3F7	Br	H	CH(CH ₃)CH(CH ₃) ₂
1779	C3F7	Br	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
1780	C3F7	Br	H	CH(CH ₂ CH ₃) ₂
1781	C3F7	Br	H	CH ₂ —CH(OMe) ₂
1782	C3F7	Br	H	CH ₂ —CH(OEt) ₂
1783	C3F7	Br	H	CH ₂ CH ₂ —OH
1784	C3F7	Br	H	CH ₂ CH ₂ —OMe
1785	C3F7	Br	H	CH(CH ₃)CH ₂ —OMe
1786	C3F7	Br	H	CH ₂ CH ₂ CH ₂ —OMe
1787	C3F7	Br	H	CH ₂ —COOCH ₃
1788	C3F7	Br	Me	CH ₂ —COOCH ₃
1789	C3F7	Br	H	CH(CH ₃)COOMe
1790	C3F7	Br	H	CH(CH ₃)COOEt
1791	C3F7	Br	H	CH ₂ CH ₂ —COOCH ₃
1792	C3F7	I	H	H
1793	C3F7	I	H	Me
1794	C3F7	I	H	Et
1795	C3F7	I	H	Pr
1796	C3F7	I	H	iPr
1797	C3F7	I	H	cPr
1798	C3F7	I	H	Bu

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TABLE 1-continued

Compounds of the formula (I)				
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(I) 5

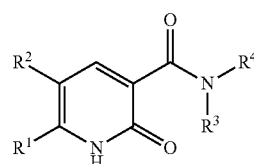
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Ex.	R ¹	R ²	R ³	R ⁴
1799	C3F7	I	H	cBu
1800	C3F7	I	H	tBu
1801	C3F7	I	Me	Me
1802	C3F7	I	Et	Et
1803	C3F7	I	H	CH ₂ —cPr
1804	C3F7	I	H	CH ₂ —C(CH ₃) ₃
1805	C3F7	I	H	CH ₂ CH(CH ₃) ₂
1806	C3F7	I	H	CH ₂ CH(CH ₂ CH ₃) ₂
1807	C3F7	I	H	CH ₂ CH ₂ CH(CH ₃) ₂
1808	C3F7	I	H	CH ₂ CH ₂ C(CH ₃) ₃
1809	C3F7	I	H	CH ₂ CH=CH ₂
1810	C3F7	I	H	CH ₂ —C(CH ₃)=CH ₂
1811	C3F7	I	H	CH ₂ —CCH
1812	C3F7	I	Me	CH ₂ —CCH
1813	C3F7	I	H	CH(CH ₃)CH ₂ CH ₃
1814	C3F7	I	H	CH(CH ₃)cPr
1815	C3F7	I	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1816	C3F7	I	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1817	C3F7	I	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1818	C3F7	I	H	CH(CH ₃)C(CH ₃) ₃
1819	C3F7	I	H	CH(CH ₃)CH(CH ₃) ₂
1820	C3F7	I	H	CH(CH ₂ CH ₃) ₂
1821	C3F7	I	H	CH ₂ —CH(OMe) ₂
1822	C3F7	I	H	CH ₂ —CH(OEt) ₂
1823	C3F7	I	H	CH ₂ CH ₂ —OH
1824	C3F7	I	H	CH ₂ CH ₂ —OMe
1825	C3F7	I	Me	CH ₂ CH ₂ —OMe
1826	C3F7	I	H	CH(CH ₃)CH ₂ —OMe
1827	C3F7	I	H	CH ₂ CH ₂ CH ₂ —OMe
1828	C3F7	I	H	CH ₂ —COOCH ₃
1829	C3F7	I	Me	CH ₂ —COOCH ₃
1830	C3F7	I	H	CH(CH ₃)COOMe
1831	C3F7	I	H	CH(CH ₃)COOEt
1832	C3F7	I	H	CH ₂ CH ₂ —COOCH ₃
1833	CF ₃	I	H	Me
1834	CF ₃	I	H	Et
1835	CF ₃	I	H	Pr
1836	CF ₃	I	H	iPr
1837	CF ₃	I	H	cPr
1838	CF ₃	I	H	Bu
1839	CF ₃	I	H	cBu
1840	CF ₃	I	H	tBu
1841	CF ₃	I	Me	Me
1842	CF ₃	I	Et	Et
1843	CF ₃	I	H	CH ₂ (CH ₂) ₃ CH ₃
1844	CF ₃	I	H	CH ₂ (CH ₂) ₄ CH ₃
1845	CF ₃	I	H	CH ₂ —cPr
1846	CF ₃	I	H	CH ₂ —C(CH ₃) ₃
1847	CF ₃	I	H	CH ₂ CH(CH ₃) ₂
1848	CF ₃	I	H	CH ₂ CH(CH ₂ CH ₃) ₂
1849	CF ₃	I	H	CH ₂ CH ₂ CH(CH ₃) ₂
1850	CF ₃	I	H	CH ₂ CH ₂ C(CH ₃) ₃
1851	CF ₃	I	H	CH ₂ CH=CH ₂
1852	CF ₃	I	H	CH ₂ —C(CH ₃)=CH ₂
1853	CF ₃	I	H	CH ₂ —CCH
1854	CF ₃	I	H	CH(CH ₃)CH ₂ CH ₃
1855	CF ₃	I	H	CH(CH ₃)cPr
1856	CF ₃	I	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1857	CF ₃	I	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1858	CF ₃	I	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1859	CF ₃	I	H	CH(CH ₃)C(CH ₃) ₃
1860	CF ₃	I	H	CH(CH ₃)CH(CH ₃) ₂
1861	CF ₃	I	H	CH(CH ₂ CH ₃) ₂
1862	CF ₃	I	H	C(CH ₃) ₂ CH ₂ CH ₃
1863	CF ₃	I	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
1864	CF ₃	I	H	CH ₂ —CH(OMe) ₂

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TABLE 1-continued

Compounds of the formula (I)				
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(I)

Ex.	R ¹	R ²	R ³	R ⁴
1865	CF ₃	I	H	CH ₂ —CH(OEt) ₂
1866	CF ₃	I	H	CH ₂ CH ₂ —OH
1867	CF ₃	I	H	CH ₂ CH ₂ —OMe
1868	CF ₃	I	Me	CH ₂ CH ₂ —OMe
1869	CF ₃	I	H	CH(CH ₃)CH ₂ —OMe
1870	CF ₃	I	H	CH ₂ CH ₂ CH ₂ —OMe
1871	CF ₃	I	H	CH ₂ —COOCH ₃
1872	CF ₃	I	Me	CH ₂ —COOCH ₃
1873	CF ₃	I	H	CH(CH ₃)COOMe
1874	CF ₃	I	H	CH(CH ₃)COOEt
1875	CF ₃	I	H	CH ₂ CH ₂ —COOCH ₃
1876	CF ₂ Cl	I	H	H
1877	CF ₂ Cl	I	H	Me
1878	CF ₂ Cl	I	H	Et
1879	CF ₂ Cl	I	H	Pr
1880	CF ₂ Cl	I	H	iPr
1881	CF ₂ Cl	I	H	cPr
1882	CF ₂ Cl	I	H	Bu
1883	CF ₂ Cl	I	H	cBu
1884	CF ₂ Cl	I	H	tBu
1885	CF ₂ Cl	I	Me	Me
1886	CF ₂ Cl	I	H	CH ₂ —cPr
1887	CF ₂ Cl	I	H	CH ₂ —C(CH ₃) ₃
1888	CF ₂ Cl	I	H	CH ₂ CH(CH ₃) ₂
1889	CF ₂ Cl	I	H	CH ₂ CH(CH ₂ CH ₃) ₂
1890	CF ₂ Cl	I	H	CH ₂ CH ₂ CH(CH ₃) ₂
1891	CF ₂ Cl	I	H	CH ₂ CH ₂ C(CH ₃) ₃
1892	CF ₂ Cl	I	H	CH ₂ CH=CH ₂
1893	CF ₂ Cl	I	Me	CH ₂ CH=CH ₂
1894	CF ₂ Cl	I	H	CH ₂ —C(CH ₃)=CH ₂
1895	CF ₂ Cl	I	H	CH ₂ —CCH
1896	CF ₂ Cl	I	Me	CH ₂ —CCH
1897	CF ₂ Cl	I	H	CH(CH ₃)CH ₂ CH ₃
1898	CF ₂ Cl	I	H	CH(CH ₃)cPr
1899	CF ₂ Cl	I	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1900	CF ₂ Cl	I	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1901	CF ₂ Cl	I	H	CH(CH ₃)(CH ₂) ₅ CH ₃
1902	CF ₂ Cl	I	H	CH(CH ₂ CH ₃)(CH ₂) ₃ CH ₃
1903	CF ₂ Cl	I	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1904	CF ₂ Cl	I	H	CH(CH ₃)C(CH ₃) ₃
1905	CF ₂ Cl	I	H	CH(CH ₃)CH(CH ₃) ₂
1906	CF ₂ Cl	I	H	CH(CH ₃)CH ₂ CH ₂ CH(CH ₃) ₂
1907	CF ₂ Cl	I	H	CH(CH ₂ CH ₃) ₂
1908	CF ₂ Cl	I	H	C(CH ₃) ₂ CH ₂ CH ₃
1909	CF ₂ Cl	I	H	C(CH ₃) ₂ CH ₂ C(CH ₃) ₃
1910	CF ₂ Cl	I	H	CH ₂ —CH(OMe) ₂
1911	CF ₂ Cl	I	H	CH ₂ —CH(OEt) ₂
1912	CF ₂ Cl	I	H	CH ₂ CH ₂ —OH
1913	CF ₂ Cl	I	H	CH ₂ CH ₂ —OMe
1914	CF ₂ Cl	I	Me	CH ₂ CH ₂ —OMe
1915	CF ₂ Cl	I	H	CH ₂ CH ₂ —OEt
1916	CF ₂ Cl	I	H	CH(CH ₃)CH ₂ —OMe
1917	CF ₂ Cl	I	H	CH ₂ CH ₂ CH ₂ —OMe
1918	CF ₂ Cl	I	H	CH ₂ —COOCH ₃
1919	CF ₂ Cl	I	Me	CH ₂ —COOCH ₃
1920	CF ₂ Cl	I	H	CH(CH ₃)COOMe
1921	CF ₂ Cl	I	H	CH(CH ₃)COOEt
1922	CF ₂ Cl	I	H	CH ₂ CH ₂ —COOCH ₃
1923	CF ₂ CF ₃	I	H	Me
1924	CF ₂ CF ₃	I	H	Et
1925	CF ₂ CF ₃	I	H	Pr
1926	CF ₂ CF ₃	I	H	iPr
1927	CF ₂ CF ₃	I	H	cPr
1928	CF ₂ CF ₃	I	H	Bu
1929	CF ₂ CF ₃	I	H	cBu
1930	CF ₂ CF ₃	I	H	tBu

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TABLE 1-continued

Compounds of the formula (I)				
Ex.	R ¹	R ²	R ³	R ⁴
1931	CF ₂ CF ₃	I	Me	Me
1932	CF ₂ CF ₃	I	Et	Et
1933	CF ₂ CF ₃	I	H	CH ₂ —cPr
1934	CF ₂ CF ₃	I	H	CH ₂ —C(CH ₃) ₃
1935	CF ₂ CF ₃	I	H	CH ₂ CH(CH ₃) ₂
1936	CF ₂ CF ₃	I	H	CH ₂ CH(CH ₂ CH ₃) ₂
1937	CF ₂ CF ₃	I	H	CH ₂ CH ₂ CH(CH ₃) ₂
1938	CF ₂ CF ₃	I	H	CH ₂ CH ₂ C(CH ₃) ₃
1939	CF ₂ CF ₃	I	H	CH ₂ CH=CH ₂
1940	CF ₂ CF ₃	I	Me	CH ₂ CH=CH ₂
1941	CF ₂ CF ₃	I	H	CH ₂ —C(CH ₃)=CH ₂
1942	CF ₂ CF ₃	I	H	CH ₂ —CCH
1943	CF ₂ CF ₃	I	Me	CH ₂ —CCH
1944	CF ₂ CF ₃	I	H	CH(CH ₃)CH ₂ CH ₃
1945	CF ₂ CF ₃	I	H	CH(CH ₃)cPr
1946	CF ₂ CF ₃	I	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1947	CF ₂ CF ₃	I	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1948	CF ₂ CF ₃	I	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1949	CF ₂ CF ₃	I	H	CH(CH ₃)C(CH ₃) ₃
1950	CF ₂ CF ₃	I	H	CH(CH ₃)CH(CH ₃) ₂
1951	CF ₂ CF ₃	I	H	CH(CH ₂ CH ₃) ₂
1952	CF ₂ CF ₃	I	H	CH ₂ —CH(OMe) ₂
1953	CF ₂ CF ₃	I	H	CH ₂ —CH(OEt) ₂
1954	CF ₂ CF ₃	I	HH	CH ₂ CH ₂ —OH
1955	CF ₂ CF ₃	I	H	CH ₂ CH ₂ —OMe
1956	CF ₂ CF ₃	I	Me	CH ₂ CH ₂ —OMe
1957	CF ₂ CF ₃	I	H	CH(CH ₃)CH ₂ —OMe
1958	CF ₂ CF ₃	I	H	CH ₂ CH ₂ CH ₂ —OMe
1959	CF ₂ CF ₃	I	H	CH ₂ —COOCH ₃
1960	CF ₂ CF ₃	I	Me	CH ₂ —COOCH ₃
1961	CF ₂ CF ₃	I	H	CH(CH ₃)COOMe
1962	CF ₂ CF ₃	I	H	CH(CH ₃)COOEt
1963	CF ₂ CF ₃	I	H	CH ₂ CH ₂ —COOCH ₃
1964	CF ₂ CF ₂ Cl	Cl	H	H
1965	CF ₂ CF ₂ Cl	Cl	H	Me
1966	CF ₂ CF ₂ Cl	Cl	H	Et
1967	CF ₂ CF ₂ Cl	Cl	H	Pr
1968	CF ₂ CF ₂ Cl	Cl	H	iPr
1969	CF ₂ CF ₂ Cl	Cl	H	cPr
1970	CF ₂ CF ₂ Cl	Cl	H	Bu
1971	CF ₂ CF ₂ Cl	Cl	H	cBu
1972	CF ₂ CF ₂ Cl	Cl	H	tBu
1973	CF ₂ CF ₂ Cl	Cl	Me	Me
1974	CF ₂ CF ₂ Cl	Cl	Et	Et
1975	CF ₂ CF ₂ Cl	Cl	H	CH ₂ —cPr
1976	CF ₂ CF ₂ Cl	Cl	H	CH ₂ —C(CH ₃) ₃
1977	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH(CH ₃) ₂
1978	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH(CH ₂ CH ₃) ₂
1979	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH ₂ CH(CH ₃) ₂
1980	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH ₂ C(CH ₃) ₃
1981	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH=CH ₂
1982	CF ₂ CF ₂ Cl	Cl	Me	CH ₂ CH=CH ₂
1983	CF ₂ CF ₂ Cl	Cl	H	CH ₂ —C(CH ₃)=CH ₂
1984	CF ₂ CF ₂ Cl	Cl	H	CH ₂ —CCH
1985	CF ₂ CF ₂ Cl	Cl	Me	CH ₂ —CCH
1986	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)CH ₂ CH ₃
1987	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)cPr
1988	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)(CH ₂) ₂ CH ₃
1989	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)(CH ₂) ₄ CH ₃
1990	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
1991	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)C(CH ₃) ₃
1992	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)CH(CH ₃) ₂
1993	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₂ CH ₃) ₂
1994	CF ₂ CF ₂ Cl	Cl	H	CH ₂ —CH(OMe) ₂
1995	CF ₂ CF ₂ Cl	Cl	H	CH ₂ —CH(OEt) ₂
1996	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH ₂ —OH

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TABLE 1-continued

Compounds of the formula (I)				
Ex.	R ¹	R ²	R ³	R ⁴
1997	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH ₂ —OMe
1998	CF ₂ CF ₂ Cl	Cl	Me	CH ₂ CH ₂ —OMe
1999	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)CH ₂ —OMe
2000	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH ₂ CH ₂ —OMe
2001	CF ₂ CF ₂ Cl	Cl	H	CH ₂ —COOCH ₃
2002	CF ₂ CF ₂ Cl	Cl	Me	CH ₂ —COOCH ₃
2003	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)COOMe
2004	CF ₂ CF ₂ Cl	Cl	H	CH(CH ₃)COOEt
2005	CF ₂ CF ₂ Cl	Cl	H	CH ₂ CH ₂ —COOCH ₃
2006	CF ₂ CF ₂ Cl	Br	H	H
2007	CF ₂ CF ₂ Cl	Br	H	Me
2008	CF ₂ CF ₂ Cl	Br	H	Et
2009	CF ₂ CF ₂ Cl	Br	H	Pr
2010	CF ₂ CF ₂ Cl	Br	H	iPr
2011	CF ₂ CF ₂ Cl	Br	H	cPr
2012	CF ₂ CF ₂ Cl	Br	H	Bu
2013	CF ₂ CF ₂ Cl	Br	H	cBu
2014	CF ₂ CF ₂ Cl	Br	H	tBu
2015	CF ₂ CF ₂ Cl	Br	Me	Me
2016	CF ₂ CF ₂ Cl	Br	Et	Et
2017	CF ₂ CF ₂ Cl	Br	H	CH ₂ —cPr
2018	CF ₂ CF ₂ Cl	Br	H	CH ₂ —C(CH ₃) ₃
2019	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH(CH ₃) ₂
2020	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH(CH ₂ CH ₃) ₂
2021	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH ₂ CH(CH ₃) ₂
2022	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH ₂ C(CH ₃) ₃
2023	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH=CH ₂
2024	CF ₂ CF ₂ Cl	Br	Me	CH ₂ CH=CH ₂
2025	CF ₂ CF ₂ Cl	Br	H	CH ₂ —C(CH ₃)=CH ₂
2026	CF ₂ CF ₂ Cl	Br	H	CH ₂ —CCH
2027	CF ₂ CF ₂ Cl	Br	Me	CH ₂ —CCH
2028	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)CH ₂ CH ₃
2029	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)cPr
2030	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)(CH ₂) ₂ CH ₃
2031	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)(CH ₂) ₄ CH ₃
2032	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
2033	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)C(CH ₃) ₃
2034	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)CH(CH ₃) ₂
2035	CF ₂ CF ₂ Cl	Br	H	CH(CH ₂ CH ₃) ₂
2036	CF ₂ CF ₂ Cl	Br	H	CH ₂ —CH(OMe) ₂
2037	CF ₂ CF ₂ Cl	Br	H	CH ₂ —CH(OEt) ₂
2038	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH ₂ —OH
2039	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH ₂ —OMe
2040	CF ₂ CF ₂ Cl	Br	Me	CH ₂ CH ₂ —OMe
2041	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)CH ₂ —OMe
2042	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH ₂ CH ₂ —OMe
2043	CF ₂ CF ₂ Cl	Br	H	CH ₂ —COOCH ₃
2044	CF ₂ CF ₂ Cl	Br	Me	CH ₂ —COOCH ₃
2045	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)COOMe
2046	CF ₂ CF ₂ Cl	Br	H	CH(CH ₃)COOEt
2047	CF ₂ CF ₂ Cl	Br	H	CH ₂ CH ₂ —COOCH ₃
2048	CF ₂ CF ₂ Cl	I	H	H
2049	CF ₂ CF ₂ Cl	I	H	Me
2050	CF ₂ CF ₂ Cl	I	H	Et
2051	CF ₂ CF ₂ Cl	I	H	Pr
2052	CF ₂ CF ₂ Cl	I	H	iPr
2053	CF ₂ CF ₂ Cl	I	H	cPr
2054	CF ₂ CF ₂ Cl	I	H	Bu
2055	CF ₂ CF ₂ Cl	I	H	cBu
2056	CF ₂ CF ₂ Cl	I	H	tBu
2057	CF ₂ CF ₂ Cl	I	Me	Me
2058	CF ₂ CF ₂ Cl	I	Et	Et
2059	CF ₂ CF ₂ Cl	I	H	CH ₂ —cPr
2060	CF ₂ CF ₂ Cl	I	H	CH ₂ —C(CH ₃) ₃
2061	CF ₂ CF ₂ Cl	I	H	CH ₂ CH(CH ₃) ₂
2062	CF ₂ CF ₂ Cl	I	H	CH ₂ CH(CH ₂ CH ₃) ₂

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TABLE 1-continued

Compounds of the formula (I)				
Ex.	R ¹	R ²	R ³	R ⁴
2063	CF ₂ CF ₂ Cl	I	H	CH ₂ CH ₂ CH(CH ₃) ₂
2064	CF ₂ CF ₂ Cl	I	H	CH ₂ CH ₂ C(CH ₃) ₃
2065	CF ₂ CF ₂ Cl	I	H	CH ₂ CH=CH ₂
2066	CF ₂ CF ₂ Cl	I	Me	CH ₂ CH=CH ₂
2067	CF ₂ CF ₂ Cl	I	H	CH ₂ —C(CH ₃)=CH ₂
2068	CF ₂ CF ₂ Cl	I	H	CH ₂ —CCH
2069	CF ₂ CF ₂ Cl	I	Me	CH ₂ —CCH
2070	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)CH ₂ CH ₃
2071	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)cPr
2072	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)(CH ₂) ₂ CH ₃
2073	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)(CH ₂) ₄ CH ₃
2074	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
2075	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)C(CH ₃) ₃
2077	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)CH(CH ₃) ₂
2078	CF ₂ CF ₂ Cl	I	H	CH(CH ₂ CH ₃) ₂
2079	CF ₂ CF ₂ Cl	I	H	CH ₂ —CH(OMe) ₂
2080	CF ₂ CF ₂ Cl	I	H	CH ₂ —CH(OEt) ₂
2081	CF ₂ CF ₂ Cl	I	H	CH ₂ CH ₂ —OH
2082	CF ₂ CF ₂ Cl	I	Me	CH ₂ CH ₂ —OMe
2083	CF ₂ CF ₂ Cl	I	H	CH ₂ CH ₂ —OMe
2084	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)CH ₂ —OMe
2085	CF ₂ CF ₂ Cl	I	H	CH ₂ CH ₂ CH ₂ —OMe
2086	CF ₂ CF ₂ Cl	I	Me	CH ₂ —COOCH ₃
2087	CF ₂ CF ₂ Cl	I	H	CH ₂ —COOCH ₃
2088	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)COOMe
2089	CF ₂ CF ₂ Cl	I	H	CH(CH ₃)COOEt
2090	CFCICF ₃	H	H	CH ₂ CH ₂ —COOCH ₃
2091	CFCICF ₃	H	H	H
2092	CFCICF ₃	H	H	Me
2093	CFCICF ₃	H	H	Et
2094	CFCICF ₃	H	H	Pr
2095	CFCICF ₃	H	H	iPr
2096	CFCICF ₃	H	H	cPr
2097	CFCICF ₃	H	H	Bu
2098	CFCICF ₃	H	H	tBu
2099	CFCICF ₃	H	Me	Me
2100	CFCICF ₃	H	Et	Et
2101	CFCICF ₃	H	H	CH ₂ —cPr
2102	CFCICF ₃	H	H	CH ₂ —C(CH ₃) ₃
2103	CFCICF ₃	H	H	CH ₂ CH(CH ₃) ₂
2104	CFCICF ₃	H	H	CH ₂ CH(CH ₂ CH ₃) ₂
2105	CFCICF ₃	H	H	CH ₂ CH ₂ CH(CH ₃) ₂
2106	CFCICF ₃	H	H	CH ₂ CH ₂ C(CH ₃) ₃
2107	CFCICF ₃	H	H	CH ₂ CH=CH ₂
2108	CFCICF ₃	H	Me	CH ₂ CH=CH ₂
2109	CFCICF ₃	H	H	CH ₂ —C(CH ₃)=CH ₂
2110	CFCICF ₃	H	H	CH ₂ —CCH
2111	CFCICF ₃	H	Me	CH ₂ —CCH
2112	CFCICF ₃	H	H	CH(CH ₃)CH ₂ CH ₃
2113	CFCICF ₃	H	H	CH(CH ₃)cPr
2114	CFCICF ₃	H	H	CH(CH ₃)(CH ₂) ₂ CH ₃
2115	CFCICF ₃	H	H	CH(CH ₃)(CH ₂) ₄ CH ₃
2116	CFCICF ₃	H	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
2117	CFCICF ₃	H	H	CH(CH ₃)C(CH ₃) ₃
2118	CFCICF ₃	H	H	CH(CH ₃)CH(CH ₃) ₂
2119	CFCICF ₃	H	H	CH(CH ₂ CH ₃) ₂

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TABLE 1-continued

Compounds of the formula (I)				
Ex.	R ¹	R ²	R ³	R ⁴
2120	CFCICF ₃	H	H	CH—CH(OMe) ₂
2121	CFCICF ₃	H	H	CH—CH(OEt) ₂
2122	CFCICF ₃	H	H	CH ₂ CH ₂ —OH
2123	CFCICF ₃	H	H	CH ₂ CH ₂ —OMe
2124	CFCICF ₃	H	Me	CH ₂ CH ₂ —OMe
2125	CFCICF ₃	H	H	CH(CH ₃)CH ₂ —OMe
2126	CFCICF ₃	H	H	CH ₂ CH ₂ CH ₂ —OMe
2127	CFCICF ₃	H	H	CH ₂ —COOCH ₃
2128	CFCICF ₃	H	Me	CH ₂ —COOCH ₃
2129	CFCICF ₃	H	H	CH(CH ₃)COOMe
2130	CFCICF ₃	H	H	CH(CH ₃)COOEt
2131	CFCICF ₃	H	H	CH ₂ CH ₂ —COOCH ₃
2132	CFCICF ₃	Br	H	H
2133	CFCICF ₃	Br	H	Me
2134	CFCICF ₃	Br	H	Et
2135	CFCICF ₃	Br	H	Pr
2136	CFCICF ₃	Br	H	iPr
2137	CFCICF ₃	Br	H	cPr
2138	CFCICF ₃	Br	H	Bu
2139	CFCICF ₃	Br	H	cBu
2140	CFCICF ₃	Br	H	tBu
2141	CFCICF ₃	Br	Me	Me
2142	CFCICF ₃	Br	Et	Et
2143	CFCICF ₃	Br	H	CH ₂ —cPr
2144	CFCICF ₃	Br	H	CH ₂ —C(CH ₃) ₃
2145	CFCICF ₃	Br	H	CH ₂ CH(CH ₃) ₂
2146	CFCICF ₃	Br	H	CH ₂ CH(CH ₂ CH ₃) ₂
2147	CFCICF ₃	Br	H	CH ₂ CH ₂ CH(CH ₃) ₂
2148	CFCICF ₃	Br	H	CH ₂ CH ₂ C(CH ₃) ₃
2149	CFCICF ₃	Br	H	CH ₂ CH=CH ₂
2150	CFCICF ₃	Br	Me	CH ₂ CH=CH ₂
2151	CFCICF ₃	Br	H	CH ₂ —C(CH ₃)=CH ₂
2152	CFCICF ₃	Br	H	CH ₂ —C=CH
2153	CFCICF ₃	Br	Me	CH ₂ —C=CH
2154	CFCICF ₃	Br	H	CH(CH ₃)CH ₂ CH ₃
2155	CFCICF ₃	Br	H	CH(CH ₃)cPr
2156	CFCICF ₃	Br	H	CH(CH ₃)(CH ₂) ₂ CH ₃
2157	CFCICF ₃	Br	H	CH(CH ₃)(CH ₂) ₄ CH ₃
2158	CFCICF ₃	Br	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
2159	CFCICF ₃	Br	H	CH(CH ₃)C(CH ₃) ₃
2160	CFCICF ₃	Br	H	CH(CH ₃)CH(CH ₃) ₂
2161	CFCICF ₃	Br	H	CH(CH ₂ CH ₃) ₂
2162	CFCICF ₃	Br	H	CH ₂ —CH(OMe) ₂
2163	CFCICF ₃	Br	H	CH ₂ —CH(OEt) ₂
2164	CFCICF ₃	Br	H	CH ₂ CH ₂ —OH
2165	CFCICF ₃	Br	H	CH ₂ CH ₂ —OMe
2166	CFCICF ₃	Br	Me	CH ₂ CH ₂ —OMe
2167	CFCICF ₃	Br	H	CH(CH ₃)CH ₂ —OMe
2168	CFCICF ₃	Br	H	CH ₂ CH ₂ CH ₂ —OMe
2169	CFCICF ₃	Br	H	CH ₂ —COOCH ₃
2170	CFCICF ₃	Br	Me	CH ₂ —COOCH ₃
2171	CFCICF ₃	Br	H	CH(CH ₃)COOMe
2172	CFCICF ₃	Br	H	CH(CH ₃)COOEt
2173	CFCICF ₃	Br	H	CH ₂ CH ₂ —COOCH ₃

TABLE 2

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
1	[CDCl ₃] 3.03 (d, 3H); 6.88 (d, 1H); 8.65 (d, 1H); 9.22 (br, 1H)
2	[CDCl ₃] 1.25 (t, 3H); 3.5 (m, 2H); 6.92 (d, 1H); 8.72 (d, 1H); 9.33 (br, 1H), 13.4 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
3	[CDCl ₃] 1.02 (t, 3H); 1.62 (m, 2H); 3.42 (m, 2H); 6.90 (d, 1H); 8.70 (d, 1H); 9.35 (br, 1H); 13.3 (br, 1H)
4	[CDCl ₃] 1.25 (d, 6H); 4.22 (m, 1H); 6.90 (d, 1H); 8.68 (d, 1H); 9.22 (d, br, 1H)
5	[CDCl ₃] 0.61 (m, 2H); 0.89 (m, 2H); 3.00 (m, 1H); 6.90 (d, 1H); 8.68 (d, 1H); 9.31 (br, 1H)
6	[CDCl ₃] 0.96 (t, 3H); 1.41 (m, 2H); 1.61 (m, 2H); 3.48 (q, 2H); 6.90 (d, 1H); 8.70 (d, 1H); 9.31 (br, 1H); 13.3 (br, 1H)
7	[CDCl ₃] 1.80 (m, 2H); 2.00 (m, 2H); 2.42 (m, 2H); 4.55 (m, 1H); 6.88 (d, 1H); 8.65 (d, 1H); 9.50 (br, 1H)
8	[CDCl ₃] 1.44 (s, 9H); 6.90 (d, 1H); 8.62 (d, 1H); 9.32 (br, 1H); 13.4 (br, 1H)
9	[CDCl ₃] 3.05 (s, 6H); 7.10 (d, 1H); 7.77 (d, 1H)
10	[CDCl ₃] 1.22 (t, 3H); 3.02 (s, 3H); 3.46 (m, 2H); 7.08 (d, 1H); 7.77 (d, 1H)
11	[CDCl ₃] 0.90 (t, 3H); 1.30 (br, 2H); 1.60 (m, 2H); 3.03 (s, 3H); 3.42 (br, 2H); 7.10 (d, 1H); 7.74 (d, 1H)
13	[CDCl ₃] 1.20 (d, 6H); 2.90 (s, 3H); 4.05 (m, br, 1H); 7.03 (d, 1H); 8.68 (d, 1H); 11.2 (br)
14	[CDCl ₃] 1.20 (t, 6H); 3.40 (m, 4H); 7.05 (d, 1H); 8.70 (d, 1H); 11.1 (br)
16	[CDCl ₃] 1.21 (m, 9H); 3.39 (q, 2H); 4.0 (br, 1H); 7.04 (d, 1H); 7.68 (d, 1H); 10.6 (br, 1H)
18	[CDCl ₃] 1.5-1.8 (m, 8H); 4.40 (m, 1H); 6.90 (d, 1H); 8.68 (d, 1H); 9.40 (d, br, 1H); 13.5 (br)
19	[CDCl ₃] 1.2-1.5 (m, 6H); 1.76 (m, 2H); 2.00 (m, 2H); 4.00 (m, 1H); 6.90 (d, 1H); 8.68 (d, 1H); 9.30 (d, br, 1H); 13.4 (br, 1H)
20	[CDCl ₃] 0.90 (t, 3H); 1.38 (m, 4H); 1.61 (m, 2H); 3.41 (m, 2H); 6.86 (d, 1H); 8.63 (d, 1H); 9.20 (t, 1H)
22	[CDCl ₃] 0.30 (m, 2H); 0.60 (m, 2H); 1.05 (m, 1H); 3.30 (m, 2H); 6.90 (d, 1H); 8.70 (d, 1H); 9.38 (br, 1H)
24	[CDCl ₃] 1.00 (s, 9H); 3.28 (d, 2H); 6.90 (d, 1H); 8.73 (d, 1H); 9.4 (br, 1H); 13.2 (br, 1H)
25	[CDCl ₃] 4.18 (dt, 2H); 6.92 (d, 1H); 8.72 (d, 1H); 9.8 (t, br, 1H)
26	[CDCl ₃] 4.11 (m, 2H); 6.90 (d, 1H); 8.70 (d, 1H); 9.80 (br, 1H); 12.16 (br, 1H)
27	[CDCl ₃] 4.22 (dt, 2H); 6.93 (d, 1H); 8.72 (d, 1H); 9.80 (t, br, 1H)
30	[CDCl ₃] 1.00 (d, 6H); 1.87 (m, 1H); 3.29 (t, 2H); 6.88 (d, 1H); 8.64 (d, 1H); 9.32 (br, 1H); 12.5 (br, 1H)
32	[CDCl ₃] 0.95 (d, 6H); 1.48 (m, 2H); 1.70 (m, 1H); 3.48 (m, 2H); 6.88 (d, 1H); 8.65 (d, 1H); 9.22 (br, 1H); 12.6 (br)
34	[CDCl ₃] 4.10 (t, 2H); 5.22 (dd, 2H); 5.95 (m, 1H); 6.90 (d, 1H); 8.70 (d, 1H); 9.40 (br, 1H); 12.7 (br, 1H)
36	[CDCl ₃] 4.0 (m, 4H); 5.21 (d, 4H); 5.80 (m, 2H); 7.04 (d, 1H); 7.78 (d, 1H); 11.0 (br)
38	[CDCl ₃] 1.80 (s, 3H); 4.02 (d, 2H); 4.93 (d, 2H); 6.89 (d, 1H); 8.70 (d, 1H); 9.43 (br, 1H); 13.2 (br, 1H)
39	[CDCl ₃] 2.24 (t, 1H); 4.22 (m, 2H); 6.88 (d, 1H); 8.68 (d, 1H); 9.50 (br, 1H)
40	[CDCl ₃] 2.30 (s, 1H); 3.12 (s, 3H); 4.22 (br, 2H); 7.04 (d, 1H); 7.84 (d, 1H); 10.9 (br)
41	[CDCl ₃] 0.95 (t, 3H); 1.22 (d, 3H); 1.58 (m, 2H); 4.10 (m, 1H); 6.88 (d, 1H); 8.66 (d, 1H); 9.20 (br, 1H); 13.0 (br, 1H)
43	[DMSO] 0.93 (t, 3H); 1.16 (d, 3H); 1.30 (m, 2H); 1.48 (m, 2H); 4.00 (m, 1H); 7.35 (br, 1H); 7.39 (d, 1H); 8.40 (d, 1H); 13.40 (br)
44	[DMSO] 0.87 (t, 3H); 1.15 (d, 3H); 1.27 (m, 6H); 1.48 (m, 2H); 4.00 (m, 1H); 7.33 (d, 1H); 8.40 (d, 1H); 8.80 (br, 1H); 13.4 (br, 1H)
47	[CDCl ₃] 0.93 (d, 6H); 1.22 (d, 3H); 1.35 (m, 1H); 1.50 (m, 1H); 1.65 (m, 1H); 4.23 (m, 1H); 6.90 (d, 1H); 8.64 (d, 1H); 9.20 (d, br, 1H); 13.3 (br, 1H)
48	[CDCl ₃] 0.96 (s, 9H); 1.18 (d, 3H); 4.10 (m, 1H); 6.90 (d, 1H); 8.74 (d, 1H); 9.37 (d, br, 1H); 13.6 (br, 1H)
49	[CDCl ₃] 0.95 (dt, 6H); 1.20 (d, 3H); 1.80 (m, 1H); 4.07 (m, 1H); 6.90 (d, 1H); 8.70 (d, 1H); 9.30 (d, br, 1H); 13.6 (br)
51	[DMSO] 0.85 (t, 6H); 1.45 (m, 2H); 1.55 (m, 2H); 3.80 (m, 1H); 7.33 (d, br, 1H); 8.38 (d, 1H); 8.65 (br, 1H); 13.5 (br, 1H)
54	[CDCl ₃] 3.40 (s, 6H); 3.62 (t, 2H); 4.50 (t, 1H); 6.89 (d, 1H); 8.65 (d, 1H); 9.30 (br, 1H); 12.4 (br, 1H)
55	[CDCl ₃] 1.21 (t, 6H); 3.60 (m, 4H); 3.72 (m, 2H); 4.61 (t, 1H); 6.88 (d, 1H); 8.61 (d, 1H); 9.28 (br, 1H); 12.0 (br)
56	[DMSO] 3.40 (m, 2H); 3.53 (m, 2H); 7.32 (d, br, 1H); 8.45 (d, 1H); 9.05 (br, 1H); 13.6 (br, 1H)
57	[CDCl ₃] 3.40 (s, 3H); 3.56 (t, 2H); 3.64 (m, 2H); 6.90 (d, 1H); 8.65 (d, 1H); 9.35 (br, 1H)
64	[CDCl ₃] 1.25 (d, 3H); 3.40 (s, 3H); 3.43 (d, 2H); 4.37 (m, 1H); 6.89 (d, 1H); 8.64 (d, 1H); 9.30 (d, br, 1H); 13.0 (br, 1H)
66	[CDCl ₃] 1.90 (m, 2H); 3.34 (s, 3H); 3.46 (t, 2H); 3.55 (q, 2H); 6.90 (d, 1H); 8.60 (d, 1H); 9.23 (br, 1H); 12.5 (br)
71	[CDCl ₃] 3.80 (s, 3H); 4.22 (d, 2H); 6.88 (d, 1H); 8.64 (d, 1H); 9.62 (t, 1H)
72	[CDCl ₃] 3.10 (s, 3H); 3.75 (s, 3H); 4.25 (s, 2H); 7.18 (d, 1H); 7.80 (d, 1H)
75	[CDCl ₃] 2.64 (t, 2H); 3.69 (s, 3H); 3.73 (m, 2H); 6.88 (d, 1H); 8.61 (d, 1H); 9.41 (t, br, 1H); 12.2 (br, 1H)
76	[CDCl ₃] 3.83 (s, 6H); 5.42 (d, 1H); 6.90 (d, 1H); 8.63 (d, 1H); 10.2 (br, 1H)
77	[CDCl ₃] 0.99 (t, 6H); 1.25 (t, 3H); 1.75 (m, 3H); 4.20 (m, 2H); 4.72 (m, 1H); 6.90 (d, 1H); 8.64 (d, 1H); 9.60 (d, br, 1H)
78	[CDCl ₃] 1.05 (t, 6H); 2.30 (m, 1H); 3.78 (s, 3H); 4.72 (m, 1H); 6.90 (d, 1H); 8.70 (d, 1H); 9.76 (d, br, 1H); 13.6 (br, 1H)
79	[CDCl ₃] 1.35 (t, 3H); 4.10 (q, 2H); 6.91 (d, 1H); 8.71 (d, 1H); 11.46 (s, 1H)
80	[CDCl ₃] 3.41 (s, 3H); 3.68 (s, 3H); 7.18 (d, 1H); 8.32 (d, 1H)
81	[CDCl ₃] 4.50 (d, 2H); 5.3 (m, 2H); 6.0 (m, 1H); 6.90 (d, 1H); 8.70 (d, 1H); 11.43 (s, 1H)
82	[CDCl ₃] 1.36 (s, 9H); 6.92 (d, 1H); 8.72 (d, 1H); 11.22 (s, 1H); 13.0 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
92	[CDCl ₃] 4.62 (d, 2H), 6.84 (d, 1H); 7.3 (m, 5H); 8.70 (d, 1H); 9.65 (br, 1H), 13.0 (br, 1H)
93	[CDCl ₃] 2.95 (s, 3H); 4.65 (br, 2H); 7.05 (d, 1H); 7.3 (m, 5H), 7.82 (d, 1H); 11.7 (br)
94	[DMSO] 4.55 (d, 2H); 7.33 (d, 1H); 7.37 (dd, 1H); 7.75 (dt, 1H); 8.40 (d, 1H); 8.48 (dd, 1H); 8.58 (s, 1H); 9.45 (br, 1H); 13.4 (br, 1H)
95	[DMSO] 4.55 (d, 2H); 7.30 (d, br, 1H); 7.50 (d, 1H); 7.80 (dd, 1H); 8.37 (m, 2H); 9.55 (br, 1H); 13.4 (br, 1H)
96	[CDCl ₃] 1.59 (d, 3H); 5.25 (m, 1H); 6.88 (d, 1H); 7.2-7.4 (m, 5H); 8.68 (d, 1H); 9.75 (d, br, 1H); 13.5 (br, 1H)
97	[CDCl ₃] 2.94 (t, 2H); 3.70 (m, 2H); 6.85 (d, 1H); 7.2-7.4 (m, 5H); 8.62 (d, 1H); 9.24 (br, 1H)
98	[CDCl ₃] 4.81 (d, 2H); 6.85 (d, 1H); 7.40 (t, 1H); 7.52 (t, 1H); 7.61 (d, 1H); 7.67 (d, 1H); 8.68 (d, 1H); 9.68 (t, br, 1H)
99	[DMSO] 2.28 (q, 2H); 3.20 (q, 2H); 4.03 (t, 1H); 7.1-7.4 (m, 11H); 8.35 (d, 1H); 9.0 (br), 13.4 (br, 1H)
100	[CDCl ₃] 3.45-3.55 (br, 4H); 3.75 (m, 4H); 7.03 (d, 1H); 7.80 (d, 1H); 11.3 (br, 1H)
101	[CDCl ₃] 1.65 (m, 6H); 3.52 (m, 4H); 7.10 (d, 1H); 7.72 (d, 1H)
102	[CDCl ₃] 3.06 (t, 2H); 3.90 (m, 2H); 4.65 (m, 2H); 7.08 (d, 1H); 7.90 (d, 1H); 11.0 (br)
103	[CDCl ₃] 2.00 (m, 4H); 3.67 (m, 4H); 7.20 (d, 1H); 7.97 (d, 1H), 11.7 (br, 1H)
104	[CDCl ₃] 1.30 (m, 3H); 1.65 (m, 1H); 1.85 (m, 1H); 2.00 (m, 1H); 2.19 (m, 1H); 3.62 (m, 2H); 4.30 (m, 1H); 7.10 (d, 1H); 7.89 (d, 1H)
105	[CDCl ₃] 3.25 (s, 3H); 3.35 (3.3H); 7.25 (d, 1H); 8.58 (d, 1H); 8.76 (s, 1H)
112	[CDCl ₃] 1.95 (m, 1H); 2.65 (m, 1H); 2.90 (m, 1H); 3.05 (m, 1H); 5.60 (m, 1H); 6.85 (d, 1H); 7.2-7.4 (m, 4H); 8.68 (d, 1H); 9.52 (d, br, 1H)
113	[CDCl ₃] 1.60 (m, 1H), 1.90 (m, 2H), 2.01 (m, 1H); 3.42 (m, 1H), 3.65-3.82 (m, 2H), 3.90 (m, 1H), 4.09 (m, 1H); 6.88 (d, 1H); 8.63 (d, 1H); 9.37 (br, 1H)
114	[DMSO] 7.35 (d, 1H); 8.10 (br, 1H); 8.40 (d, 1H); 8.41 (br, 1H); 13.6 (br, 1H)
115	[CDCl ₃] 3.01 (d, 3H); 6.81 (d, 1H); 8.63 (d, 1H); 9.22 (br, 1H); 11.7 (br, 1H)
116	[CDCl ₃] 1.25 (t, 3H); 3.5 (m, 2H); 6.85 (d, 1H); 8.66 (d, 1H), 9.33 (br, 1H), 13.0 (br, 1H)
117	[CDCl ₃] 1.01 (t, 3H); 1.62 (m, 2H); 3.42 (m, 2H); 6.86 (d, 1H); 8.66 (d, 1H); 9.35 (br, 1H); 12.6 (br, 1H)
118	[CDCl ₃] 1.27 (d, 6H); 4.25 (m, 1H); 6.85 (d, 1H); 8.64 (d, 1H); 9.27 (br, 1H); 13.1 (br, 1H)
119	[CDCl ₃] 0.62 (m, 2H); 0.85 (m, 2H); 3.02 (m, 1H); 6.84 (d, 1H); 8.78 (d, 1H), 9.33 (br, 1H)
120	[CDCl ₃] 0.98 (t, 3H); 1.41 (m, 2H); 1.61 (m, 2H); 3.45 (q, 2H); 6.83 (d, 1H); 8.65 (d, 1H); 9.33 (br, 1H); 12.9 (br, 1H)
121	[CDCl ₃] 1.80 (m, 2H); 2.05 (m, 2H); 2.44 (m, 2H); 4.58 (m, 1H); 6.84 (d, 1H); 8.63 (d, 1H); 9.60 (br, 1H); 13.1 (br)
122	[CDCl ₃] 1.46 (s, 9H); 6.86 (d, 1H); 8.62 (d, 1H); 9.34 (br, 1H); 13.4 (br, 1H)
123	[CDCl ₃] 3.08 (s, 6H); 7.06 (d, 1H); 7.79 (d, 1H)
124	[CDCl ₃] 1.23 (t, 3H); 3.04 (s, 3H); 3.46 (m, 2H); 7.02 (d, 1H); 7.72 (d, 1H)
125	[CDCl ₃] 0.92 (t, 3H); 1.30 (br, 2H); 1.60 (m, 2H); 3.04 (s, 3H); 3.41 (br, 2H); 7.04 (d, 1H); 7.71 (d, 1H)
127	[CDCl ₃] 1.20 (d, 6H); 2.90 (s, 3H); 4.05 (m, br, 1H); 7.00 (d, 1H); 7.68 (d, 1H)
128	[CDCl ₃] 1.20 (t, 6H); 3.41 (m, 4H); 6.98 (d, 1H); 7.66 d, 1H); 11.0 (br)
130	[CDCl ₃] 1.20 (m, 9H); 3.40 (q, 2H); 4.06 (m, br, 1H); 6.98 (d, 1H); 8.64 (d, 1H); 10.2 (br)
132	[CDCl ₃] 1.5-1.8 (m, 8H); 4.40 (m, 1H); 6.85 (d, 1H); 8.65 (d, 1H); 9.40 (d, br, 1H); 13.1 (br, 1H)
133	[CDCl ₃] 1.2-1.7 (m, 6H); 1.80 (m, 2H); 2.00 (m, 2H); 3.98 (m, 1H); 6.85 (d, 1H); 8.64 (d, 1H); 9.30 (d, br, 1H); 13.0 (br)
134	[CDCl ₃] 0.90 (t, 3H); 1.40 (m, 4H); 1.62 (m, 2H); 3.44 (m, 2H); 6.84 (d, 1H); 8.64 (d, 1H); 9.30 (br, 1H)
136	[CDCl ₃] 0.30 (m, 2H); 0.59 (m, 2H); 1.05 (m, 1H); 3.32 (m, 2H); 6.86 (d, 1H), 8.65 (d, 1H); 9.40 (br)
138	[CDCl ₃] 1.00 (s, 9H); 3.26 (d, 2H); 6.86 (d, 1H); 8.70 (d, 1H); 9.42 (br, 1H); 12.5 (br, 1H)
139	[DMSO] 4.25 (dt, 2H); 7.25 (d, 1H); 8.40 (d, 1H); 9.35 (br, 1H); 13.5 (br, 1H)
140	[CDCl ₃] 4.15 (m, 2H); 6.88 (d, 1H); 8.70 (d, 1H); 9.80 (br, 1H)
141	[CDCl ₃] 4.20 (dt, 2H); 6.90 (d, 1H); 8.70 (d, 1H); 9.83 (t, br, 1H); 12.80 (br)
144	[CDCl ₃] 1.00 (d, 6H); 1.90 (m, 1H); 3.30 (t, 2H); 6.83 (d, 1H); 8.65 (d, 1H); 9.35 (br, 1H); 12.2 (br)
146	[CDCl ₃] 0.96 (d, 6H); 1.50 (m, 2H); 1.70 (m, 1H); 3.48 (m, 2H); 6.83 (d, 1H); 8.67 (d, 1H); 9.30 (br, 1H), 12.9 (br, 1H)
148	[CDCl ₃] 4.62 (d, 2H); 5.36 (dd, 2H); 5.95 (m, 1H); 7.80 (d, 1H); 8.65 (d, 1H)
150	[CDCl ₃] 4.0 (m, 4H); 5.22 (d, 4H); 5.80 (m, 2H); 6.93 (d, 1H); 7.72 (d, 1H)
152	[CDCl ₃] 1.80 (s, 3H); 4.02 (d, 2H); 4.90 (d, 2H); 6.85 (d, 1H); 8.68 (d, 1H); 9.48 (br, 1H); 12.8 (br, 1H)
153	[CDCl ₃] 2.26 (t, 1H); 4.23 (m, 2H); 6.84 (d, 1H); 8.64 (d, 1H); 9.58 (br, 1H); 12.4 (br)
154	[CDCl ₃] 2.30 (s, 1H); 3.12 (s, 3H); 4.24 (br, 2H); 7.01 (d, 1H); 7.82 (d, 1H); 10.9 (br)
155	[CDCl ₃] 0.98 (t, 3H); 1.22 (d, 3H); 1.59 (m, 2H); 4.10 (m, 1H); 6.85 (d, 1H); 8.65 (d, 1H); 9.26 (d, br, 1H); 13.4 (br, 1H)
157	[CDCl ₃] 0.92 (t, 3H); 1.22 (d, 3H); 1.40 (m, 2H); 1.55 (m, 2H); 4.20 (m, 1H); 6.85 (d, 1H); 8.68 (d, 1H); 9.30 (d, br, 1H)
158	[CDCl ₃] 0.87 (t, 3H); 1.12 (d, 3H); 1.30 (m, 4H); 1.58 (m, 4H); 4.15 (m, 1H); 6.84 (d, 1H); 8.65 (d, 1H); 9.24 (br, 1H), 13.1 (br)
161	[CDCl ₃] 0.90 (d, 6H); 1.22 (d, 3H), 1.30 (m, 1H); 1.55 (m, 2H); 4.23 (m, 1H); 6.86 (d, 1H); 8.64 (d, 1H); 9.20 (d, br, 1H); 13.0 (br, 1H)
162	[CDCl ₃] 0.98 (s, 9H); 1.20 (d, 3H); 4.10 (m, 1H); 6.87 (d, 1H); 8.70 (d, 1H); 9.38 (d, br, 1H), 13.2 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
163	[CDCl ₃] 0.95 (dt, 6H); 1.20 (d, 3H); 1.82 (m, 1H); 4.05 (m, 1H); 6.84 (d, 1H); 8.68 (d, 1H); 9.30 (d, br, 1H); 13.1 (br)
165	[CDCl ₃] 0.96 (t, 6H); 1.50 (m, 2H); 1.65 (m, 2H); 4.00 (m, 1H); 6.85 (d, 1H); 8.68 (d, 1H); 9.22 (d, br, 1H); 13.5 (br, 1H)
166	[CDCl ₃] 0.90 (t, 3H); 1.41 (s; 6H); 1.85 (q, 2H); 6.82 (d, 1H); 8.61 (d, 1H); 9.20 (br, 1H); 13.1 (br)
168	[CDCl ₃] 3.40 (s, 6H); 3.63 (t, 2H); 4.50 (t, 1H); 6.85 (d, 1H); 8.65 (d, 1H); 9.40 (br, 1H); 12.4 (br, 1H)
169	[CDCl ₃] 1.21 (t, 6H); 3.60 (m, 4H); 3.74 (m, 1H); 4.62 (t, 1H); 6.84 (d, 1H); 8.60 (d, 1H); 9.35 (br, 1H); 11.5 (br)
171	[CDCl ₃] 3.40 (s, 3H); 3.58 (m, 2H); 3.67 (m, 2H); 6.84 (d, 1H); 8.62 (d, 1H); 9.40 (br, 1H)
178	[CDCl ₃] 1.24 (d, 3H); 3.40 (s, 3H); 3.42 (d, 2H); 4.40 (m, 1H); 6.86 (d, 1H); 8.64 (d, 1H); 9.35 (br, 1H); 13.0 (br)
180	[DMSO] 1.75 (m, 2H); 3.22 (s, 3H); 3.36 (m, 4H); 7.3 (br, 1H); 8.4 (d, 1H); 9.0 (br); 13.4 (br, 1H)
185	[DMSO] 3.65 (s, 3H); 4.12 (d, 2H); 7.28 (d, br, 1H); 8.42 (d, 1H); 9.25 (t, br, 1H); 13.6 (br, 1H)
189	[CDCl ₃] 2.66 (t, 2H); 3.70 (s, 3H); 3.76 (m, 2H); 6.83 (d, 1H); 8.61 (d, 1H); 9.51 (t, br, 1H); 12.4 (br, 1H)
193	[CDCl ₃] 1.37 (t, 3H); 4.08 (q, 2H); 6.88 (d, 1H); 8.69 (d, 1H); 11.48 (s, 1H)
194	[CDCl ₃] 3.88 (s, 3H); 6.88 (d, 1H); 8.65 (d, 1H); 11.5 (s, 1H)
195	[CDCl ₃] 4.50 (d, 2H); 5.34 (m, 2H); 6.05 (m, 1H); 6.88 (d, 1H); 8.70 (d, 1H); 11.44 (s, 1H)
196	[CDCl ₃] 1.39 (s, 9H); 6.88 (d, 1H); 8.70 (d, 1H); 11.22 (s, 1H)
206	[CDCl ₃] 4.62 (d, 2H); 6.82 (d, 1H); 7.2-7.3 (m, 5H); 8.68 (d, 1H); 9.65 (t, br, 1H); 12.8 (br, 1H)
207	[CDCl ₃] 2.99 (s, 3H); 4.67 (br, 2H); 7.00 (d, 1H); 7.3-7.4 (m, 5H); 7.78 (d, 1H); 10.2 (br)
210	[CDCl ₃] 1.60 (d, 3H); 5.30 (m, 1H); 6.85 (d, 1H); 7.2-7.4 (m, 5H); 8.65 (d, 1H); 9.78 (d, br, 1H); 13.2 (br, 1H)
211	[CDCl ₃] 2.95 (t, 2H); 3.70 (m, 2H); 6.82 (d, 1H); 7.2-7.35 (m, 5H); 8.63 (d, 1H); 9.40 (t, br, 1H); 12.2 (br, 1H)
214	[CDCl ₃] 3.45-3.55 (br, 4H); 3.75 (m, 4H); 6.96 (d, 1H); 7.78 (d, 1H)
215	[CDCl ₃] 1.65 (m, 6H); 3.53 (m, 4H); 7.00 (d, 1H); 7.70 (d, 1H)
216	[CDCl ₃] 3.05 (t, 2H); 3.92 (m, 2H); 4.66 (m, 2H); 7.04 (d, 1H); 7.86 (d, 1H); 10.4 (br)
217	[CDCl ₃] 2.00 (m, 4H); 3.70 (m, 4H); 7.16 (d, 1H); 7.97 (d, 1H); 11.7 (br, 1H)
218	[CDCl ₃] 1.30 (m, 3H); 1.65 (m, 1H); 1.85 (m, 1H); 2.04 (m, 1H); 2.20 (m, 1H); 3.63 (m, 2H); 4.33 (m, 1H); 7.12 (d, 1H); 7.89 (d, 1H); 11.5 (br, 1H)
227	[CDCl ₃] 1.60 (m, 1H); 1.90 (m, 2H); 2.00 (m, 1H); 3.42 (m, 1H); 3.65-3.82 (m, 2H); 3.90 (m, 1H); 4.10 (m, 1H); 6.88 (d, 1H); 8.65 (d, 1H); 9.5 (br, 1H)
228	[DMSO] 6.80 (br, 1H); 6.90 (t, 1H); 7.72 (br, 1H); 8.40 (d, 1H); 8.90 (br, 1H); 13.20 (br, 1H)
229	[DMSO] 2.82 (d, 3H); 6.75 (d, br, 1H); 6.90 (t, 1H); 8.89 (d, 1H); 9.50 (br, 1H); 13.2 (br, 1H)
230	[DMSO] 1.11 (t, 3H); 3.32 (m, 2H); 6.75 (d, br, 1H); 6.90 (t, 1H); 8.39 (d, 1H); 9.60 (br, 1H); 13.2 (br, 1H)
232	[CDCl ₃] 1.25 (d, 6H); 4.22 (m, 1H); 6.55 (t, 1H); 6.69 (d, 1H); 8.64 (d, 1H); 9.42 (d, br, 1H); 13.0 (br, 1H)
233	[DMSO] 0.51 (m, 2H); 0.74 (m, 2H); 2.84 (m, 1H); 6.79 (d, br, 1H); 6.90 (t, 1H); 8.37 (d, 1H); 9.65 (br, 1H); 13.1 (br, 1H)
250	[DMSO] 0.23 (m, 2H); 0.46 (m, 2H); 1.02 (m, 1H); 3.20 (m, 2H); 6.75 (br, 1H); 6.92 (t, 1H); 8.40 (d, 1H); 9.70 (br, 1H); 13.1 (br, 1H)
252	[DMSO] 0.91 (s, 9H); 3.15 (d, 2H); 6.80 (br, 1H); 6.91 (t, 1H); 8.40 (d, 1H); 9.85 (br, 1H); 13.2 (br, 1H)
258	[DMSO] 0.90 (d, 6H); 1.80 (m, 1H); 3.15 (t, 2H); 6.80 (br, 1H); 6.91 (t, 1H); 8.40 (d, 1H); 9.73 (br, 1H); 13.1 (br, 1H)
262	[DMSO] 3.96 (m, 2H); 5.15 (dd, 2H); 5.94 (m, 1H); 6.80 (br, 1H); 6.92 (t, 1H); 8.40 (d, 1H); 9.75 (br, 1H); 13.2 (br, 1H)
271	[CDCl ₃] 0.92 (t, 3H); 1.25 (d, 3H); 1.42 (m, 2H); 1.55 (m, 2H); 4.19 (m, 1H); 6.60 (t, 1H); 6.73 (d, 1H); 8.66 (d, 1H); 9.50 (d, br, 1H)
272	[CDCl ₃] 0.90 (t, 3H); 1.2-1.4 (m, 9H); 1.58 (m, 2H); 4.17 (m, 1H); 6.60 (t, 1H); 6.75 (d, 1H); 8.68 (d, 1H); 9.48 (d, br, 1H)
279	[CDCl ₃] 0.85 (t, 6H); 1.45 (m, 2H); 1.55 (m, 2H); 3.80 (m, 1H); 6.80 (br, 1H); 6.91 (t, 1H); 8.40 (d, 1H); 9.51 (br, 1H); 13.1 (br, 1H)
280	[DMSO] 0.86 (t, 3H); 1.12 (d, 3H); 1.50 (m, 2H); 3.90 (m, 1H); 6.75 (br, 1H); 6.91 (t, 1H); 8.39 (d, 1H); 9.57 (br, 1H); 13.1 (br, 1H)
285	[DMSO] 3.29 (s, 3H); 3.46 (m, 4H); 6.76 (br, 1H); 6.91 (t, 1H); 8.50 (d, 1H); 9.73 (br, 1H); 13.1 (br, 1H)
291	[DMSO] 1.14 (d, 3H); 3.27 (s, 3H); 3.35 (m, 2H); 4.15 (m, 1H); 6.80 (br, 1H); 6.91 (t, 1H); 8.40 (d, 1H); 9.70 (br, 1H); 13.1 (br, 1H)
293	[DMSO] 1.72 (m, 2H); 3.22 (s, 3H); 3.37 (m, 4H); 6.75 (br, 1H); 6.90 (t, 1H); 8.40 (d, 1H); 9.70 (br, 1H); 13.2 (br, 1H)
341	[DMSO] 7.45 (d, 1H); 8.15 (br, 1H); 8.45 (br, 1H); 8.50 (d, 1H); 13.7 (br, 1H)
342	[CDCl ₃] 3.01 (d, 3H); 6.90 (d, 1H); 8.72 (d, 1H); 9.34 (br, 1H)
343	[DMSO] 1.11 (t, 3H); 3.31 (m, 2H); 7.41 (d, 1H); 8.42 (d, 1H); 8.85 (br, 1H); 13.4 (br, 1H)
344	[CDCl ₃] 1.02 (t, 3H); 1.61 (m, 2H); 3.42 (q, 2H); 6.88 (d, 1H); 8.72 (d, 1H); 9.38 (br, 1H)
345	[CDCl ₃] 1.25 (d, 6H); 4.25 (m, 1H); 6.90 (d, 1H); 8.72 (d, 1H); 9.30 (d, br, 1H); 13.8 (br, 1H)
346	[CDCl ₃] 0.60 (m, 2H); 0.88 (m, 2H); 3.02 (m, 1H); 6.90 (d, 1H); 8.72 (d, 1H); 9.42 (br, 1H); 13.4 (br)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No. 1H-NMR data	
347	[DMSO] 0.88 (t, 3H); 1.34 (m, 2H); 1.50 (m, 2H); 3.31 (q, 2H); 7.27 (d, 1H); 8.35 (d, 1H); 9.21 (br, 1H); 12.4 (br, 1H)
348	[DMSO] 1.70 (m, 2H); 2.02 (m, 2H); 2.27 (m, 2H); 4.40 (m, 1H); 7.41 (d, 1H); 8.48 (d, 1H); 9.00 (br, 1H); 13.4 (br, 1H)
349	[DMSO] 1.36 (s, 9H); 7.35 (d, br, 1H); 8.40 (d, 1H); 8.60 (br, 1H); 13.3 (br, 1H)
350	[CDCl ₃] 3.08 (s, 6H); 7.08 (d, 1H); 7.81 (d, 1H)
355	[CDCl ₃] 1.21 (t, 6H); 3.42 (m, 4H); 7.04 (d, 1H); 7.71 (d, 1H); 10.8 (br)
363	[DMSO] 0.27 (m, 2H); 0.46 (m, 2H); 1.05 (m, 1H); 3.15 (m, 2H); 7.45 (d, br, 1H); 8.45 (d, 1H); 8.95 (br, 1H); 13.4 (br, 1H)
365	[DMSO] 0.91 (s, 9H); 3.15 (d, 2H); 7.38 (d, br, 1H); 8.40 (d, 1H); 8.83 (br, 1H); 13.4 (br, 1H)
373	[DMSO] 0.90 (d, 6H); 1.42 (m, 2H); 1.63 (m, 1H); 3.33 (m, 2H); 7.40 (d, br, 1H); 8.40 (d, 1H); 8.83 (br, 1H); 13.4 (br, 1H)
375	[DMSO] 3.95 (m, 2H); 5.15 (dd, 2H); 5.90 (m, 1H); 7.40 (d, 1H); 8.41 (d, 1H); 8.98 (br, 1H); 13.4 (br, 1H)
379	[DMSO] 1.73 (s, 3H); 3.88 (m, 2H); 4.75 (d, 2H); 7.40 (d, br, 1H); 8.41 (d, 1H); 8.97 (br, 1H); 13.4 (br, 1H)
380	[DMSO] 3.15 (t, 1H); 4.21 (m, 2H); 7.40 (d, 1H); 8.40 (d, 1H); 9.12 (br, 1H); 13.3 (br, 1H)
382	[CDCl ₃] 0.97 (t, 3H); 1.22 (d, 3H); 1.59 (m, 2H); 4.10 (m, 1H); 6.88 (d, 1H); 8.72 (d, 1H); 9.24 (d, br, 1H); 13.6 (br)
384	[CDCl ₃] 0.92 (t, 3H); 1.21 (d, 3H); 1.40 (m, 2H); 1.50 (m, 2H); 4.20 (m, 1H); 6.88 (d, 1H); 8.72 (d, 1H); 9.28 (d, br, 1H)
385	[DMSO] 0.85 (t, 3H); 1.1-1.35 (m, 9H); 1.50 (m, 2H); 4.00 (m, 1H); 7.41 (d, 1H); 8.40 (d, 1H); 8.65 (br, 1H); 13.4 (br, 1H)
388	[DMSO] 0.88 (d, 6H); 1.15 (d, 3H); 1.28 (m, 1H); 1.48 (m, 1H); 1.62 (m, 1H); 4.11 (m, 1H); 7.40 (d, br, 1H); 8.40 (d, 1H); 8.52 (d, br, 1H); 13.4 (br, 1H)
389	[DMSO] 0.90 (s, 9H); 1.10 (d, 3H); 3.90 (m, 1H); 7.38 (d, br, 1H); 8.40 (d, 1H); 8.70 (br, 1H); 13.4 (br, 1H)
390	[DMSO] 0.79 (dt, 6H); 1.10 (d, 3H); 1.75 (m, 1H); 3.87 (m, 1H); 7.40 (d, br, 1H); 8.41 (d, 1H); 8.65 (d, br, 1H); 13.4 (br, 1H)
392	[CDCl ₃] 0.95 (t, 6H); 1.50 (m, 2H); 1.65 (m, 2H); 4.00 (m, 1H); 6.90 (d, 1H); 8.75 (d, 1H); 9.20 (d, br, 1H); 13.7 (br, 1H)
395	[DMSO] 3.30 (s, 6H); 3.42 (t, 2H); 4.50 (t, 1H); 7.40 (d, br, 1H); 8.45 (d, 1H); 8.90 (br, 1H); 13.4 (br, 1H)
397	[DMSO] 3.38 (m, 2H); 3.52 (m, 2H); 4.80 (t, 1H); 7.40 (d, br, 1H); 8.43 (d, 1H); 8.95 (br, 1H); 13.4 (br, 1H)
398	[CDCl ₃] 3.38 (s, 3H); 3.58 (m, 2H); 3.65 (m, 2H); 6.88 (d, 1H); 8.70 (d, 1H); 9.43 (br, 1H)
405	[CDCl ₃] 1.25 (d, 3H); 3.38 (s, 3H); 3.42 (d, 2H); 4.40 (m, 1H); 6.88 (d, 1H); 8.70 (d, 1H); 9.38 (d, br, 1H)
407	[CDCl ₃] 1.89 (m, 2H); 3.32 (s, 3H); 3.48 (t, 2H); 3.58 (m, 2H); 6.92 (d, 1H); 8.64 (d, 1H); 9.30 (br, 1H)
455	[DMSO] 8.25 (br, 1H); 8.35 (br, 1H); 8.50 (s, 1H); 13.8 (br, 1H)
456	[DMSO] 2.84 (d, 3H); 8.40 (s, 1H); 8.72 (d, br, 1H)
457	[DMSO] 1.12 (t, 3H); 3.35 (q, 2H); 8.40 (s, 1H); 8.75 (t, br, 1H); 13.5 (br, 1H)
458	[DMSO] 0.89 (t, 3H); 1.52 (m, 2H); 3.25 (m, 2H); 8.41 (s, 1H); 8.73 (t, br, 1H); 13.4 (br, 1H)
459	[DMSO] 1.19 (d, 6H); 4.08 (m, 1H); 8.43 (s, 1H); 8.59 (d, br, 1H); 13.4 (br, 1H)
460	[DMSO] 0.59 (m, 2H); 0.75 (m, 2H); 2.87 (m, 1H); 8.39 (s, 1H); 8.73 (d, br, 1H)
461	[DMSO] 0.90 (t, 3H); 1.32 (m, 2H); 1.50 (m, 2H); 3.30 (m, 2H); 8.41 (s, 1H); 8.74 (t, br, 1H); 13.5 (br, 1H)
462	[DMSO] 1.70 (m, 2H); 2.03 (m, 2H); 2.25 (m, 2H); 4.40 (m, 1H); 8.41 (s, 1H); 8.90 (d, br, 1H); 13.5 (br, 1H)
477	[DMSO] 0.25 (m, 2H); 0.47 (m, 2H); 1.02 (m, 1H); 3.19 (t, 2H); 8.45 (s, 1H); 8.80 (t, br, 1H)
479	[DMSO] 0.90 (s, 9H); 3.14 (d, 2H); 8.38 (s, 1H); 8.60 (t, br, 1H); 13.7 (br, 1H)
485	[DMSO] 0.89 (d, 6H); 1.82 (m, 1H); 3.13 (t, 2H); 8.40 (s, 1H); 8.72 (t, br, 1H)
487	[DMSO] 0.90 (d, 6H); 1.41 (q, 2H); 1.62 (m, 1H); 3.32 (m, 2H); 8.40 (s, 1H); 8.72 (t, br, 1H); 13.5 (br, 1H)
489	[CDCl ₃] 4.10 (d, 2H); 5.25 (dd, 2H); 5.93 (m, 1H); 8.60 (s, 1H); 9.10 (br, 1H); 12.4 (br, 1H)
493	[DMSO] 1.71 (s, 3H); 3.86 (d, 2H); 4.84 (d, 2H); 8.40 (s, 1H); 8.85 (t, br, 1H); 13.5 (br)
494	[DMSO] 3.17 (m, 1H); 4.11 (m, 2H); 8.39 (s, 1H); 8.98 (t, br, 1H); 13.4 (br)
496	[CDCl ₃] 0.95 (t, 3H); 1.25 (d, 3H); 1.60 (m, 2H); 4.12 (m, 1H); 8.48 (s, 1H); 8.52 (br, 1H)
498	[CDCl ₃] 0.95 (t, 3H); 1.25 (d, 3H); 1.40 (m, 2H); 1.55 (m, 2H); 4.17 (m, 1H); 8.53 (s, 1H); 8.70 (br, 1H)
499	[CDCl ₃] 0.87 (t, 3H); 1.21 (d, 3H); 1.32 (m, 6H); 1.53 (m, 2H); 4.15 (m, 1H); 8.62 (s, 1H); 8.99 (d, br, 1H); 13.0 (br)
502	[CDCl ₃] 0.91 (d, 6H); 1.23 (d, 3H); 1.35 (m, 1H); 1.48 (m, 1H); 1.66 (m, 1H); 4.25 (m, 1H); 8.62 (s, 1H); 8.96 (d, br, 1H); 12.9 (br, 1H)
504	[CDCl ₃] 0.95 (m, 6H); 1.18 (d, 3H); 1.81 (m, 1H); 4.07 (m, 1H); 8.61 (s, 1H); 8.97 (d, br, 1H); 12.9 (br, 1H)
506	[CDCl ₃] 0.94 (t, 6H); 1.50 (m, 2H); 1.65 (m, 2H); 4.00 (m, 1H); 8.56 (s, 1H); 8.73 (d, br, 1H)
512	[DMSO] 3.28 (s, 3H); 3.48 (m, 4H); 8.42 (s, 1H); 8.78 (br, 1H); 13.7 (br, 1H)
519	[DMSO] 1.16 (d, 3H); 3.30 (s, 3H); 3.42 (m, 2H); 4.18 (m, 1H); 8.42 (s, 1H); 8.60 (d, br, 1H); 13.7 (br, 1H)
521	[DMSO] 1.74 (m, 2H); 3.26 (s, 3H); 3.39 (m, 4H); 8.40 (s, 1H); 8.80 (t, br, 1H); 13.6 (br, 1H)
569	[DMSO] 8.25 (br, 1H); 8.35 (br, 1H); 8.50 (s, 1H); 13.7 (br, 1H)
570	[DMSO] 2.82 (d, 3H); 8.40 (s, 1H); 8.71 (br, 1H); 13.5 (br, 1H)
571	[DMSO] 1.12 (t, 3H); 3.35 (q, 2H); 8.40 (s, 1H); 8.75 (t, br, 1H); 13.7 (br, 1H)
572	[DMSO] 0.89 (t, 3H); 1.52 (m, 2H); 3.26 (m, 2H); 8.39 (s, 1H); 8.82 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No. ¹ H-NMR data	
573	[DMSO] 1.18 (d, 6H); 4.09 (m, 1H); 8.42 (s, 1H); 8.53 (d, br, 1H); 13.5 (br, 1H)
574	[DMSO] 0.59 (m, 2H); 0.74 (m, 2H); 2.85 (m, 1H); 8.37 (s, 1H); 8.72 (d, br, 1H)
575	[DMSO] 0.89 (t, 3H); 1.35 (m, 2H); 1.50 (m, 2H); 3.35 (m, 2H); 8.39 (s, 1H); 8.75 (br, 1H)
576	[DMSO] 1.70 (m, 2H); 2.05 (m, 2H); 2.25 (m, 2H); 4.40 (m, 1H); 8.40 (s, 1H); 8.94 (br, 1H)
591	[DMSO] 0.25 (m, 2H); 0.45 (m, 2H); 1.03 (m, 1H); 3.21 (t, 2H); 8.40 (s, 1H); 8.84 (br, 1H)
599	[DMSO] 0.89 (d, 6H); 1.82 (m, 1H); 3.14 (m, 2H); 8.38 (s, 1H); 8.74 (br, 1H)
601	[CDCl ₃] 0.96 (d, 6H); 1.51 (m, 2H); 1.70 (m, 1H); 3.49 (m, 2H); 8.59 (s, 1H); 9.02 (br, 1H); 12.2 (br)
603	[DMSO] 3.95 (t, 2H); 5.18 (dd, 2H); 5.89 (m, 1H); 8.40 (s, 1H); 8.85 (t, br, 1H); 13.6 (br, 1H)
612	[CDCl ₃] 0.91 (t, 3H); 1.24 (d, 3H); 1.40 (m, 2H); 1.54 (m, 2H); 4.18 (m, 1H); 8.57 (s, 1H); 8.90 (d, br, 1H); 12.2 (br, 1H)
620	[CDCl ₃] 0.94 (t, 6H); 1.51 (m, 2H); 1.67 (m, 2H); 3.99 (m, 1H); 8.57 (s, 1H); 8.82 (d, br, 1H)
626	[DMSO] 3.29 (s, 3H); 3.48 (m, 4H); 8.41 (s, 1H); 8.78 (br, 1H); 13.7 (br, 1H)
633	[CDCl ₃] 1.28 (d, 3H); 3.40 (s, 3H); 3.45 (m, 2H); 4.37 (m, 1H); 8.48 (s, 1H); 8.78 (br, 1H)
635	[DMSO] 1.75 (m, 2H); 3.25 (s, 3H); 3.39 (m, 4H); 8.40 (s, 1H); 8.81 (br, 1H); 13.6 (br, 1H)
797	[DMSO] 8.27 (br, 1H); 8.38 (br, 1H); 8.52 (s, 1H); 13.7 (br, 1H)
798	[DMSO] 2.82 (d, 3H); 8.40 (s, 1H); 8.75 (d, br, 1H); 13.6 (br, 1H)
799	[DMSO] 1.12 (t, 3H); 3.33 (m, 2H); 8.41 (s, 1H); 8.78 (t, br, 1H); 13.6 (br, 1H)
800	[DMSO] 0.89 (t, 3H); 1.52 (m, 2H); 3.27 (q, 2H); 8.41 (s, 1H); 8.76 (t, br, 1H); 13.5 (br, 1H)
801	[DMSO] 1.18 (d, 6H); 4.09 (m, 1H); 8.42 (s, 1H); 8.60 (d, br, 1H)
802	[DMSO] 0.55 (m, 2H); 0.75 (m, 2H); 2.85 (m, 1H); 8.31 (s, 1H); 9.24 (br, 1H); 13.4 (br, 1H)
803	[DMSO] 0.89 (t, 3H); 1.33 (m, 2H); 1.51 (m, 2H); 3.30 (m, 2H); 8.40 (s, 1H); 8.75 (t, br, 1H); 13.4 (br)
804	[DMSO] 1.70 (m, 2H); 2.04 (m, 2H); 2.25 (m, 2H); 4.39 (m, 1H); 8.43 (s, 1H); 8.95 (d, br, 1H); 13.4 (br, 1H)
806	[DMSO] 2.82 (s, 3H); 2.98 (s, 3H); 8.06 (s, 1H); 12.6 (s, br, 1H)
811	[CDCl ₃] 1.24 (t, 6H); 3.44 (m, 4H); 7.72 (s, 1H)
819	[DMSO] 0.25 (m, 2H); 0.46 (m, 2H); 1.03 (m, 1H); 3.20 (t, 2H); 8.45 (s, 1H); 8.87 (t, br, 1H); 13.4 (br, 1H)
821	[DMSO] 0.90 (s, 9H); 3.13 (d, 2H); 8.37 (s, 1H); 8.61 (t, br, 1H); 13.4 (br, 1H)
827	[DMSO] 0.88 (d, 6H); 1.82 (m, 1H); 3.13 (t, 2H); 8.40 (s, 1H); 8.76 (t, br, 1H); 13.5 (br, 1H)
829	[DMSO] 0.90 (d, 6H); 1.42 (m, 2H); 1.61 (m, 1H); 3.30 (m, 2H); 8.41 (s, 1H); 8.76 (t, br, 1H); 13.5 (br, 1H)
831	[DMSO] 3.95 (t, 2H); 5.19 (dd, 2H); 5.89 (m, 1H); 8.41 (s, 1H); 8.88 (t, br, 1H); 13.5 (br, 1H)
835	[DMSO] 1.72 (s, 3H); 3.87 (d, 2H); 4.86 (d, 2H); 8.39 (s, 1H); 8.90 (t, br, 1H); 13.4 (br, 1H)
836	[DMSO] 3.18 (m, 1H); 4.10 (m, 2H); 8.36 (s, 1H); 9.01 (t, br, 1H); 13.4 (br, 1H)
838	[DMSO] 0.88 (t, 3H); 1.14 (d, 3H); 1.51 (m, 2H); 3.91 (m, 1H); 8.41 (s, 1H); 8.54 (d, br, 1H); 13.5 (br, 1H)
840	[DMSO] 0.88 (t, 3H); 1.14 (d, 3H); 1.33 (m, 2H); 1.47 (m, 2H); 4.01 (m, 1H); 8.42 (s, 1H); 8.53 (d, br, 1H); 13.5 (br, 1H)
841	[DMSO] 0.85 (t, 3H); 1.14 (d, 3H); 1.28 (m, 6H); 1.49 (m, 2H); 3.98 (m, 1H); 8.41 (s, 1H); 8.60 (d, br, 1H); 13.5 (br, 1H)
844	[DMSO] 0.87 (d, 6H); 1.14 (d, 3H); 1.27 (m, 1H); 1.48 (m, 1H); 1.62 (m, 1H); 4.09 (m, 1H); 8.41 (s, 1H); 8.52 (d, br, 1H); 13.5 (br, 1H)
845	[DMSO] 0.90 (s, 9H); 1.08 (d, 3H); 3.90 (m, 1H); 8.35 (s, 1H); 8.38 (d, br, 1H); 13.4 (br)
846	[DMSO] 0.89 (d, 6H); 1.12 (d, 3H); 1.75 (m, 1H); 3.87 (m, 1H); 8.40 (s, 1H); 8.50 (d, br, 1H); 13.5 (br)
848	[DMSO] 0.87 (t, 6H); 1.44 (m, 2H); 1.55 (m, 2H); 3.79 (m, 1H); 8.40 (s, 1H); 8.42 (d, br, 1H); 13.4 (br, 1H)
851	[CDCl ₃] 3.42 (s, 6H); 3.63 (t, 2H); 4.50 (t, 1H); 8.55 (s, 1H); 8.95 (t, br, 1H); 12.1 (br, 1H)
853	[CDCl ₃] 3.65 (m, 2H); 3.86 (m, 2H); 8.47 (s, 1H); 8.98 (br, 1H)
854	[CDCl ₃] 3.39 (s, 3H); 3.58 (m, 2H); 3.69 (m, 2H); 8.49 (s, 1H); 8.80 (br, 1H); 11.9 (br, 1H)
861	[CDCl ₃] 1.28 (d, 3H); 3.38 (s, 3H); 3.45 (m, 2H); 4.39 (m, 1H); 8.51 (s, 1H); 8.85 (br, 1H); 12.4 (br, 1H)
863	[CDCl ₃] 1.90 (m, 2H); 3.40 (s, 3H); 3.57 (m, 4H); 8.30 (s, 1H); 8.70 (br, 1H)
868	[CDCl ₃] 3.80 (s, 3H); 4.25 (d, 2H); 8.52 (s, 1H); 9.16 (br, 1H)
909	[DMSO] 8.22 (br, 1H); 8.32 (br, 1H); 8.61 (s, 1H); 13.8 (br, 1H)
910	[DMSO] 2.83 (d, 3H); 8.51 (s, 1H); 8.74 (br, 1H); 13.7 (br, 1H)
911	[DMSO] 1.12 (t, 3H); 3.32 (q, 2H); 8.54 (s, 1H); 8.75 (t, br, 1H); 13.7 (br, 1H)
912	[DMSO] 0.89 (t, 3H); 1.52 (m, 2H); 3.25 (q, 2H); 8.54 (s, 1H); 8.75 (t, br, 1H); 13.6 (br, 1H)
913	[CDCl ₃] 1.25 (d, 6H); 4.25 (m, 1H); 8.54 (br, 1H); 8.70 (s, 1H)
914	[DMSO] 0.58 (m, 2H); 0.75 (m, 2H); 2.86 (m, 1H); 8.50 (s, 1H); 8.75 (d, 1H); 13.6 (br, 1H)
915	[DMSO] 0.89 (t, 3H); 1.32 (m, 2H); 1.50 (m, 2H); 3.32 (m, 2H); 8.53 (s, 1H); 8.74 (t, br, 1H); 13.5 (br)
916	[DMSO] 1.70 (m, 2H); 2.05 (m, 2H); 2.25 (m, 2H); 4.40 (m, 1H); 8.52 (s, 1H); 8.98 (d, br, 1H); 13.5 (br, 1H)
917	[CDCl ₃] 1.50 (s, 9H); 8.65 (s, 1H); 8.80 (s, br, 1H); 12.3 (br, 1H)
918	[DMSO] 2.82 (s, 3H); 2.99 (s, 3H); 8.14 (s, 1H); 12.6 (br, 1H)
923	[DMSO] 1.02 (t, 3H); 1.12 (t, 3H); 3.10 (q, 2H); 3.40 (9, 2H); 8.15 (s, 1H); 12.5 (br, 1H)
931	[DMSO] 0.24 (m, 2H); 0.46 (m, 2H); 1.04 (m, 1H); 3.18 (t, 2H); 8.55 (s, 1H); 8.82 (t, br, 1H)
933	[DMSO] 0.90 (s, 9H); 3.13 (d, 2H); 8.50 (s, 1H); 8.61 (t, br, 1H)
939	[DMSO] 0.88 (d, 6H); 1.83 (m, 1H); 3.14 (t, 2H); 8.52 (s, 1H); 8.72 (t, br, 1H); 13.6 (br, 1H)
941	[DMSO] 0.90 (d, 6H); 1.43 (m, 2H); 1.62 (m, 1H); 3.35 (m, 2H); 8.52 (s, 1H); 8.72 (t, br, 1H); 13.5 (br, 1H)
943	[DMSO] 3.94 (t, 2H); 5.18 (dd, 2H); 5.89 (m, 1H); 8.52 (s, 1H); 8.85 (t, br, 1H)
947	[DMSO] 1.72 (s, 3H); 3.87 (d, 2H); 4.85 (d, 2H); 8.51 (s, 1H); 8.87 (t, br, 1H); 13.5 (br)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No. ¹ H-NMR data	
948	[DMSO] 3.18 (m, 1H); 4.12 (m, 2H); 8.50 (s, 1H); 9.00 (t, br, 1H)
950	[DMSO] 0.92 (t, 3H); 1.21 (d, 3H); 1.57 (m, 2H); 3.97 (m, 1H); 8.55 (d, br, 1H); 8.60 (s, 1H); 13.7 (br, 1H)
952	[CDCl ₃] 0.90 (t, 3H); 1.24 (d, 3H); 1.40 (m, 2H); 1.55 (m, 2H); 4.18 (m, 1H); 8.72 (s, 1H); 8.90 (d, br, 1H)
953	[DMSO] 0.77 (t, 3H); 1.15 (d, 3H); 1.27 (m, 6H); 1.49 (m, 2H); 3.99 (m, 1H); 8.50 (d, br, 1H); 8.55 (s, 1H); 13.6 (br)
956	[DMSO] 0.88 (d, 6H); 1.15 (d, 3H); 1.27 (m, 1H); 1.48 (m, 1H); 1.62 (m, 1H); 4.10 (m, 1H); 8.50 (d, br, 1H); 8.55 (s, 1H); 13.6 (br, 1H)
957	[DMSO] 0.91 (s, 9H); 1.09 (d, 3H); 3.80 (m, 1H); 8.39 (d, br, 1H); 8.49 (s, 1H); 13.6 (br, 1H)
958	[DMSO] 0.89 (d, 6H); 1.11 (d, 3H); 1.75 (m, 1H); 3.86 (m, 1H); 8.48 (d, br, 1H); 8.52 (s, 1H); 13.6 (br)
960	[CDCl ₃] 0.95 (t, 6H); 1.50 (m, 2H); 1.62 (m, 2H); 4.00 (m, 1H); 8.73 (s, 1H); 8.90 (d, br, 1H)
963	[CDCl ₃] 3.43 (s, 6H); 3.65 (t, 2H); 4.50 (t, 1H); 8.62 (s, 1H); 8.78 (br, 1H)
965	[DMSO] 3.40 (m, 2H); 3.52 (m, 2H); 8.57 (s, 1H); 8.78 (t, br, 1H); 13.6 (br, 1H)
966	[DMSO] 3.29 (s, 3H); 3.48 (m, 4H); 8.54 (s, 1H); 8.78 (br, 1H); 13.6 (br, 1H)
973	[CDCl ₃] 1.29 (d, 3H); 3.40 (s, 3H); 3.45 (m, 2H); 4.36 (m, 1H); 8.60 (s, 1H); 8.72 (br, 1H)
975	[DMSO] 1.75 (m, 2H); 3.20 (s, 3H); 3.38 (m, 4H); 8.51 (s, 1H); 8.81 (t, br, 1H); 13.6 (br)
1023	[DMSO] 8.22 (br, 1H); 8.35 (br, 1H); 8.61 (s, 1H); 13.8 (br, 1H)
1024	[DMSO] 2.82 (d, 3H); 8.50 (s, 1H); 8.75 (br, 1H); 13.7 (br, 1H)
1025	[DMSO] 1.13 (t, 3H); 3.35 (m, 2H); 8.52 (s, 1H); 8.75 (br, 1H); 13.5 (br, 1H)
1026	[DMSO] 0.90 (t, 3H); 1.53 (m, 2H); 3.25 (m, 2H); 8.52 (s, 1H); 8.75 (t, br, 1H); 13.5 (br, 1H)
1027	[DMSO] 1.18 (d, 6H); 4.08 (m, 1H); 8.54 (s, 1H); 8.56 (br, 1H)
1028	[DMSO] 0.58 (m, 2H); 0.75 (m, 2H); 3.86 (m, 1H); 8.48 (s, 1H); 8.75 (br, 1H)
1029	[DMSO] 0.89 (t, 3H); 1.34 (m, 2H); 1.50 (m, 2H); 3.26 (m, 2H); 8.51 (s, 1H); 8.81 (br, 1H); 13.6 (br, 1H)
1030	[DMSO] 1.70 (m, 2H); 2.04 (m, 2H); 2.25 (m, 2H); 4.39 (m, 1H); 8.53 (s, 1H); 8.91 (d, br, 1H); 13.4 (br, 1H)
1031	[CDCl ₃] 1.46 (s, 9H); 8.63 (s, 1H); 8.83 (br, 1H); 11.9 (br, 1H)
1032	[DMSO] 2.82 (s, br, 3H); 2.96 (s, br, 3H); 8.11 (s, 1H); 12.5 (br, 1H)
1037	[CDCl ₃] 1.23 (t, 6H); 3.42 (br, 4H); 7.88 (s, 1H)
1045	[DMSO] 0.25 (m, 2H); 0.46 (m, 2H); 1.04 (m, 1H); 3.20 (t, 2H); 8.55 (s, 1H); 8.82 (t, br, 1H); 13.6 (br, 1H)
1047	[DMSO] 0.90 (s, 9H); 3.13 (d, 2H); 8.48 (s, 1H); 8.61 (t, br, 1H)
1053	[DMSO] 0.90 (d, 6H); 1.82 (m, 1H); 3.13 (m, 2H); 8.50 (s, 1H); 8.75 (br, 1H); 13.5 (br, 1H)
1055	[DMSO] 0.89 (d, 6H); 1.41 (m, 2H); 1.61 (m, 1H); 3.31 (m, 2H); 8.49 (s, 1H); 8.90 (br, 1H); 13.5 (br, 1H)
1057	[DMSO] 3.95 (t, 2H); 5.18 (dd, 2H); 5.90 (m, 1H); 8.50 (s, 1H); 8.85 (t, br, 1H)
1061	[CDCl ₃] 1.80 (s, 3H); 4.03 (d, 2H); 4.93 (d, 2H); 8.76 (s, 1H); 9.22 (t, br, 1H);
1062	[DMSO] 3.18 (m, 1H); 4.10 (m, 2H); 8.48 (s, 1H); 9.00 (br, 1H)
1064	[DMSO] 0.88 (t, 3H); 1.16 (d, 3H); 1.51 (m, 2H); 3.93 (m, 1H); 8.50 (d, br, 1H); 8.55 (s, 1H); 13.6 (br, 1H)
1066	[DMSO] 0.86 (t, 3H); 1.15 (d, 3H); 1.30 (m, 2H); 1.48 (m, 2H); 4.00 (m, 1H); 8.50 (d, br, 1H); 8.52 (s, 1H); 13.6 (br, 1H)
1067	[CDCl ₃] 0.86 (t, 3H); 1.22 (d, 3H); 1.25 (m, 6H); 1.48 (m, 2H); 4.16 (m, 1H); 8.62 (s, 1H); 8.68 (br, 1H)
1070	[CDCl ₃] 0.93 (d, 6H); 1.23 (d, 3H); 1.27 (m, 1H); 1.50 (m, 1H); 1.70 (m, 1H); 4.25 (m, 1H); 8.63 (br, 2H)
1071	[CDCl ₃] 0.95 (s, 9H); 1.17 (d, 3H); 4.08 (m, 1H); 8.70 (s, 1H); 9.00 (d, br, 1H)
1072	[CDCl ₃] 0.95 (m, 6H); 1.20 (d, 3H); 1.82 (m, 1H); 4.07 (m, 1H); 8.76 (s, 1H); 9.13 (br, 1H)
1074	[CDCl ₃] 0.92 (t, 6H); 1.50 (m, 2H); 1.65 (m, 2H); 3.96 (m, 1H); 8.72 (s, 1H); 9.00 (d, br, 1H)
1077	[CDCl ₃] 3.42 (s, 6H); 3.62 (t, 2H); 4.50 (t, 1H); 8.62 (s, 1H); 8.90 (br, 1H)
1079	[CDCl ₃] 3.65 (m, 2H); 3.85 (m, 2H); 8.64 (s, 1H); 9.22 (br, 1H)
1080	[DMSO] 3.30 (s, 3H); 3.46 (m, 4H); 8.52 (s, 1H); 8.75 (br, 1H)
1087	[CDCl ₃] 1.29 (d, 3H); 3.39 (s, 3H); 3.45 (m, 2H); 4.37 (m, 1H); 8.66 (s, 1H); 8.98 (br, 1H); 12.50 (br, 1H)
1089	[DMSO] 1.75 (m, 2H); 3.23 (s, 3H); 3.38 (m, 4H); 8.52 (s, 1H); 8.80 (t, br, 1H)
1094	[CDCl ₃] 3.80 (s, 3H); 4.24 (d, 2H); 8.61 (s, 1H); 9.19 (br, 1H)
1138	[DMSO] 2.83 (d, 3H); 7.07 (t, 1H); 8.40 (s, 1H); 8.94 (br, 1H); 13.4 (br, 1H)
1139	[DMSO] 1.12 (t, 3H); 3.33 (m, 2H); 7.08 (t, 1H); 8.40 (s, 1H); 9.00 (br, 1H); 13.4 (br, 1H)
1171	[DMSO] 3.90 (t, 2H); 5.15 (dd, 2H); 5.90 (m, 1H); 7.10 (t, 1H); 8.40 (s, 1H); 9.16 (br, 1H); 13.4 (br, 1H)
1178	[CDCl ₃] 0.95 (t, 3H); 1.21 (d, 3H); 1.58 (m, 2H); 4.08 (m, 1H); 6.86 (t, 1H); 8.70 (s, 1H); 9.34 (d, br, 1H); 12.9 (br, 1H)
1180	[CDCl ₃] 0.93 (t, 3H); 1.21 (d, 3H); 1.40 (m, 2H); 1.52 (m, 2H); 4.16 (m, 1H); 6.87 (t, 1H); 8.70 (s, 1H); 9.31 (d, br, 1H); 12.9 (br, 1H)
1188	[CDCl ₃] 0.92 (t, 6H); 1.50 (m, 2H); 1.65 (m, 2H); 3.98 (m, 1H); 6.86 (t, 1H); 8.71 (s, 1H); 9.28 (d, br, 1H); 12.9 (br, 1H)
1201	[CDCl ₃] 1.27 (d, 3H); 3.40 (s, 3H); 3.43 (m, 2H); 4.37 (m, 1H); 6.85 (t, 1H); 8.68 (s, 1H); 9.42 (d, br, 1H); 12.7 (br, 1H)
1251	[DMSO] 8.26 (br, 1H); 8.42 (br, 1H); 8.62 (s, 1H)
1252	[CDCl ₃] 3.00 (d, 3H); 8.66 (s, 1H); 8.82 (br, 1H)
1253	[CDCl ₃] 1.24 (t, 3H); 3.50 (m, 2H); 8.68 (s, 1H); 8.86 (br, 1H)
1254	[CDCl ₃] 1.00 (t, 3H); 1.62 (m, 2H); 3.42 (m, 2H); 8.67 (s, 1H); 8.90 (br, 1H)
1255	[CDCl ₃] 1.25 (d, 6H); 4.25 (m, 1H); 8.70 (s, 1H); 8.84 (br, 1H)
1256	[CDCl ₃] 0.60 (m, 2H); 0.89. (m, 2H); 3.00 (m, 1H); 8.70 (s, 1H); 9.00 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
1257	[CDCl ₃] 0.96 (t, 3H); 1.42. (m, 2H); 1.60 (m, 2H); 3.45 (m, 2H); 8.70 (s, 1H); 8.88 (br, 1H); 12.1 (br, 1H)
1258	[CDCl ₃] 1.77 (m, 2H); 1.98. (m, 2H); 2.43 (m, 2H); 4.55 (m, 1H); 8.68 (s, 1H); 9.13 (br, 1H); 12.5 (br, 1H)
1259	[CDCl ₃] 1.45 (s, 9H); 8.67 (s, 1H); 8.78 (br, 1H); 12.4 (br, 1H)
1260	[CDCl ₃] 3.11 (s, 6H); 7.95 (s, 1H)
1265	[CDCl ₃] 1.25 (t, 6H); 3.45 (br, 4H); 7.89 (s, 1H)
1273	[CDCl ₃] 0.27 (m, 2H); 0.56. (m, 2H); 1.04 (m, 1H); 3.32 (m, 2H); 8.67 (s, 1H); 8.92 (br, 1H); 12.3 (br, 1H)
1275	[CDCl ₃] 0.97 (s, 9H); 3.29 (d, 2H); 8.73 (s, 1H); 9.00 (br, 1H); 11.9 (br, 1H)
1281	[CDCl ₃] 0.98 (d, 6H); 1.89 (m, 1H); 3.29 (t, 2H); 8.70 (s, 1H); 8.98 (br, 1H); 12.1 (br, 1H)
1283	[CDCl ₃] 0.95 (d, 6H); 1.50 (m, 2H); 1.68 (m, 1H); 3.46 (m, 2H); 8.69 (s, 1H); 8.83 (br, 1H); 12.1 (br, 1H)
1285	[CDCl ₃] 4.09 (t, 2H); 5.22 (dd, 2H); 5.91 (m, 1H); 8.70 (s, 1H); 9.01 (br, 1H); 12.2 (br, 1H)
1289	[DMSO] 0.26 (m, 2H); 0.47 (m, 2H); 1.05 (m, 1H); 3.20 (m, 2H); 6.85 (tt, 1H); 7.25 (br, 1H); 8.45 (d, 1H); 9.15 (br, 1H); 13.4 (br, 1H)
1290	[CDCl ₃] 2.25 (m, 1H); 4.23 (m, 2H); 8.72 (s, 1H); 9.20 (br, 1H); 12.0 (br, 1H)
1292	[CDCl ₃] 0.95 (t, 3H); 1.21 (d, 3H); 1.55 (m, 2H); 4.10 (m, 1H); 8.70 (s, 1H); 8.82 (br, 1H)
1294	[CDCl ₃] 0.93 (t, 3H); 1.22 (d, 3H); 1.38 (m, 2H); 1.52 (m, 2H); 4.18 (m, 1H); 8.70 (s, 1H); 8.79 (br, 1H); 12.4 (br, 1H)
1295	[CDCl ₃] 0.89 (t, 3H); 1.20 (d, 3H); 1.25-1.4 (m, 6H); 1.50 (m, 2H); 4.16 (m, 1H); 8.70 (s, 1H); 8.75 (br, 1H)
1298	[CDCl ₃] 0.92 (t, 6H); 1.40 (d, 3H); 1.32 (m, 1H); 1.45 (m, 1H); 1.55 (m, 1H); 4.25 (m, 1H); 8.70 (s, 1H); 8.75 (br, 1H); 12.4 (br, 1H)
1299	[CDCl ₃] 0.95 (s, 9H); 1.15 (d, 3H); 4.10 (m, 1H); 8.72 (s, 1H); 8.88 (br, 1H); 11.9 (br, 1H)
1300	[CDCl ₃] 0.95 (m, 6H); 1.15 (d, 3H); 1.77 (m, 1H); 4.05 (m, 1H); 8.71 (s, 1H); 8.85 (br, 1H)
1302	[CDCl ₃] 0.93 (t, 6H); 1.48 (m, 2H); 1.65 (m, 2H); 3.99 (m, 1H); 8.71 (s, 1H); 8.76 (br, 1H); 12.4 (br, 1H)
1305	[CDCl ₃] 3.40 (s, 6H); 3.62 (t, 2H); 4.50 (t, 1H); 8.60 (s, 1H); 8.74 (br, 1H); 11.7 (br, 1H)
1307	[CDCl ₃] 3.65 (m, 2H); 3.87 (m, 2H); 4.50 (t, 1H); 8.66 (s, 1H); 9.11 (br, 1H)
1308	[CDCl ₃] 3.40 (s, 3H); 3.58 (m, 2H); 3.67 (m, 2H); 8.60 (s, 1H); 8.75 (br, 1H); 11.7 (br, 1H)
1315	[CDCl ₃] 1.48 (d, 3H); 3.38 (s, 3H); 3.44 (m, 2H); 4.38 (m, 1H); 8.62 (s, 1H); 8.72 (br, 1H); 12.1 (br, 1H)
1317	[CDCl ₃] 1.90 (m, 2H); 3.40 (s, 3H); 3.58 (m, 4H); 8.39 (s, 1H); 8.62 (br, 1H)
1322	[CDCl ₃] 3.79 (s, 3H); 4.25 (d, 2H); 8.65 (s, 1H); 9.18 (br, 1H)
1365	[DMSO] 8.24 (br, 1H); 8.42 (br, 1H); 8.81 (s, 1H); 13.6 (br, 1H)
1366	[DMSO] 8.19 (br, 1H); 8.34 (br, 1H); 8.78 (s, 1H); 13.7 (br, 1H)
1368	[DMSO] 2.83 (d, 3H); 6.81 (tt, 1H); 7.22 (br, 1H); 8.41 (d, 1H); 9.00 (br, 1H); 13.4 (br, 1H)
1369	[DMSO] 1.12 (t, 3H); 3.35 (m, 2H); 6.85 (tt, 1H); 7.20 (br, 1H); 8.41 (d, 1H); 9.20 (br, 1H); 13.4 (br, 1H)
1370	[DMSO] 0.89 (t, 3H); 1.52 (m, 2H); 3.27 (q, 2H); 6.82 (tt, 1H); 7.20 (br, 1H); 8.40 (d, 1H); 9.20 (br, 1H); 13.4 (br, 1H)
1371	[DMSO] 1.18 (d, 6H); 4.09 (m, 1H); 6.82 (tt, 1H); 7.20 (br, 1H); 8.42 (d, 1H); 8.95 (br, 1H); 13.4 (br, 1H)
1372	[DMSO] 0.56 (m, 2H); 0.75 (m, 2H); 2.85 (m, 1H); 6.83 (tt, 1H); 7.21 (br, 1H); 8.40 (d, 1H); 9.05 (br, 1H); 13.4 (br, 1H)
1373	[DMSO] 0.89 (t, 3H); 1.32 (m, 2H); 1.50 (m, 2H); 3.30 (q, 2H); 6.84 (tt, 1H); 7.20 (br, 1H); 8.41 (d, 1H); 9.10 (br, 1H); 13.4 (br, 1H)
1389	[DMSO] 0.26 (m, 2H); 0.47 (m, 2H); 1.05 (m, 1H); 3.20 (m, 2H); 6.85 (tt, 1H); 7.25 (br, 1H); 8.45 (d, 1H); 9.15 (br, 1H); 13.4 (br, 1H)
1391	[DMSO] 0.91 (s, 9H); 3.16 (d, 2H); 6.82 (tt, 1H); 7.10 (br, 1H); 8.41 (d, 1H); 9.20 (br, 1H); 13.3 (br, 1H)
1397	[DMSO] 0.90 (d, 6H); 1.82 (m, 1H); 3.15 (m, 2H); 6.82 (tt, 1H); 7.20 (br, 1H); 8.40 (d, 1H); 9.15 (br, 1H); 13.4 (br, 1H)
1401	[DMSO] 3.95 (m, 2H); 5.18 (dd, 2H); 5.92 (m, 1H); 6.82 (tt, 1H); 7.20 (d, 1H); 8.42 (d, 1H); 9.20 (br, 1H); 13.3 (br, 1H)
1406	[DMSO] 3.15 (t, 1H); 4.13 (m, 2H); 6.82 (tt, 1H); 7.18 (br, 1H); 8.41 (d, 1H); 9.35 (br, 1H); 13.3 (br, 1H)
1408	[DMSO] 0.87 (t, 3H); 1.14 (d, 3H); 1.51 (m, 2H); 3.92 (m, 1H); 6.82 (tt, 1H); 7.20 (br, 1H); 8.41 (d, 1H); 8.90 (br, 1H); 13.4 (br, 1H)
1410	[DMSO] 0.86 (t, 3H); 1.15 (d, 3H); 1.30 (m, 2H); 1.48 (m, 2H); 4.02 (m, 1H); 6.83 (tt, 1H); 7.20 (br, 1H); 8.42 (d, 1H); 8.90 (br, 1H); 13.4 (br, 1H)
1411	[DMSO] 0.88 (t, 3H); 1.15 (d, 3H); 1.27 (m, 6H); 1.49 (m, 2H); 4.00 (m, 1H); 6.82 (tt, 1H); 7.20 (br, 1H); 8.42 (d, 1H); 8.92 (br, 1H); 13.4 (br, 1H)
1414	[DMSO] 0.88 (d, 6H); 1.15 (d, 3H); 1.28 (m, 1H); 1.46 (m, 1H); 1.60 (m, 1H); 4.10 (m, 1H); 6.81 (tt, 1H); 7.20 (br, 1H); 8.42 (d, 1H); 8.90 (br, 1H); 13.4 (br, 1H)
1418	[CDCl ₃] 0.93 (t, 6H); 1.50 (m, 2H); 1.65 (m, 2H); 4.00 (m, 1H); 6.83 (d, 1H); 8.69 (d, 1H); 9.21 (d, br, 1H); 13.0 (br, 1H)
1421	[CDCl ₃] 3.41 (s, 6H); 3.52 (t, 2H); 4.50 (t, 1H); 6.12 (tt, 1H); 6.81 (d, 1H); 8.64 (d, 1H); 9.41 (br, 1H); 12.5 (br, 1H)
1424	[CDCl ₃] 3.37 (s, 3H); 3.56 (m, 2H); 3.67 (m, 2H); 6.11 (tt, 1H); 6.84 (d, 1H); 8.65 (d, 1H); 9.46 (br, 1H); 12.2 (br, 1H)
1431	[CDCl ₃] 1.28 (d, 3H); 3.39 (s, 3H); 3.45 (m, 2H); 4.40 (m, 1H); 6.10 (tt, 1H); 6.83 (d, 1H); 8.67 (d, 1H); 9.39 (d, br, 1H); 13.0 (br, 1H)
1433	[DMSO] 1.75 (m, 2H); 3.24 (s, 3H); 3.38 (m, 4H); 6.83 (tt, 1H); 7.20 (br, 1H); 8.42 (d, 1H); 9.15 (br, 1H); 13.4 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
1481	[DMSO] 7.42 (br, 1H); 8.10 (br, 1H); 8.40 (br, 1H); 8.46 (d, 1H); 13.6 (br, 1H)
1482	[CDCl ₃] 3.01 (d, 3H); 6.88 (d, 1H); 8.67 (d, 1H); 9.34 (br, 1H); 12.5 (br, 1H)
1483	[CDCl ₃] 1.27 (t, 3H); 3.50 (m, 2H); 6.88 (d, 1H); 8.68 (d, 1H); 9.32 (br, 1H); 12.6 (br, 1H)
1484	[CDCl ₃] 1.00 (t, 3H); 1.63 (m, 2H); 3.41 (m, 2H); 6.88 (d, 1H); 8.70 (d, 1H); 9.38 (br, 1H); 12.9 (br, 1H)
1485	[CDCl ₃] 1.25 (d, 6H); 4.27 (m, 1H); 6.88 (d, 1H); 8.70 (d, 1H); 9.30 (d, br, 1H); 13.4 (br, 1H)
1486	[CDCl ₃] 0.62 (m, 2H); 0.86 (m, 2H); 3.01 (m, 1H); 6.88 (d, 1H); 8.70 (d, 1H); 9.41 (br, 1H); 12.6 (br, 1H)
1487	[CDCl ₃] 0.95 (t, 3H); 1.44 (m, 2H); 1.61 (m, 2H); 3.45 (m, 2H); 6.88 (d, 1H); 8.70 (d, 1H); 9.35 (t, br, 1H); 12.7 (br, 1H)
1488	[CDCl ₃] 1.78 (m, 2H); 2.00 (m, 2H); 2.42 (m, 2H); 4.58 (m, 1H); 6.88 (d, 1H); 8.68 (d, 1H); 9.60 (d, br, 1H); 13.3 (br, 1H)
1503	[CDCl ₃] 0.26 (m, 2H); 0.55 (m, 2H); 1.06 (m, 1H); 3.33 (m, 2H); 6.88 (d, 1H); 8.70 (d, 1H); 9.45 (br, 1H); 12.9 (br, 1H)
1505	[CDCl ₃] 0.98 (s, 9H); 3.30 (d, 2H); 6.88 (d, 1H); 8.72 (d, 1H); 9.43 (t, br, 1H); 12.5 (br, 1H)
1511	[CDCl ₃] 0.98 (d, 6H); 1.90 (m, 1H); 3.30 (m, 2H); 6.88 (d, 1H); 8.70 (d, 1H); 9.42 (t, br, 1H)
1513	[CDCl ₃] 0.85 (d, 6H); 1.51 (m, 2H); 1.70 (m, 1H); 3.47 (m, 2H); 6.88 (d, 1H); 8.70 (d, 1H); 9.32 (t, br, 1H); 12.7 (br, 1H)
1515	[CDCl ₃] 4.10 (t, 2H); 5.25 (dd, 2H); 5.91 (m, 1H); 6.88 (d, 1H); 8.70 (d, 1H); 9.47 (br, 1H); 12.8 (br, 1H)
1519	[DMSO] 1.71 (s, 3H); 3.88 (d, 2H); 4.84 (d, 2H); 7.35 (br, 1H); 8.41 (d, 1H); 9.02 (br, 1H); 13.4 (br, 1H)
1520	[DMSO] 3.15 (m, 1H); 4.12 (m, 2H); 7.36 (d, br, 1H); 8.42 (d, 1H); 9.15 (br, 1H); 13.4 (br, 1H)
1522	[CDCl ₃] 0.95 (t, 3H); 1.22 (d, 3H); 1.59 (m, 2H); 4.11 (m, 1H); 6.88 (d, 1H); 8.71 (d, 1H); 9.28 (d, br, 1H); 13.4 (br, 1H)
1524	[CDCl ₃] 0.92 (t, 3H); 1.23 (d, 3H); 1.40 (m, 2H); 1.52 (m, 2H); 4.20 (m, 1H); 6.89 (d, 1H); 8.71 (d, 1H); 9.27 (d, br, 1H); 13.4 (br, 1H)
1525	[CDCl ₃] 0.88 (t, 3H); 1.23 (d, 3H); 1.25-1.4 (m, 6H); 1.55 (m, 2H); 4.18 (m, 1H); 7.89 (d, 1H); 8.70 (d, 1H); 9.28 (br, 1H); 13.2 (br, 1H)
1528	[CDCl ₃] 0.92 (d, 6H); 1.22 (d, 3H); 1.35 (m, 1H); 1.50 (m, 1H); 1.68 (m, 1H); 4.28 (m, 1H); 6.89 (d, 1H); 8.71 (d, 1H); 9.26 (d, br, 1H); 13.3 (br, 1H)
1529	[CDCl ₃] 0.95 (s, 9H); 1.17 (d, 3H); 4.12 (m, 1H); 6.89 (d, 1H); 8.73 (d, 1H); 9.30 (d, br, 1H); 12.8 (br, 1H)
1530	[CDCl ₃] 0.95 (dt, 6H); 1.17 (d, 3H); 1.82 (m, 1H); 4.08 (m, 1H); 6.89 (d, 1H); 8.72 (d, 1H); 9.31 (d, br, 1H); 13.2 (br, 1H)
1532	[CDCl ₃] 0.95 (t, 6H); 1.50 (m, 2H); 1.66 (m, 2H); 4.00 (m, 1H); 6.89 (d, 1H); 8.72 (d, 1H); 9.21 (d, br, 1H); 13.3 (br, 1H)
1535	[DMSO] 3.32 (s, 6H); 3.45 (t, 2H); 4.50 (t, 1H); 7.33 (d, br, 1H); 8.43 (d, 1H); 8.92 (br, 1H); 13.4 (br, 1H)
1537	[DMSO] 3.38 (m, 2H); 3.52 (m, 2H); 4.80 (br, 1H); 7.37 (br, 1H); 8.45 (d, 1H); 8.96 (br, 1H); 13.4 (br, 1H)
1538	[DMSO] 3.25 (s, 3H); 3.49 (m, 4H); 7.37 (d, br, 1H); 8.44 (d, 1H); 8.97 (br, 1H); 13.5 (br, 1H)
1545	[DMSO] 1.15 (d, 3H); 3.27 (s, 3H); 3.40 (m, 2H); 4.19 (m, 1H); 7.35 (d, br, 1H); 8.43 (d, 1H); 8.82 (br, 1H); 13.4 (br, 1H)
1547	[DMSO] 1.75 (m, 2H); 3.25 (s, 3H); 3.40 (m, 4H); 7.38 (br, 1H); 8.40 (d, 1H); 8.94 (br, 1H); 13.4 (br, 1H)
1595	[DMSO] 7.45 (d, 1H); 8.15 (br, 1H); 8.40 (br, 1H); 8.50 (d, 1H); 13.7 (br, 1H)
1596	[DMSO] 2.82 (d, 3H); 7.42 (d, 1H); 8.41 (d, 1H); 8.80 (br, 1H); 13.4 (br, 1H)
1597	[DMSO] 1.12 (t, 3H); 3.31 (m, 2H); 7.41 (d, 1H); 8.41 (d, 1H); 8.85 (br, 1H); 13.45 (br, 1H)
1598	[DMSO] 0.90 (t, 3H); 1.54 (m, 2H); 3.25 (q, 2H); 7.41 (d, 1H); 8.40 (d, 1H); 8.85 (br, 1H); 13.45 (br)
1599	[DMSO] 1.17 (d, 6H); 4.10 (m, 1H); 7.40 (d, 1H); 8.41 (d, 1H); 8.67 (d, br, 1H); 13.4 (br, 1H)
1600	[DMSO] 0.57 (m, 2H); 0.75 (m, 2H); 2.86 (m, 1H); 7.41 (d, 1H); 8.37 (d, 1H); 8.80 (br, 1H); 13.35 (br, 1H)
1601	[CDCl ₃] 0.95 (t, 3H); 1.40 (m, 2H); 1.60 (m, 2H); 3.45 (q, 2H); 6.88 (d, 1H); 8.71 (d, 1H); 9.28 (br, 1H); 12.9 (br, 1H)
1602	[CDCl ₃] 1.78 (m, 2H); 1.95 (m, 2H); 2.40 (m, 2H); 4.57 (m, 1H); 6.86 (d, 1H); 8.70 (d, 1H); 9.45 (d, br, 1H); 12.9 (br, 1H)
1603	[CDCl ₃] 1.45 (s, 9H); 6.85 (d, 1H); 8.67 (d, 1H); 9.15 (br, 1H); 12.6 (br, 1H)
1604	[CDCl ₃] 3.05 (s, 6H); 7.07 (d, 1H); 7.80 (d, 1H)
1609	[CDCl ₃] 1.22 (t, 6H); 3.40 (m, 4H); 7.02 (d, 1H); 7.72 (d, 1H)
1617	[DMSO] 0.25 (m, 2H); 0.46 (m, 2H); 1.05 (m, 1H); 3.22 (m, 2H); 7.45 (d, br, 1H); 8.45 (d, 1H); 8.95 (br, 1H); 13.4 (br, 1H)
1619	[DMSO] 0.90 (s, 9H); 3.15 (d, 2H); 7.38 (d, br, 1H); 8.40 (d, 1H); 8.80 (br, 1H); 13.4 (br, 1H)
1625	[DMSO] 0.90 (d, 6H); 1.82 (m, 1H); 3.14 (t, 2H); 7.39 (d, 1H); 8.40 (d, 1H); 8.91 (t, br, 1H)
1627	[CDCl ₃] 0.92 (d, 6H); 1.49 (m, 2H); 1.68 (m, 1H); 3.46 (m, 2H); 6.87 (d, 1H); 8.70 (d, 1H); 9.22 (br, 1H); 12.8 (br, 1H)
1629	[DMSO] 3.95 (m, 2H); 5.15 (dd, 2H); 5.90 (m, 1H); 7.40 (d, 1H); 8.40 (d, 1H); 8.95 (br, 1H); 13.4 (br, 1H)
1633	[CDCl ₃] 1.78 (s, 3H); 4.02 (d, 2H); 4.88 (d, 2H); 6.87 (d, 1H); 8.72 (d, 1H); 9.42 (br, 1H); 12.7 (br, 1H)
1634	[CDCl ₃] 2.23 (m, 1H); 4.23 (m, 2H); 6.88 (d, 1H); 8.73 (d, 1H); 9.51 (br, 1H); 12.8 (br, 1H)
1636	[DMSO] 0.89 (t, 3H); 1.14 (d, 3H); 1.51 (m, 2H); 3.95 (m, 1H); 7.41 (d, 1H); 8.40 (d, 1H); 8.60 (br, 1H); 13.6 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
1638	[DMSO] 0.87 (t, 3H); 1.15 (d, 3H); 1.32 (m, 2H); 1.46 (m, 2H); 4.03 (m, 1H); 7.40 (d, 1H); 8.40 (d, 1H); 8.51 (br, 1H); 13.4 (br, 1H)
1639	[CDCl ₃] 0.88 (t, 3H); 1.21 (d, 3H); 1.32 (m, 6H); 1.50 (m, 2H); 4.18 (m, 1H); 6.88 (d, 1H); 8.71 (d, 1H); 9.12 (d, br, 1H); 12.9 (br, 1H)
1642	[CDCl ₃] 0.92 (t, 6H); 1.20 (d, 3H); 1.32 (m, 1H); 1.46 (m, 1H); 1.67 (m, 1H); 4.27 (m, 1H); 6.88 (d, 1H); 8.71 (d, 1H); 9.12 (d, br, 1H); 12.9 (br, 1H)
1643	[CDCl ₃] 0.93 (s, 9H); 1.15 (d, 3H); 4.12 (m, 1H); 6.88 (d, 1H); 8.72 (d, 1H); 9.22 (d, br, 1H)
1644	[CDCl ₃] 0.95 (m, 6H); 1.15 (d, 3H); 1.78 (m, 1H); 4.05 (m, 1H); 6.88 (d, 1H); 8.72 (d, 1H); 9.18 (d, br, 1H); 12.7 (br, 1H)
1646	[DMSO] 0.87 (t, 6H); 1.45 (m, 2H); 1.55 (m, 2H); 3.81 (m, 1H); 7.40 (d, 1H); 8.40 (d, 1H); 8.52 (d, br, 1H); 13.4 (br, 1H)
1649	[CDCl ₃] 3.40 (s, 6H); 3.61 (t, 2H); 4.50 (t, 1H); 6.87 (d, 1H); 8.69 (d, 1H); 9.32 (br, 1H); 12.3 (br, 1H)
1651	[CDCl ₃] 3.63 (m, 2H); 3.84 (m, 2H); 6.88 (d, 1H); 8.68 (d, 1H); 9.60 (br, 1H)
1652	[DMSO] 3.25 (s, 3H); 3.50 (m, 4H); 7.40 (d, br, 1H); 8.45 (d, 1H); 8.92 (br, 1H); 13.5 (br, 1H)
1659	[DMSO] 1.15 (d, 3H); 3.25 (s, 3H); 3.38 (m, 2H); 4.17 (m, 1H); 7.40 (d, br, 1H); 8.43 (d, 1H); 8.75 (br, 1H); 13.5 (br, 1H)
1661	[DMSO] 1.74 (m, 2H); 3.22 (s, 3H); 3.37 (m, 4H); 7.42 (d, br, 1H); 8.42 (d, 1H); 8.90 (br, 1H); 13.4 (br, 1H)
1666	[CDCl ₃] 3.77 (s, 3H); 4.23 (d, 2H); 6.87 (d, 1H); 8.67 (d, 1H); 9.65 (br, 1H); 12.1 (br, 1H)
1711	[DMSO] 2.83 (d, 3H); 8.39 (s, 1H); 8.72 (d, br, 1H); 13.5 (br)
1712	[DMSO] 1.11 (t, 3H); 3.30 (m, 2H); 8.40 (s, 1H); 8.75 (t, br, 1H)
1713	[CDCl ₃] 0.99 (t, 3H); 1.62 (m, 2H); 3.41 (q, 2H); 8.56 (s, 1H); 9.00 (br, 1H)
1714	[CDCl ₃] 1.24 (d, 6H); 4.22 (m, 1H); 8.41 (s, 1H); 9.17 (br, 1H)
1715	[CDCl ₃] 0.61 (m, 2H); 0.90 (m, 2H); 2.95 (m, 1H); 8.57 (s, 1H); 9.02 (br, 1H)
1716	[CDCl ₃] 0.94 (t, 3H); 1.41 (m, 2H); 1.58 (m, 2H); 3.45 (m, 2H); 8.54 (s, 1H); 9.05 (br, 1H)
1717	[CDCl ₃] 1.80 (m, 2H); 1.98 (m, 2H); 2.42 (m, 2H); 4.55 (m, 1H); 8.55 (s, 1H); 9.01 (br, 1H); 12.0 (br, 1H)
1719	[DMSO] 2.83 (s, 3H); 2.97 (s, 3H); 8.04 (s, 1H); 12.6 (br, 1H)
1720	[DMSO] 1.03 (t, 3H); 1.15 (t, 3H); 3.12 (q, 2H); 3.45 (q, 2H); 8.05 (s, 1H); 12.5 (br, 1H)
1721	[CDCl ₃] 0.25 (m, 2H); 0.55 (m, 2H); 1.05 (m, 1H); 3.32 (m, 2H); 8.57 (s, 1H); 8.93 (br, 1H); 12.1 (br, 1H)
1722	[CDCl ₃] 0.96 (s, 9H); 3.28 (d, 2H); 8.59 (s, 1H); 9.05 (br, 1H)
1723	[CDCl ₃] 0.95 (d, 6H); 1.90 (m, 1H); 3.29 (t, 2H); 8.59 (s, 1H); 9.00 (br, 1H); 12.0 (br, 1H)
1725	[CDCl ₃] 0.94 (d, 6H); 1.49 (q, 2H); 1.65 (m, 1H); 3.45 (m, 2H); 8.59 (s, 1H); 8.93 (br, 1H); 12.1 (br, 1H)
1727	[CDCl ₃] 4.08 (m, 2H); 5.21 (dd, 2H); 5.90 (m, 1H); 8.60 (s, 1H); 9.05 (br, 1H); 12.1 (br, 1H)
1728	[CDCl ₃] 1.78 (s, 3H); 4.01 (d, 2H); 4.90 (d, 2H); 8.61 (s, 1H); 9.09 (br, 1H); 11.9 (br, 1H)
1729	[CDCl ₃] 2.25 (m, 1H); 4.23 (m, 2H); 8.63 (s, 1H); 9.23 (br, 1H); 11.9 (br, 1H)
1731	[CDCl ₃] 0.95 (t, 3H); 1.23 (d, 3H); 1.58 (m, 2H); 4.11 (m, 1H); 8.55 (s, 1H); 8.60 (br, 1H)
1733	[CDCl ₃] 0.92 (t, 3H); 1.23 (d, 3H); 1.39 (m, 2H); 1.52 (m, 2H); 4.20 (m, 1H); 8.55 (s, 1H); 8.66 (br, 1H)
1734	[CDCl ₃] 0.87 (t, 3H); 1.22 (d, 3H); 1.35 (m, 6H); 1.52 (m, 2H); 4.17 (m, 1H); 8.52 (s, 1H); 8.61 (br, 1H)
1735	[CDCl ₃] 0.94 (m, 6H); 1.23 (d, 3H); 1.33 (m, 1H); 1.45 (m, 1H); 1.65 (m, 1H); 4.27 (m, 1H); 8.56 (s, 1H); 8.63 (br, 1H); 11.9 (br)
1736	[CDCl ₃] 0.96 (s, 9H); 1.17 (d, 3H); 4.08 (m, 1H); 8.57 (s, 1H); 8.80 (d, br, 1H)
1737	[CDCl ₃] 0.95 (m, 6H); 1.18 (d, 3H); 1.80 (m, 1H); 4.05 (m, 1H); 8.57 (s, 1H); 8.73 (d, br, 1H)
1738	[DMSO] 0.87 (t, 6H); 1.45 (m, 2H); 1.55 (m, 2H); 3.80 (m, 1H); 8.40 (s, 1H); 8.42 (d, br, 1H); 13.4 (br, 1H)
1739	[CDCl ₃] 3.42 (s, 6H); 3.63 (t, 2H); 4.50 (t, 1H); 8.49 (s, 1H); 8.74 (br, 1H); 11.4 (br, 1H)
1741	[CDCl ₃] 3.65 (m, 2H); 3.85 (m, 2H); 8.55 (s, 1H); 9.16 (br, 1H)
1742	[CDCl ₃] 3.40 (s, 3H); 3.57 (m, 2H); 3.67 (m, 2H); 8.47 (s, 1H); 8.75 (br, 1H); 11.5 (br, 1H)
1744	[CDCl ₃] 1.27 (d, 3H); 3.39 (s, 3H); 3.45 (m, 2H); 4.37 (m, 1H); 8.45 (s, 1H); 8.57 (br, 1H); 11.6 (br, 1H)
1745	[CDCl ₃] 1.90 (m, 2H); 3.40 (s, 3H); 3.56 (m, 4H); 8.27 (s, 1H); 8.65 (br, 1H); 11.8 (br, 1H)
1746	[DMSO] 3.67 (s, 3H); 4.13 (d, 2H); 8.38 (s, 1H); 9.12 (br, 1H); 13.6 (br, 1H)
1751	[DMSO] 8.24 (br, 1H); 8.38 (br, 1H); 8.61 (br, 1H); 13.7 (br, 1H)
1752	[CDCl ₃] 3.00 (d, 3H); 8.71 (s, 1H); 8.91 (br, 1H); 12.2 (br, 1H)
1753	[CDCl ₃] 1.23 (t, 3H); 3.49 (m, 2H); 8.71 (s, 1H); 8.89 (br, 1H); 12.1 (br, 1H)
1754	[CDCl ₃] 0.98 (t, 3H); 1.62 (m, 2H); 3.40 (q, 2H); 8.70 (s, 1H); 8.90 (br, 1H)
1755	[CDCl ₃] 1.25 (d, 6H); 4.25 (m, 1H); 8.68 (br, 2H); 12.0 (br, 1H)
1756	[CDCl ₃] 0.61 (m, 2H); 0.89 (m, 2H); 2.95 (m, 1H); 8.70 (s, 1H); 8.97 (br, 1H)
1757	[CDCl ₃] 0.94 (t, 3H); 1.40 (m, 2H); 1.58 (m, 2H); 3.45 (m, 2H); 8.69 (s, 1H); 8.88 (br, 1H); 12.0 (br, 1H)
1758	[CDCl ₃] 1.80 (m, 2H); 1.98 (m, 2H); 2.41 (m, 2H); 4.55 (m, 1H); 8.67 (s, 1H); 8.98 (br, 1H); 12.1 (br, 1H)
1759	[CDCl ₃] 1.32 (s, 9H); 8.50 (br, 1H); 8.60 (s, 1H)
1760	[CDCl ₃] 3.12 (s, 6H); 7.99 (s, 1H)
1761	[CDCl ₃] 1.24 (t, 6H); 3.43 (m, 4H); 7.89 (s, 1H)
1762	[CDCl ₃] 0.28 (m, 2H); 0.55 (m, 2H); 1.03 (m, 1H); 3.31 (m, 2H); 8.67 (s, 1H); 8.85 (br, 1H); 11.9 (br, 1H)
1763	[CDCl ₃] 0.96 (s, 9H); 3.27 (d, 2H); 8.71 (s, 1H); 9.00 (br, 1H)
1764	[CDCl ₃] 0.95 (d, 6H); 1.89 (m, 1H); 3.28 (t, 2H); 8.70 (s, 1H); 8.97 (br, 1H)
1766	[CDCl ₃] 0.95 (d, 6H); 1.48 (q, 2H); 1.65 (m, 1H); 3.45 (m, 2H); 8.69 (s, 1H); 8.85 (br, 1H); 12.0 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
1768	[CDCl ₃] 4.08 (m, 2H); 5.21 (dd, 2H); 5.89 (m, 1H); 8.71 (s, 1H); 9.02 (br, 1H); 12.1 (br, 1H)
1769	[CDCl ₃] 1.77 (s, 3H); 4.01 (d, 2H); 4.87 (d, 2H); 8.71 (s, 1H); 9.03 (br, 1H)
1770	[CDCl ₃] 2.23 (m, 1H); 4.23 (m, 2H); 8.72 (s, 1H); 9.20 (br, 1H); 12.0 (br, 1H)
1772	[CDCl ₃] 0.95 (t, 3H); 1.21 (d, 3H); 1.55 (m, 2H); 4.10 (m, 1H); 8.67 (br, 1H); 8.70 (s, 1H); 12.1 (br, 1H)
1774	[CDCl ₃] 0.91 (t, 3H); 1.21 (d, 3H); 1.38 (m, 2H); 1.50 (m, 2H); 4.19 (m, 1H); 8.64 (br, 1H); 8.69 (s, 1H); 12.0 (br, 1H)
1775	[CDCl ₃] 0.89 (t, 3H); 1.21 (d, 3H); 1.33 (m, 6H); 1.51 (m, 2H); 4.17 (m, 1H); 8.60 (br, 1H); 8.68 (s, 1H)
1776	[CDCl ₃] 0.90 (m, 6H); 1.21 (d, 3H); 1.31 (m, 1H); 1.45 (m, 1H); 1.64 (m, 1H); 4.25 (m, 1H); 8.60 (br, 1H); 8.69 (s, 1H)
1777	[CDCl ₃] 0.94 (s, 9H); 1.15 (d, 3H); 4.08 (m, 1H); 8.69 (s, 1H); 8.79 (br, 1H)
1778	[CDCl ₃] 0.93 (m, 6H); 1.17 (d, 3H); 1.79 (m, 1H); 4.05 (m, 1H); 8.67 (s, 1H); 8.71 (br, 1H)
1780	[CDCl ₃] 0.92 (t, 6H); 1.48 (m, 2H); 1.62 (m, 2H); 3.96 (m, 1H); 8.61 (s, 1H); 9.16 (d, br, 1H)
1781	[CDCl ₃] 3.40 (s, 6H); 3.61 (t, 2H); 4.50 (t, 1H); 8.63 (s, 1H); 8.76 (br, 1H)
1783	[CDCl ₃] 3.64 (m, 2H); 3.86 (m, 2H); 8.68 (s, 1H); 9.17 (br, 1H)
1784	[CDCl ₃] 3.49 (s, 3H); 3.55 (m, 2H); 3.66 (m, 2H); 8.62 (s, 1H); 8.77 (br, 1H)
1785	[CDCl ₃] 1.27 (d, 3H); 3.39 (s, 3H); 3.44 (m, 2H); 4.37 (m, 1H); 8.56 (br, 1H); 8.60 (s, 1H); 11.9 (br, 1H)
1786	[CDCl ₃] 1.90 (m, 2H); 3.40 (s, 3H); 3.58 (m, 4H); 8.40 (s, 1H); 8.65 (br, 1H)
1787	[CDCl ₃] 3.78 (s, 3H); 4.24 (d, 2H); 8.69 (s, 1H); 9.25 (br, 1H); 11.5 (br, 1H)
1792	[DMSO] 8.22 (br, 1H); 8.37 (br, 1H); 8.81 (br, 1H); 13.7 (br, 1H)
1793	[CDCl ₃] 3.00 (d, 3H); 8.80 (s, br, 2H); 12.0 (br, 1H)
1794	[CDCl ₃] 1.24 (t, 3H); 3.49 (m, 2H); 8.40 (s, br, 2H); 12.0 (br, 1H)
1795	[DMSO] 0.89 (t, 3H); 1.53 (m, 2H); 3.26 (q, 2H); 8.72 (s, 1H); 8.78 (t, br, 1H); 13.4 (br, 1H)
1796	[DMSO] 1.18 (d, 6H); 4.08 (m, 1H); 8.60 (d, br, 2H); 13.4 (br, 1H)
1797	[DMSO] 0.60 (m, 2H); 0.75 (m, 2H); 2.88 (m, 1H); 8.68 (s, 1H); 8.77 (d, br, 1H); 13.3 (br, 1H)
1798	[CDCl ₃] 0.94 (t, 3H); 1.40 (m, 2H); 1.59 (m, 2H); 3.44 (m, 2H); 8.79 (s, br, 2H)
1799	[DMSO] 1.70 (m, 2H); 2.05 (m, 2H); 2.27 (m, 2H); 4.39 (m, 1H); 8.71 (s, 1H); 8.95 (d, br, 1H); 13.4 (br, 1H)
1800	[CDCl ₃] 1.43 (s, 9H); 8.70 (br, 1H); 8.85 (s, 1H); 11.5 (br)
1801	[CDCl ₃] 3.10 (s, 6H); 8.20 (s, 1H)
1802	[CDCl ₃] 1.23 (t, 6H); 3.43 (m, br, 4H); 8.12 (s, 1H)
1803	[DMSO] 0.26 (m, 2H); 0.50 (m, 2H); 1.05 (m, 1H); 3.19 (t, 2H); 8.76 (s, 1H); 8.87 (br, 1H); 13.5 (br, 1H)
1804	[DMSO] 0.91 (s, 9H); 3.13 (d, 2H); 8.61 (t, br, 1H); 8.68 (s, 1H); 13.4 (br, 1H)
1805	[DMSO] 0.89 (d, 6H); 1.84 (m, 1H); 3.14 (t, 2H); 8.70 (s, 1H); 8.75 (t, br, 1H); 13.4 (br, 1H)
1807	[DMSO] 0.89 (d, 6H); 1.40 (q, 2H); 1.62 (m, 1H); 3.30 (m, 2H); 8.71 (s, 1H); 8.73 (t, br, 1H); 13.4 (br, 1H)
1809	[DMSO] 3.93 (m, 2H); 5.18 (dd, 2H); 5.90 (m, 1H); 8.71 (s, 1H); 8.89 (t, br, 1H); 13.4 (br, 1H)
1810	[DMSO] 1.72 (s, 3H); 3.87 (d, 2H); 4.85 (d, 2H); 8.71 (s, 1H); 8.87 (t, br, 1H); 13.3 (br, 1H)
1811	[DMSO] 3.18 (m, 1H); 4.10 (m, 2H); 8.69 (s, 1H); 9.01 (t, br, 1H); 13.4 (br, 1H)
1813	[DMSO] 0.87 (t, 3H); 1.14 (d, 3H); 1.50 (m, 2H); 3.90 (m, 1H); 8.52 (d, br, 1H); 8.72 (s, 1H); 13.4 (br, 1H)
1815	[DMSO] 0.89 (t, 3H); 1.15 (d, 3H); 1.30 (m, 2H); 1.47 (m, 2H); 4.00 (m, 1H); 8.54 (br, 1H); 8.72 (s, 1H); 13.4 (br, 1H)
1816	[CDCl ₃] 0.89 (t, 3H); 1.20 (d, 3H); 1.27-1.37 (m, 6H); 1.51 (m, 2H); 4.16 (m, 1H); 8.75 (br, 1H); 8.90 (s, 1H); 12.1 (br, 1H)
1817	[CDCl ₃] 0.91 (m, 6H); 1.20 (d, 3H); 1.32 (m, 1H); 1.45 (m, 1H); 1.65 (m, 1H); 4.25 (m, 1H); 8.66 (br, 1H); 8.90 (s, 1H); 11.9 (br, 1H)
1818	[CDCl ₃] 0.94 (s, 9H); 1.14 (d, 3H); 4.07 (m, 1H); 8.85 (br, 1H); 8.90 (s, 1H)
1819	[CDCl ₃] 0.95 (m, 6H); 1.18 (d, 3H); 1.79 (m, 1H); 4.04 (m, 1H); 8.75 (br, 1H); 8.89 (s, 1H)
1820	[CDCl ₃] 0.93 (t, 6H); 1.48 (m, 2H); 1.64 (m, 2H); 3.98 (m, 1H); 8.63 (br, 1H); 8.90 (s, 1H); 11.6 (br, 1H)
1821	[CDCl ₃] 3.40 (s, 6H); 3.60 (t, 2H); 4.49 (t, 1H); 8.85 (s, br, 2H); 11.4 (br, 1H)
1823	[CDCl ₃] 3.63 (m, 2H); 3.83 (m, 2H); 8.86 (s, 1H); 9.17 (br, 1H)
1824	[CDCl ₃] 3.38 (s, 3H); 3.55 (m, 2H); 3.65 (m, 2H); 8.82 (s, 1H); 8.84 (br, 1H); 11.4 (br, 1H)
1826	[CDCl ₃] 1.25 (d, 3H); 3.39 (s, 3H); 3.43 (m, 2H); 4.38 (m, 1H); 8.67 (br, 1H); 8.82 (s, 1H); 11.5 (br, 1H)
1827	[CDCl ₃] 1.89 (m, 2H); 3.40 (s, 3H); 3.55 (m, 4H); 8.67 (s, 1H); 8.74 (br, 1H); 11.8 (br, 1H)
1828	[CDCl ₃] 3.78 (s, 3H); 4.24 (d, 2H); 8.89 (s, 1H); 9.30 (br, 1H)
1833	[DMSO] 2.85 (d, 3H); 8.69 (s, 1H); 8.71 (br, 1H); 13.5 (br, 1H)
1834	[DMSO] 1.12 (t, 3H); 3.30 (m, 2H); 8.70 (s, 1H); 8.75 (t, br, 1H); 13.5 (br, 1H)
1835	[DMSO] 0.89 (t, 3H); 1.52 (m, 2H); 3.25 (q, 2H); 8.70 (s, 1H); 8.75 (t, br, 1H); 13.5 (br, 1H)
1837	[DMSO] 0.59 (m, 2H); 0.76 (m, 2H); 2.86 (m, 1H); 8.66 (s, 1H); 8.76 (br, 1H); 13.3 (br)
1838	[CDCl ₃] 0.95 (t, 3H); 1.42 (m, 2H); 1.60 (m, 2H); 3.45 (m, 2H); 8.86 (s, 1H); 8.95 (br, 1H)
1839	[CDCl ₃] 1.81 (m, 2H); 2.00 (m, 2H); 2.42 (m, 2H); 4.54 (m, 1H); 8.84 (s, 1H); 9.17 (d, br, 1H); 12.2 (br, 1H)
1845	[CDCl ₃] 0.29 (m, 2H); 0.57 (m, 2H); 1.05 (m, 1H); 3.32 (t, 2H); 8.87 (s, 1H); 9.05 (br, 1H); 12.3 (br, 1H)
1847	[CDCl ₃] 1.00 (d, 6H); 1.90 (m, 1H); 3.30 (t, 2H); 8.85 (s, 1H); 9.00 (br, 1H)
1849	[CDCl ₃] 0.95 (d, 6H); 1.51 (q, 2H); 1.68 (m, 1H); 3.46 (m, 2H); 8.85 (s, 1H); 8.91 (br, 1H)
1851	[DMSO] 3.94 (m, 2H); 5.16 (dd, 2H); 5.90 (m, 1H); 8.70 (s, 1H); 8.85 (t, br, 1H); 13.4 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
1852	[CDCl ₃] 1.79 (s, 3H); 4.02 (m, 2H); 4.92 (m, 2H); 8.88 (s, 1H); 9.10 (t, br, 1H)
1853	[CDCl ₃] 2.26 (m, 1H); 4.22 (m, 2H); 8.86 (s, 1H); 9.25 (br, 1H)
1854	[DMSO] 0.87 (t, 3H); 1.13 (d, 3H); 1.50 (m, 2H); 3.91 (m, 1H); 8.55 (d, br, 1H); 8.70 (br, 1H); 13.5 (br, 1H)
1856	[DMSO] 0.89 (t, 3H); 1.15 (d, 3H); 1.30 (m, 2H); 1.49 (m, 2H); 4.01 (m, 1H); 8.51 (d, br, 1H); 8.71 (s, 1H); 13.6 (br, 1H)
1857	[CDCl ₃] 0.87 (t, 3H); 1.22 (s, 3H); 1.25-1.35 (m, 6H); 1.53 (m, 2H); 4.14 (m, 1H); 8.81 (d, br, 1H); 8.88 (s, 1H)
1858	[CDCl ₃] 0.92 (m, 6H); 1.22 (d, 3H); 1.33 (m, 1H); 1.48 (m, 1H); 1.65 (m, 1H); 4.25 (m, 1H); 8.84 (br, 1H); 8.88 (s, 1H); 12.3 (br, 1H)
1860	[CDCl ₃] 0.95 (m, 6H); 1.18 (d, 3H); 1.81 (m, 1H); 4.05 (m, 1H); 8.90 (s, 1H); 9.01 (d, br, 1H)
1861	[DMSO] 0.88 (t, 6H); 1.45 (m, 2H); 1.55 (m, 2H); 3.79 (m, 1H); 8.40 (d, br, 1H); 8.70 (s, 1H); 13.5 (br, 1H)
1867	[DMSO] 3.29 (s, 3H); 3.47 (m, 4H); 8.73 (s, 1H); 8.79 (br, 1H); 13.6 (br, 1H)
1869	[CDCl ₃] 1.28 (d, 3H); 3.39 (s, 3H); 3.45 (m, 2H); 4.35 (m, 1H); 8.81 (s, 1H); 8.86 (br, 1H); 12.0 (br, 1H)
1870	[CDCl ₃] 1.90 (m, 2H); 3.41 (s, 3H); 3.56 (m, 4H); 8.62 (s, 1H); 8.76 (br, 1H); 11.9 (br, 1H)
1876	[DMSO] 8.18 (br, 1H); 8.35 (br, 1H); 8.79 (s, 1H); 13.7 (br, 1H)
1877	[DMSO] 2.83 (d, 3H); 8.70 (br, 2H); 13.5 (br, 1H)
1878	[DMSO] 1.12 (t, 3H); 3.32 (m, 2H); 8.71 (s, 1H); 8.76 (t, br, 1H); 13.4 (br, 1H)
1879	[DMSO] 0.90 (t, 3H); 1.51 (m, 2H); 3.25 (q, 2H); 8.70 (s, 1H); 8.78 (t, br, 1H); 13.5 (br, 1H)
1880	[DMSO] 1.18 (d, 6H); 4.07 (m, 2H); 8.57 (d, br, 1H); 8.72 (s, 1H); 13.4 (br, 1H)
1881	[DMSO] 0.58 (m, 2H); 0.74 (m, 2H); 2.85 (m, 1H); 8.66 (s, 1H); 8.74 (br, 1H); 13.4 (br, 1H)
1882	[DMSO] 0.89 (t, 3H); 1.31 (m, 2H); 1.50 (m, 2H); 3.30 (m, 2H); 8.70 (s, 1H); 8.75 (br, 1H)
1883	[DMSO] 1.69 (m, 2H); 2.02 (m, 2H); 2.25 (m, 2H); 4.39 (m, 1H); 8.70 (s, 1H); 8.95 (br, 1H)
1884	[CDCl ₃] 1.47 (s, 9H); 8.87 (s, 1H); 9.02 (br, 1H); 12.2 (br, 1H)
1885	[CDCl ₃] 3.12 (s, 6H); 8.16 (s, 1H)
1886	[DMSO] 0.23 (m, 2H); 0.45 (m, 2H); 1.02 (m, 1H); 3.19 (t, 2H); 8.72 (s, 1H); 8.83 (br, 1H); 13.4 (br, 1H)
1887	[DMSO] 0.90 (s, 9H); 3.14 (d, 2H); 8.72 (br, 1H); 8.67 (s, 1H); 13.4 (br, 1H)
1888	[DMSO] 0.90 (d, 6H); 1.84 (m, 1H); 3.12 (t, 2H); 8.68 (s, 1H); 8.73 (t, br, 1H); 13.4 (br, 1H)
1890	[DMSO] 0.90 (d, 6H); 1.41 (m, 2H); 1.60 (m, 1H); 3.30 (m, 2H); 8.69 (s, 1H); 8.72 (t, br, 1H); 13.5 (br, 1H)
1892	[DMSO] 3.94 (m, 2H); 5.15 (dd, 2H); 5.89 (m, 1H); 8.70 (s, 1H); 8.85 (t, br, 1H)
1894	[DMSO] 1.70 (s, 3H); 3.86 (d, 2H); 4.84 (d, 2H); 8.68 (s, 1H); 8.95 (br, 1H); 13.4 (br, 1H)
1895	[DMSO] 3.10 (t, 1H); 4.05 (m, 2H); 8.25 (br, 1H); 8.30 (s, 1H); 11.5 (br, 1H)
1897	[CDCl ₃] 0.95 (t, 3H); 1.24 (d, 3H); 1.60 (m, 2H); 4.10 (m, 1H); 8.87 (br, 2H)
1899	[CDCl ₃] 0.92 (t, 3H); 1.23 (d, 3H); 1.40 (m, 2H); 1.52 (m, 2H); 4.17 (m, 1H); 8.88 (s, 1H); 8.91 (br, 1H)
1900	[DMSO] 0.86 (t, 3H); 1.15 (d, 3H); 1.25 (m, 6H); 1.48 (m, 2H); 4.00 (m, 1H); 8.53 (d, br, 1H); 8.71 (s, 1H); 13.4 (br, 1H)
1903	[DMSO] 0.89 (d, 6H); 1.13 (d, 3H); 1.27 (m, 1H); 1.48 (m, 1H); 1.62 (m, 1H); 4.09 (m, 1H); 8.50 (d, br, 1H); 8.71 (s, 1H); 13.5 (br, 1H)
1904	[DMSO] 0.81 (s, 9H); 1.07 (d, 3H); 3.90 (m, 1H); 8.43 (d, br, 1H); 8.67 (s, 1H); 13.4 (br, 1H)
1905	[DMSO] 0.88 (d, 6H); 1.10 (d, 3H); 1.75 (m, 1H); 1.48 (m, 1H); 3.85 (m, 1H); 8.49 (d, br, 1H); 8.70 (s, 1H); 13.5 (br, 1H)
1907	[DMSO] 0.87 (t, 6H); 1.43 (m, 2H); 1.55 (m, 2H); 3.78 (m, 1H); 8.55 (br, 1H); 8.67 (s, 1H); 13.5 (br, 1H)
1910	[CDCl ₃] 3.41 (s, 6H); 3.62 (t, 2H); 4.50 (t, 1H); 8.82 (s, 1H); 8.95 (br, 1H); 11.2 (br, 1H)
1912	[DMSO] 3.39 (m, 2H); 3.52 (m, 2H); 4.83 (br, 1H); 8.76 (s, 1H); 8.80 (t, br, 1H); 13.6 (br, 1H)
1913	[DMSO] 3.26 (s, 3H); 3.47 (m, 4H); 8.71 (s, 1H); 8.79 (br, 1H)
1916	[CDCl ₃] 1.27 (d, 3H); 3.39 (s, 3H); 3.44 (m, 2H); 4.38 (m, 1H); 8.83 (s, 1H); 8.95 (br, 1H); 12.1 (br, 1H)
1917	[DMSO] 1.75 (m, 2H); 3.24 (s, 3H); 3.39 (m, 4H); 8.70 (s, 1H); 8.81 (br, 1H); 13.4 (br, 1H)
1918	[DMSO] 3.66 (s, 3H); 4.12 (d, 2H); 8.71 (s, 1H); 9.02 (t, br, 1H); 13.5 (br, 1H)
1923	[DMSO] 2.81 (d, 3H); 8.72 (s, 1H); 8.77 (br, 1H); 13.4 (br)
1924	[DMSO] 1.14 (t, 3H); 3.35 (m, 2H); 8.74 (s, 1H); 8.82 (t, br, 1H); 13.4 (br)
1925	[DMSO] 0.89 (t, 3H); 1.53 (m, 2H); 3.28 (q, 2H); 8.73 (s, 1H); 8.80 (t, br, 1H)
1926	[DMSO] 1.18 (d, 6H); 4.10 (m, 1H); 8.63 (d, br, 1H); 8.75 (s, 1H); 13.4 (br, 1H)
1927	[DMSO] 0.60 (m, 2H); 0.75 (m, 2H); 2.87 (m, 1H); 8.69 (s, 1H); 8.80 (br, 1H)
1928	[DMSO] 0.89 (t, 3H); 1.34 (m, 2H); 1.51 (m, 2H); 3.30 (m, 2H); 8.72 (s, 1H); 8.79 (br, 1H)
1929	[DMSO] 1.72 (m, 2H); 2.05 (m, 2H); 2.27 (m, 2H); 4.38 (m, 1H); 8.73 (s, 1H); 9.00 (d, br, 1H); 13.3 (br)
1930	[DMSO] 1.37 (s, 9H); 8.30 (br, 1H); 8.66 (s, 1H); 13.4 (br)
1931	[DMSO] 2.80 (s, 3H); 2.96 (s, 3H); 8.27 (s, 1H); 12.4 (br, 1H)
1932	[DMSO] 1.01 (t, 3H); 1.11 (t, 3H); 3.10 (q, 2H); 3.42 (q, 2H); 8.25 (s, 1H); 12.3 (br, 1H)
1933	[DMSO] 0.26 (m, 2H); 0.47 (m, 2H); 1.04 (m, 1H); 3.20 (m, 2H); 8.77 (s, 1H); 8.87 (t, br, 1H); 13.5 (br, 1H)
1934	[DMSO] 0.90 (s, 9H); 3.13 (d, 2H); 8.62 (t, br, 1H); 8.70 (s, 1H); 13.3 (br, 1H)
1935	[DMSO] 0.90 (d, 6H); 1.85 (m, 1H); 3.13 (m, 2H); 8.71 (s, 1H); 8.75 (t, br, 1H); 13.4 (br, 1H)
1937	[CDCl ₃] 0.94 (d, 6H); 1.49 (q, 2H); 1.66 (m, 1H); 3.46 (m, 2H); 8.75 (br, 1H); 8.85 (s, 1H); 11.5 (br)
1939	[DMSO] 3.93 (m, 2H); 5.19 (dd, 2H); 5.89 (m, 1H); 8.72 (s, 1H); 8.90 (t, br, 1H); 13.3 (br, 1H)
1941	[DMSO] 1.72 (s, 3H); 3.87 (d, 2H); 4.87 (d, 2H); 8.73 (s, 1H); 8.89 (t, br, 1H); 13.3 (br)
1942	[DMSO] 3.18 (m, 1H); 4.10 (m, 2H); 8.70 (s, 1H); 9.04 (t, br, 1H); 13.3 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No.	¹ H-NMR data
1944	[DMSO] 0.89 (t, 3H); 1.14 (d, 3H); 1.51 (m, 2H); 3.91 (m, 1H); 8.55 (d, br, 1H); 8.75 (s, 1H); 13.4 (br, 1H)
1946	[DMSO] 0.89 (t, 3H); 1.15 (d, 3H); 1.31 (m, 2H); 1.47 (m, 2H); 4.01 (m, 1H); 8.56 (br, 1H); 8.74 (s, 1H); 13.4 (br, 1H)
1947	[DMSO] 0.85 (t, 3H); 1.15 (d, 3H); 1.20-1.35 (m, 6H); 1.49 (m, 2H); 4.00 (m, 1H); 8.58 (d, br, 1H); 8.74 (s, 1H); 13.4 (br, 1H)
1948	[DMSO] 0.88 (d, 6H); 1.15 (d, 3H); 1.27 (m, 1H); 1.48 (m, 1H); 1.61 (m, 1H); 4.10 (m, 1H); 8.56 (d, br, 1H); 8.74 (s, 1H); 13.5 (br, 1H)
1949	[CDCl ₃] 0.94 (s, 1H); 1.15 (d, 3H); 4.09 (m, 1H); 8.89 (br, 1H); 8.91 (s, 1H)
1950	[CDCl ₃] 0.94 (m, 6H); 1.17 (d, 3H); 1.80 (m, 1H); 4.05 (m, 1H); 8.88 (br, 1H); 8.92 (s, 1H)
1951	[CDCl ₃] 0.92 (t, 6H); 1.49 (m, 2H); 1.65 (m, 2H); 3.99 (m, 1H); 8.78 (br, 1H); 8.92 (s, 1H)
1952	[CDCl ₃] 3.41 (s, 6H); 3.61 (t, 2H); 4.50 (t, 1H); 8.84 (s, br, 2H); 11.4 (br, 1H)
1954	[DMSO] 3.39 (m, 2H); 3.52 (m, 2H); 4.80 (br, 1H); 8.79 (s, 1H); 8.82 (t, br, 1H); 13.5 (br, 1H)
1955	[CDCl ₃] 3.38 (s, 3H); 3.55 (m, 2H); 3.66 (m, 2H); 8.78 (s, br, 1H); 8.82 (s, 1H); 11.5 (br)
1957	[CDCl ₃] 1.27 (d, 3H); 3.38 (s, 3H); 3.42 (m, 2H); 4.38 (m, 1H); 8.75 (br, 1H); 8.84 (s, 1H); 12.3 (br, 1H)
1958	[CDCl ₃] 1.89 (m, 2H); 3.41 (s, 3H); 3.56 (m, 4H); 8.61 (s, 1H); 8.68 (br, 1H); 11.8 (br, 1H)
1959	[DMSO] 3.67 (s, 3H); 4.12 (d, 2H); 8.73 (s, 1H); 9.04 (t, br, 1H); 13.5 (br, 1H)
1964	[DMSO] 8.25 (br, 1H); 8.37 (br, 1H); 8.47 (s, 1H); 13.7 (br, 1H)
1965	[DMSO] 2.82 (d, 3H); 8.37 (s, 1H); 8.72 (d, br, 1H); 13.5 (br, 1H)
1966	[DMSO] 1.13 (t, 3H); 3.34 (m, 2H); 8.39 (s, 1H); 8.76 (t, br, 1H); 13.5 (br, 1H)
1967	[DMSO] 0.90 (t, 3H); 1.53 (m, 2H); 3.26 (q, 2H); 8.39 (s, 1H); 8.75 (t, br, 1H); 13.5 (br, 1H)
1968	[DMSO] 1.18 (d, 6H); 4.09 (m, 1H); 8.41 (s, 1H); 8.58 (d, br, 1H); 13.5 (br, 1H)
1969	[DMSO] 0.59 (m, 2H); 0.75 (m, 2H); 2.86 (m, 1H); 8.34 (s, 1H); 8.73 (d, br, 1H); 13.4 (br, 1H)
1970	[DMSO] 0.89 (t, 3H); 1.33 (m, 2H); 1.51 (m, 2H); 3.31 (m, 2H); 8.39 (s, 1H); 8.73 (t, br, 1H); 13.5 (br, 1H)
1971	[DMSO] 1.70 (m, 2H); 2.04 (m, 2H); 2.25 (m, 2H); 4.38 (m, 1H); 8.39 (s, 1H); 8.92 (d, br, 1H); 13.4 (br, 1H)
2006	[DMSO] 8.25 (br, 1H); 8.35 (br, 1H); 8.61 (s, 1H); 13.7 (br, 1H)
2007	[DMSO] 2.82 (d, 3H); 8.51 (s, 1H); 8.74 (d, br, 1H); 13.5 (br, 1H)
2008	[DMSO] 1.13 (t, 3H); 3.33 (m, 2H); 8.53 (s, 1H); 8.78 (t, br, 1H); 13.5 (br, 1H)
2009	[DMSO] 0.89 (t, 3H); 1.53 (m, 2H); 3.26 (q, 2H); 8.51 (s, 1H); 8.76 (t, br, 1H); 13.5 (br, 1H)
2010	[DMSO] 1.18 (d, 6H); 4.07 (m, 1H); 8.53 (s, 1H); 8.61 (d, br, 1H); 13.5 (br, 1H)
2011	[DMSO] 0.58 (m, 2H); 0.74 (m, 2H); 2.86 (m, 1H); 8.48 (s, 1H); 8.77 (d, br, 1H); 13.4 (br, 1H)
2012	[DMSO] 0.90 (t, 3H); 1.33 (m, 2H); 1.51 (m, 2H); 3.30 (m, 2H); 8.52 (s, 1H); 8.77 (t, br, 1H); 13.5 (br, 1H)
2013	[DMSO] 1.72 (m, 2H); 2.05 (m, 2H); 2.25 (m, 2H); 4.39 (m, 1H); 8.51 (s, 1H); 8.95 (d, br, 1H); 13.4 (br, 1H)
2014	[DMSO] 1.37 (s, 9H); 8.31 (br, 1H); 8.45 (s, 1H); 13.4 (br, 1H)
2015	[DMSO] 2.82 (s, 3H); 2.95 (s, 3H); 8.12 (s, 1H); 12.5 (br, 1H)
2017	[DMSO] 0.26 (m, 2H); 0.47 (m, 2H); 1.04 (m, 1H); 3.30 (m, 2H); 8.56 (s, 1H); 8.86 (t, br, 1H); 13.5 (br, 1H)
2018	[DMSO] 0.91 (s, 9H); 3.13 (d, 2H); 8.47 (s, 1H); 8.63 (t, br, 1H); 13.5 (br, 1H)
2019	[DMSO] 0.90 (d, 6H); 1.83 (m, 1H); 3.13 (m, 2H); 8.50 (s, 1H); 8.73 (t, br, 1H); 13.4 (br, 1H)
2021	[DMSO] 0.89 (d, 6H); 1.42 (q, 2H); 1.62 (m, 1H); 3.31 (m, 2H); 8.51 (s, 1H); 8.75 (t, br, 1H); 13.5 (br, 1H)
2023	[DMSO] 3.94 (m, 2H); 5.18 (dd, 2H); 5.89 (m, 1H); 8.52 (s, 1H); 8.88 (t, br, 1H); 13.4 (br, 1H)
2025	[DMSO] 1.72 (s, 3H); 3.86 (d, 2H); 4.85 (d, 2H); 8.50 (s, 1H); 8.87 (t, br, 1H); 13.4 (br, 1H)
2026	[DMSO] 3.18 (m, 1H); 4.10 (m, 2H); 8.48 (s, 1H); 9.02 (t, br, 1H); 13.3 (br, 1H)
2030	[CDCl ₃] 0.93 (t, 3H); 1.23 (d, 3H); 1.40 (m, 2H); 1.52 (m, 2H); 4.21 (m, 1H); 8.74 (s, 1H); 8.97 (d, br, 1H); 12.9 (br, 1H)
2031	[DMSO] 0.85 (t, 3H); 1.15 (d, 3H); 1.20-1.35 (m, 6H); 1.48 (m, 2H); 3.99 (m, 1H); 8.52 (s, 1H); 8.54 (d, br, 1H); 13.5 (br, 1H)
2032	[DMSO] 0.88 (d, 6H); 1.14 (d, 3H); 1.27 (m, 1H); 1.48 (m, 1H); 1.62 (m, 1H); 4.10 (m, 1H); 8.51 (s, 1H); 8.53 (d, br, 1H); 13.5 (br, 1H)
2035	[DMSO] 0.86 (t, 6H); 1.45 (m, 2H); 1.55 (m, 2H); 3.78 (m, 1H); 8.82 (d, br, 1H); 8.51 (s, 1H); 13.5 (br, 1H)
2036	[CDCl ₃] 3.41 (s, 6H); 3.61 (t, 2H); 4.50 (t, 1H); 8.67 (s, 1H); 9.00 (br, 1H); 11.7 (br, 1H)
2038	[DMSO] 3.35 (m, 2H); 3.53 (m, 2H); 4.81 (br, 1H); 8.56 (s, 1H); 8.80 (t, br, 1H); 13.6 (br, 1H)
2039	[CDCl ₃] 3.38 (s, 3H); 3.56 (m, 2H); 3.65 (m, 2H); 8.65 (s, 1H); 9.01 (s, 1H); 11.8 (br, 1H)
2041	[DMSO] 1.15 (d, 3H); 3.30 (s, 3H); 3.40 (m, 2H); 4.18 (m, 1H); 8.52 (s, 1H); 8.64 (d, br, 1H); 13.4 (br, 1H)
2048	[DMSO] 8.20 (br, 1H); 8.37 (br, 1H); 8.81 (s, 1H); 13.7 (br, 1H)
2090	[DMSO] 7.45 (d, 1H); 8.14 (br, 1H); 8.42 (br, 1H); 8.48 (d, 1H); 13.7 (br, 1H)
2091	[DMSO] 2.84 (d, 3H); 7.42 (d, 1H); 8.41 (d, 1H); 8.79 (br, 1H); 13.4 (br, 1H)
2092	[DMSO] 1.12 (t, 3H); 3.32 (m, 2H); 7.42 (d, 1H); 8.42 (d, 1H); 8.83 (br, 1H); 13.4 (br, 1H)
2093	[DMSO] 0.89 (t, 3H); 1.54 (m, 2H); 3.27 (q, 2H); 7.42 (d, 1H); 8.41 (d, 1H); 8.82 (br, 1H); 13.4 (br, 1H)
2094	[DMSO] 1.18 (d, 6H); 4.11 (m, 1H); 7.41 (d, 1H); 8.41 (d, 1H); 8.63 (br, 1H); 13.4 (br, 1H)
2095	[CDCl ₃] 0.61 (m, 2H); 0.88 (m, 2H); 3.00 (m, 1H); 6.89 (d, 1H); 8.68 (d, 1H); 9.35 (br, 1H); 12.5 (br, 1H)
2096	[DMSO] 0.89 (t, 3H); 1.33 (m, 2H); 1.52 (m, 2H); 3.32 (m, 2H); 7.42 (d, 1H); 8.41 (d, 1H); 8.81 (br, 1H); 13.3 (br, 1H)

TABLE 2-continued

NMR data of compounds from Table 1	
Ex. No. ¹ H-NMR data	
2097	[DMSO] 1.72 (m, 2H); 2.05 (m, 2H); 2.46 (m, 2H); 4.41 (m, 1H); 7.43 (d, 1H); 8.39 (d, 1H); 8.96 (br, 1H); 13.3 (br, 1H)
2101	[DMSO] 0.26 (m, 2H); 0.47 (m, 2H); 1.06 (m, 1H); 3.22 (m, 2H); 7.45 (d, 1H); 8.45 (d, 1H); 8.91 (br, 1H); 13.4 (br, 1H)
2102	[DMSO] 0.92 (s, 9H); 3.15 (d, 2H); 7.40 (d, 1H); 8.41 (d, 1H); 8.75 (br, 1H); 13.3 (br, 1H)
2103	[DMSO] 0.90 (d, 6H); 1.83 (m, 1H); 3.14 (t, 2H); 7.40 (d, 1H); 8.40 (d, 1H); 8.81 (t, br, 1H); 13.3 (br, 1H)
2105	[DMSO] 0.90 (d, 6H); 1.42 (m, 2H); 1.62 (m, 1H); 3.33 (m, 2H); 7.41 (d, 1H); 8.40 (d, 1H); 8.80 (br, 1H); 13.3 (br, 1H)
2107	[DMSO] 3.95 (t, 2H); 5.17 (dd, 2H); 5.90 (m, 1H); 7.41 (d, 1H); 8.41 (d, 1H); 8.93 (br, 1H); 13.3 (br, 1H)
2109	[DMSO] 1.72 (s, 3H); 3.88 (d, 2H); 4.85 (d, 2H); 7.42 (d, 1H); 8.42 (d, 1H); 8.94 (br, 1H); 13.3 (br, 1H)
2110	[DMSO] 3.17 (m, 1H); 4.11 (m, 2H); 7.41 (d, 1H); 8.40 (d, 1H); 9.06 (br, 1H); 13.3 (br, 1H)
2112	[DMSO] 0.89 (t, 3H); 1.15 (d, 3H); 1.53 (m, 2H); 3.95 (m, 1H); 7.42 (d, 1H); 8.42 (d, 1H); 8.60 (br, 1H); 13.4 (br, 1H)
2114	[DMSO] 0.88 (t, 3H); 1.15 (d, 3H); 1.32 (m, 2H); 1.48 (m, 2H); 4.04 (m, 1H); 7.42 (d, 1H); 8.41 (d, 1H); 8.59 (br, 1H); 13.4 (br, 1H)
2115	[DMSO] 0.85 (t, 3H); 1.16 (d, 3H); 1.20-1.35 (m, 6H); 1.50 (m, 2H); 4.02 (m, 1H); 7.42 (d, 1H); 8.44 (d, 1H); 8.62 (d, br, 1H); 13.4 (br, 1H)
2116	[DMSO] 0.88 (d, 6H); 1.14 (d, 3H); 1.25 (m, 1H); 1.48 (m, 1H); 1.63 (m, 1H); 4.21 (m, 1H); 6.92 (d, 1H); 8.42 (d, 1H); 8.59 (d, br, 1H); 13.4 (br, 1H)
2122	[DMSO] 3.40 (m, 2H); 3.52 (m, 2H); 4.82 (br, 1H); 7.44 (d, 1H); 8.46 (d, 1H); 8.88 (br, 1H); 13.4 (br, 1H)
2133	[DMSO] 2.83 (d, 3H); 8.58 (s, 1H); 8.82 (d, br, 1H); 13.4 (br, 1H)
2134	[DMSO] 1.14 (t, 3H); 3.34 (m, 2H); 8.60 (s, 1H); 8.86 (t, br, 1H); 13.5 (br, 1H)
2135	[DMSO] 0.89 (t, 3H); 1.54 (m, 2H); 3.26 (q, 2H); 8.59 (s, 1H); 8.85 (t, br, 1H); 13.5 (br, 1H)
2137	[DMSO] 0.60 (m, 2H); 0.75 (m, 2H); 2.88 (m, 1H); 8.55 (s, 1H); 8.85 (d, br, 1H); 13.4 (br, 1H)
2138	[DMSO] 0.90 (t, 3H); 1.33 (m, 2H); 1.51 (m, 2H); 3.31 (m, 2H); 8.59 (s, 1H); 8.84 (t, br, 1H); 13.4 (br, 1H)
2139	[DMSO] 1.71 (m, 2H); 2.05 (m, 2H); 2.25 (m, 2H); 4.40 (m, 1H); 8.62 (s, 1H); 9.04 (d, br, 1H); 13.4 (br, 1H)
2143	[DMSO] 0.26 (m, 2H); 0.47 (m, 2H); 1.05 (m, 1H); 3.20 (m, 2H); 8.63 (s, 1H); 8.94 (t, br, 1H); 13.4 (br, 1H)
2144	[DMSO] 0.91 (s, 9H); 3.15 (d, 2H); 8.55 (s, 1H); 8.66 (t, br, 1H); 13.3 (br, 1H)

3. Biological Examples

3.1 Scoring of the Damage

The damage to the plants is scored visually using a scale of 0-100%, in comparison to control plants:

0%=no noticeable effect compared to the untreated plant

100%=the treated plants dies.

3.2 Post-Emergence Herbicide Action and Safener Action

Seeds or rhizome pieces of monocotyledonous and dicotyledonous harmful plants and crop plants are placed in sandy loam soil in plastic pots, covered with soil and cultivated in the greenhouse under good growth conditions. Alternatively, harmful plants encountered in paddy rice cultivation are cultivated in pots in which the surface of the soil is covered by up to 2 cm of water. Three weeks after sowing, the test plants are treated at the three-leaf stage. The herbicide/safener active compound combinations according to the invention, formulated as emulsion concentrates, and in parallel tests the individual active compounds formulated in a corresponding manner, are sprayed at various dosages at a water application rate of 3001 l/ha (converted) onto the green parts of the plants, and, after the test plants were left to stand in the greenhouse for about 2 to 3 weeks under optimum growth conditions, the effect of the preparations is scored visually in comparison to untreated controls. In the case of rice or harmful plants encountered in the cultivation of rice, the active compounds are also added directly to the irrigation water (application analogously to the so-called granule application) or sprayed onto the plants and into the irrigation water.

The tests show that the safeners according to the invention in combination with herbicides, in a herbicides:safener ratio

of from 2:1 to 1:20, considerably reduce the damage caused by the herbicide to crop plants such as corn, rice, wheat or barley or other cereals or dicotyledonous crop plants such as soybeans or oilseed rape compared to the application of the individual herbicides without safener, such that from 30% up to 100% less damage to the crop plant is observed. At the same time, the action of the herbicide against economically important harmful plants is not, or not essentially, adversely affected, so that good herbicidal post-emergence action against a broad spectrum of weed grasses and broad-leaved weeds can be achieved.

In barley, for example, a good safener action for the herbicide mesosulfuron-methyl could be achieved using the compounds Nos. 1, 2, 3, 5, 7, 9, 10, 13, 14, 22, 25, 26, 30, 34, 36, 40, 57, 64, 66, 79, 100, 101, 102, 105, 114, 115, 116, 117, 119, 123, 124, 128, 130, 134, 136, 138, 140, 146, 148, 153, 154, 155, 157, 163, 165, 168, 169, 171, 178, 193, 194, 214, 341, 342, 344, 345, 346, 382, 384, 392, 398, 405, 407, 455, 457, 489, 496, 498, 571, 909, 910, 911, 913, 943, 950, 960, 1023, 1026, 1029, 1030, 1201, 1481, 1487, 1598, 1599, 1600, 1636, 1907.

In corn, for example, a good safener action for the herbicide tembotrione could be achieved using the following compounds from Table 1: 4, 6, 9, 10, 14, 24, 32, 34, 36, 43, 64, 66, 71, 75, 79, 105, 114, 118, 140, 146, 148, 153, 169, 178, 210, 214, 345, 51, 95, 341, 456, 457, 489, 496, 498, 909, 911, 913, 943, 960, 1026, 1139, 1368, 1371, 1485, 1488, 1596, 1597, 1598, 1599, 1600, 1604, 1619, 1625, 1629, 1636, 1638, 1646, 1758.

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In rice, for example, the following compounds from Table 1 achieved good safener action for fenoxaprop-P-ethyl and thienicarbazone or thienicarbazone-methyl:

1, 2, 3, 4, 9, 16, 20, 22, 34, 41, 47, 54, 57, 75, 76, 79, 80, 81, 101, 103, 105, 114, 115, 117, 118, 120, 127, 128, 130, 153, 154, 157, 162, 165, 169, 171, 178, 218, 271, 341, 342, 344, 345, 346, 350, 355, 392, 398, 405, 407, 456, 973, 1482, 1596, 1597, 1598, 1600, 1603, 1609, 1625, 1638, 1646, 1659.

3.3 Pre-Emergence Herbicide Action and Safener Action

Seeds or rhizome pieces of monocotyledonous and dicotyledonous weed plants and crop plants were placed in sandy loam soil in plastic pots and covered with soil. The herbicide/safener active compound combinations according to the invention, formulated as suspension emulsion concentrates, and in parallel tests the individual active compounds formulated in a corresponding manner, were then, at various dosages at a water application rate of 600 to 800 l/ha (converted), applied to the surface of the covering soil.

After the treatment, the pots were placed in the greenhouse and kept under good growth conditions for the weeds and the crop plants. Visual scoring of the plant damage or emergence damage was carried out after the test plants had emerged after a test period of 3 to 4 weeks, in comparison to untreated controls. The test results showed that the compounds according to the invention prevented or reduced herbicide damage to the crop plants without reducing, or reducing substantially, the herbicide action against the harmful plants.

For example, example Nos. 2, 19, 39, 72, 104, 122, 155, 193, 194, 217, 232, 271, 341, 380, 392, 1368, 1597, 1625, 1636 of Table 1 showed in the test in combination with the herbicide isoxaflutol a good safener action in corn. The herbicidal action of the herbicidally active compounds used was not adversely affected.

Accordingly, in many cases, the safeners, combined with herbicides, are suitable for the selective control of harmful plants in the pre-emergence treatment of crops of useful plants.

3.4 Seed Treatment

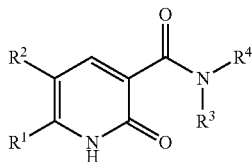
Seeds of crop plants were mixed in bottles with the safeners according to the invention, formulated as suspension or emulsion concentrates, and water, and the mixture was shaken well so that the seeds were coated evenly with the formulation of the safener in question. The seeds or the emerged plants were then tested with herbicides in the pre- or post-emergence method according to the tests of examples 3.3 and 3.2, respectively.

In the treatment of seed, too, the safeners showed good activity. The herbicidal action of the herbicidally active compounds used was not adversely affected.

Having thus described in detail various embodiments of the present invention, it is to be understood that the invention defined by the above paragraphs is not to be limited to particular details set forth in the above description as many apparent variations thereof are possible without departing from the spirit or scope of the present invention.

What is claimed is:

1. A compound of the formula (I) or a salt thereof,



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wherein the identities of R¹, R², R³, and R⁴ for the compound of formula (I) are selected from the group consisting of (A), (B), and (C);

wherein in (A):

R¹ is a (C₁-C₆)-haloalkyl radical;

R² is hydrogen or halogen; and

the identities of R³ and R⁴ are selected from the group consisting of (i), (ii) (iii), and (iv);

where for (i):

R³ is:

(a) hydrogen, (C₁-C₁₆)-alkyl, (C₂-C₁₆)-alkenyl, or (C₂-C₁₆)-alkynyl;

where each of the 3 last mentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl; or

(b) (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring;

where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted, phenyl, which is unsubstituted or substituted, and heterocyclyl, which is unsubstituted or substituted; and

R⁴ is:

(a) (C₁-C₁₆)-alkyl, (C₂-C₁₆)-alkenyl, or (C₂-C₁₆)-alkynyl;

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl; or

(b) (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring;

where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, [(C₁-C₄)-haloalkoxy]-carbonyl, (C₃-C₆)-cycloalkyl, which is unsubstituted or substituted,

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phenyl, which is unsubstituted or substituted, and heterocyclyl, which is unsubstituted or substituted; and

where for (ii):

R³ is (C₁-C₄)-alkoxy, (C₂-C₄)-alkenyloxy, (C₂-C₆)-alkynyloxy, or (C₂-C₄)-haloalkoxy; and

R⁴ is hydrogen or (C₁-C₄)-alkyl; or and

where for (iii) R³ and R⁴ together with the directly attached nitrogen atom are a four- to eight-membered heterocyclic ring which, in addition to the nitrogen atom, may also comprise further hetero ring atoms, and which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, cyano, nitro, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, and (C₁-C₄)-alkylthio; and

where for (iv) R³ and R⁴ together with the directly attached nitrogen atom are the group —N=CR⁵—NR⁶R⁷ in which:

R⁵ is hydrogen or (C₁-C₆)-alkyl, and

R⁶, R⁷ independently of one another are hydrogen or (C₁-C₄)-alkyl, or

R⁶ and R⁷ together with the directly attached nitrogen atom form a five- to seven-membered heterocyclic ring; and

wherein in (B):

R¹ is a (C₁-C₆)-haloalkyl radical;

R² is halogen;

R³ is hydrogen; and

R⁴ is hydrogen; and

wherein in (C):

R¹ is a radical of the formula CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCF₃, CFHCF₃, CF(CF₃)₂, CH(CF₃)₂, CF₂CF₂CF₃, or C(CH₃)₂F;

R² is hydrogen;

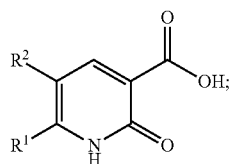
R³ is hydrogen; and

R⁴ is hydrogen.

2. A process for preparing compounds of the general formula (I) or salts thereof as defined in claim 1, which comprises:

(a) reacting a carboxylic acid of the general formula (II) with an amine of the formula (III) or a salt thereof to give the compound of the formula (I);

wherein the general formula (II) is:



where R¹ and R² are as defined for the compound of the formula (I) to be prepared;

wherein the formula (III) is:

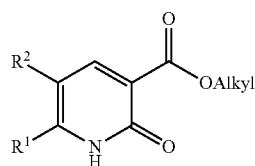


where R³ and R⁴ are as defined for the compound of the formula (I) to be prepared; or

(b) reacting a carboxylic ester of the general formula (IV) with an amine of the formula (III) or a salt thereof to give the compound of the formula (I);

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wherein the general formula (IV) is:



where R¹ and R² are as defined for the compound of the formula (I) to be prepared and "Alkyl" is an alkyl radical;

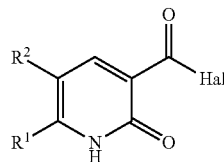
wherein the formula (III) is:



where R³ and R⁴ are as defined for the compound of the formula (I) to be prepared; or

(c) reacting a carbonyl halide or a carboxylic anhydride of the general formula (V) with an amine of the formula (III) or a salt thereof to give the compound of the formula (I);

wherein the general formula (V) is:



where R¹ and R² are as defined for the compound of the formula (I) to be prepared and Hal is a halogen atom or an acyloxy radical;

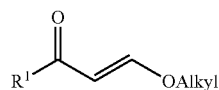
wherein the formula (III) is:



where R³ and R⁴ are as defined for the compound of the formula (I) to be prepared; or

(d) if R³ and R⁴ in the compound of the formula (I) to be prepared are each hydrogen, reacting a compound of the formula (VI) with malonamide to give the compound of the formula (I);

wherein the formula (VD) is:



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where R¹ is as defined for the compound of the formula (I) to be prepared, and "Alkyl" is an alkyl radical.

3. A crop protection composition comprising:
one or more compounds of the formula (I) or salts thereof
as defined in claim 1; and
formulation auxiliaries.
4. A crop protection composition comprising:
one or more compounds of the formula (I) or salts thereof
as defined in claim 1; and
one or more agrochemicals and, optionally, formulation
auxiliaries.
5. The compound as claimed in claim 1;
wherein R³ is:

- (a) hydrogen, (C₁-C₁₀)-alkyl, (C₁-C₁₀)-alkenyl, or (C₂-C₁₀)-alkynyl;

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl; or

- (b) (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring;

where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of:

halogen, hydroxyl, cyano, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl;

(C₃-C₆)-cycloalkyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-haloalkyl;

phenyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy and (C₁-C₄)-alkylthio; and

heterocyclyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and oxo; and

wherein R⁴ is:

- (a) (C₁-C₁₀)-alkyl, (C₂-C₁₀)-alkenyl, or (C₂-C₁₀)-alkynyl;

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl; or

- (b) (C₃-C₆)-cycloalkyl, (C₄-C₆)-cycloalkenyl, (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring, or (C₄-C₆)-cycloalkenyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring;

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where each of the 4 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of:

halogen, hydroxyl, cyano, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl;

(C₃-C₆)-cycloalkyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-haloalkyl;

phenyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy and (C₁-C₄)-alkylthio; and

heterocyclyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and oxo.

6. The compound as claimed in claim 1;

wherein R³ is:

- hydrogen, (C₁-C₁₀)-alkyl, (C₂-C₁₀)-alkenyl, or (C₂-C₁₀)-alkynyl;

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl; or

- (C₃-C₆)-cycloalkyl or (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring;

where each of the 2 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkyl; and

wherein R⁴ is:

- (C₁-C₁₀)-alkyl, (C₂-C₁₀)-alkenyl, or (C₂-C₁₀)-alkynyl;

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylamino, di[(C₁-C₄)-alkyl]-amino, [(C₁-C₄)-alkoxy]-carbonyl, and [(C₁-C₄)-haloalkoxy]-carbonyl; or

- (C₃-C₆)-cycloalkyl or (C₃-C₆)-cycloalkyl which is condensed at one side of the ring to a 4- to 6-membered saturated or unsaturated carbocyclic ring;

where each of the 2 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkyl.

7. The compound as claimed in claim 1;

wherein R³ is hydrogen, (C₁-C₁₀)-alkyl, (C₂-C₁₀)-alkenyl, or (C₂-C₁₀)-alkynyl;

where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, and [(C₁-C₄)-alkoxy]-carbonyl; and

wherein R⁴ is (C₁-C₁₀)-alkyl, (C₂-C₁₀)-alkenyl, or (C₂-C₁₀)-alkynyl;

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where each of the 3 lastmentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio, and [(C₁-C₄)-alkoxy]-carbonyl.

8. The compound as claimed in claim 1;
wherein R¹ is CF₃, CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CF₂CF₂CF₃, or C(CH₃)₂F; and
wherein R² is hydrogen or halogen.

9. The compound as claimed in claim 1;
wherein R¹ is a (C₁-C₆)-haloalkyl radical;
wherein R² is halogen;
wherein R³ is hydrogen; and
wherein R⁴ is hydrogen.

10. The compound as claimed in claim 1;
wherein R¹ is a radical of the formula CF₂Cl, CF₂H, CF₂CF₃, CF₂CF₂H, CF₂CF₂Cl, CFCICF₃, CFHCF₃, CF(CF₃)₂, CH(CF₃)₂, CF₂CF₂CF₃, or C(CH₃)₂F;
wherein R² is hydrogen;
wherein R³ is hydrogen; and
wherein R⁴ is hydrogen.

11. A method for protecting useful plants against phytotoxic side effects of agrochemicals, the method comprising:
applying an effective amount of one or more compounds as defined in claim 1 before, after, or simultaneously with the agrochemicals to the plants, parts of the plants, the plant seeds, or seed of the plants.

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12. The method as claimed in claim 11;

wherein one or more compounds of the formula (I) or salts thereof are used together with one or more agrochemicals which, applied on their own, cause damage to the useful plants, optionally in the presence of formulation auxiliaries.

13. The method as claimed in claim 11;

wherein the application is by the post-emergence method.

14. The method as claimed in claim 11;

wherein the application of the compound of the formula (I) or a salt thereof is by treating the plant seeds or seed of the plants.

15. The method as claimed in claim 11;

wherein the application is by the pre-emergence method.

16. A method for the selective control of harmful plants in crops of useful plants, the method comprising:

applying an effective amount of one or more compounds of the formula (I) or salts thereof as defined in claim 1 before, after, or simultaneously with one or more herbicides to the plants, parts of plants, plant seeds, or seed of the plants.

17. The method as claimed in claim 16, further comprising:
treating the seed of the plants with one or more compounds of the formula (I) or salts thereof and applying the herbicide after sowing by the pre-emergence method or by the post-emergence method.

* * * * *